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(54) Title: 2-AMINO-HETEROCYCLES AND THERAPEUTIC USES THEREFOR

(57) Abstract

2-Amino-heterocycles can be used for the production of medicaments for inhibiting the leukotriene synthesis particularly for the treatment and control of respiratory diseases and inflammatory processes.

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2-AMINO-HETEROCYCLES AND THERAPEUTIC USES THEREFOR

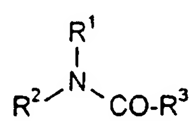
The invention relates to the use of 2-amino-heterocycles for the preparation of medicaments, in particular for the treatment of airway diseases and inflammatory diseases, new active compounds and process or for their preparation.

Leukotrienes are arachidonic acid metabolites produced by the 5-lipoxygenase pathway in activated phagocytes and are important mediators of bronchial asthma and acute inflammation. The pathophysiological importance of leukotrienes suggests that selective inhibitors of leukotriene synthesis may be useful anti-allergic and anti-inflammatory therapeutic agents.

Urea,N,N-bis[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-N'[[3,5-bis(1,1dimethyl-ethyl)-4-hydroxyphenylmethyl] and derivatives having an inhibiting effect as antioxidants are described in the publication Neftekhimiya (1987), 27 (5), 703-9.

Also N,N'-diphenyl-N-(2-pyridinyl)urea derivatives are known as herbicides and plant growth regulators, cholinergic agents, acetylcholine releasing agents as cognition activator or as objects for crystallorgraphic and spectroscopic investigations (J. Crystallorg. Spectrosc. Res. (1988), 18 (6), 729-45; Bioorg. Med. Chem. Lett. (1992), 2(8), 855-60; EP 401 168 A2 or US 4 782 071].

It has been found that 2-amino-heterocycles of the general formula (I)



(I)

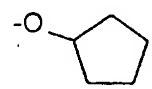
wherein

R^1 represents hydrogen or methyl or represents a 6 membered aromatic heterocycle having up to 2 nitrogen atoms and to which a phenyl ring can be fused and wherein the rings optionally monosubstituted or disubstituted by identical or different substituents are from the series comprising cyano, halogen, carboxyl, nitro, trifluormethyl, by a straight-chain or branched alkoxycarbonyl having up to 6 carbon atoms or by a group or a formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$

wherein

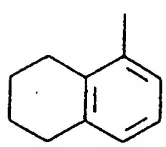
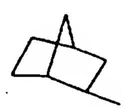
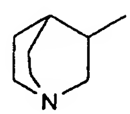
a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl, adamantyl or straight-chain or branched alkyl or acyl each having up to 6 carbon atoms, which optionally are monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by halogen or straight chain or branched alkoxy having up to 4 carbon atoms,

R^2 represents adamantyl, cycloalkyl having 3 to 6 carbon atoms, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by halogen, phenyl, carboxyl, cyano, trifluoromethoxy or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 6 carbon atoms, or by a residue of a formula $-CO-NH-CH(CH_3)C_6H_5$, -CO-NH-adamantyl, $-NH-(CO)_2-NH-C_6H_5$ or 

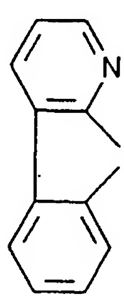
, or

represents a group of a formula

, , 

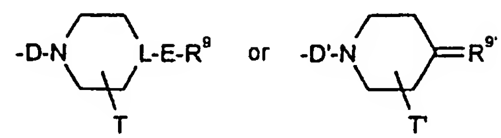
or

R^1 and R^2 including the nitrogen atom form together a residue of a formula



and

R^3 represents a group of the formula $-A-NR^7R^8$,



wherein

A, D, D' and E are identical or different and denote a bond or straight-chain or branched alkyl having up to 6 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

T and T' are identical or different and denote halogen or methyl,

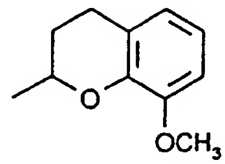
R^7 and R^8 are identical or different and denote hydrogen, cycloalkyl having up to 6 carbon atoms, phenyl, adamantyl, biphenyl or quinidinyl

or denote straight-chain or branched alkyl having up to 8 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cycloalkyl having 3 to 6 carbon atoms, pyridyl, thienyl or phenyl, which is optionally up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, phenyl, halogen, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 7 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or $-SO_2-NH_2$,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identical or different and denote phenyl, which optionally is monosubstituted or disubstituted by halogen, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 6 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 6 carbon atoms, or
denotes a residue of the formula $-CHR^{12}R^{13}$,

in which

R^{12} and R^{13} denote phenyl, which is optionally monosubstituted or disubstituted by halogen,

or

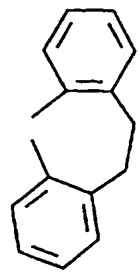
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$,

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

or

R^7 and R^8 including the nitrogen atom form together a residue of a formula



and their salts,

surprisingly have a high activity as inhibitors of leukotriene synthesis and thus and suitable for control and treating airway diseases and inflammatory diseases.

Heterocycle in general represents a 6-membered aromatic ring which can contain up to 2 nitrogen atoms as heteroatoms and to which further aromatic ring can be fused.

The following are mentioned as preferred: pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, quinolyl or isoquinolyl.

Preferably used are those compounds of the general formula (I),

wherein

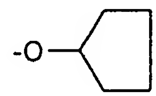
R^1 represents hydrogen or methyl or represents isoquinolyl, pyrazinyl, pyridyl or pyrimidinyl, which optionally are monosubstituted or disubstituted by identical or different substituents from the series comprising cyano, fluorine, chlorine, bromine, trifluormethyl, carboxyl, nitro or straight-chain or branched alkoxycarbonyl having up to 4 carbon atoms or by a group of the formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$,

in which

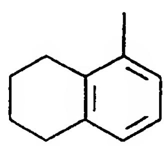
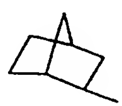
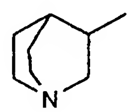
a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl, adamantyl or straight-chain or branched alkyl or acyl each

having up to 5 carbon atoms, which are optionally are monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by fluorine, chlorine, bromine or straight chain or branched alkoxy having up to 4 carbon atoms,

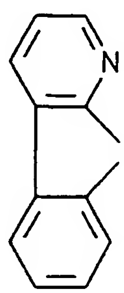
R^2 represents adamantyl, cyclopentyl, cyclohexyl, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by fluorine, chlorine, bromine, carboxyl, trifluoromethoxy, phenyl, cyano or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 4 carbon atoms, or by a residue of a formula $-CO-NH-CH(CH_3)C_6H_5$ or -CO-NH-adamantyl, $-NH-(CO)_2-NH-C_6H_5$ or , or

represents a group of a formula

, , 

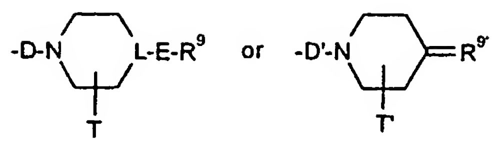
or

R^1 and R^2 including the nitrogen atom form together a residue of a formula



and

R^3 represents a group of a formula $-A-NR^7R^8$,



in which

A, D, D' and E are identical or different and denote a bond or a straight-chain or branched alkyl one chain having up to 4 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

T and T' are identical or different and denote hydrogen or methyl,

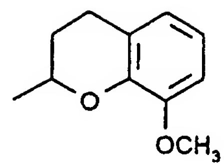
R^7 and R^8 are identical or different and denote hydrogen, cyclopropyl, cyclopentyl, cyclohexyl, phenyl, adamantyl, biphenyl or quinudinyl,

or denote straight-chain or branched alkyl having up to 6 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cyclopropyl, cyclopentyl, cyclohexyl, pyridyl, thienyl or by phenyl, which optionally is up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, fluorine, chlorine, bromine, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 6 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or SO_2-NH_2,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identidal or different and denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine, bromine, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 4 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 5 carbon atoms, or
denotes a residue of a formula $-CHR^{12}R^{13}$,

in which

R^{11} and R^{12} denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine or bromine,

or

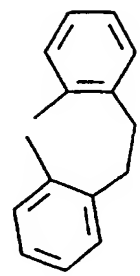
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

or

R^7 and R^8 including the nitrogen atom form together a residue of a formula



and their salts.

Particularly preferred used are compounds of the general formula (I),

wherein

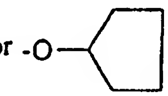
R^1 represents hydrogen or methyl or represents chinolyl, isoquinolyl, pyrazinyl, pyridyl or pyrimidinyl, which optionally are monosubstituted or disubstituted by identical or different substituents from the series comprising cyano, fluorine, chlorine, bromine, trifluormethyl, carboxyl, nitro, straight-chain or branched alkoxycarbonyl having up to 4 carbon atoms or by a group of a formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$,

in which

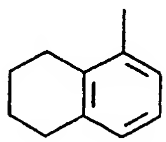
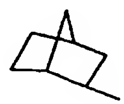
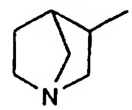
a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl or adamantyl, straight-chain or branched alkyl or acyl each having up to 3 carbon atoms, which optionally ore monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by fluorine, chlorine or methoxy,

R^2 represents adamantyl, cyclopentyl, cyclohexyl, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by fluorine, chlorine, bromine, carboxyl, phenyl, cyano, trifluoromethoxy or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 3 carbon

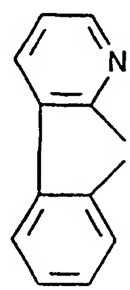
atoms, or by a residue of a formula -CO-NH-CH(CH_3)C_6H_5, -CO-NH-adamantyl, -NH-$(CO)_2$-NH-C_6H_5 or , or

represents a group of the formula

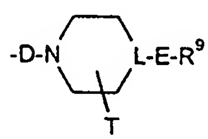
, , 

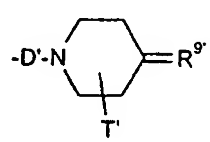
or

R^1 and R^2 including the nitrogen atom form together a residue of a formula



R^3 represents a group of a formula -A-NR^7R^8,



or


in which

A, D, D' and E are identical or different and denote a bond or a straight-chain or branched alkyl having up to 4 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

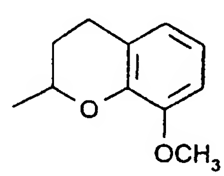
T and T' are identical or different and denote hydrogen or methyl,

R^7 and R^8 are identical or different and denote hydrogen, cyclopropyl, cyclopentyl, cyclohexyl, phenyl, adamantyl, biphenyl or quinuclidinyl
or denote straight-chain or branched alkyl having up to 5 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cyclopropyl, cyclopentyl, cyclohexyl, pyridyl, thienyl or by phenyl, which optionally is up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, fluorine, chlorine, bromine, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 5 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or $-SO-NH_2$,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identical or different and denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine, bromine, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 3 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 3 carbon atoms, or
denotes a residue of a formula $-CHR^{12}R^{13}$,

in which

R^{12} and R^{13} denote phenyl, which is optionally monosubstituted to disubstituted by fluorine,

or

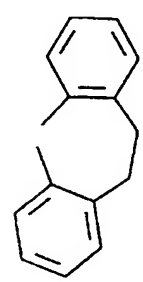
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$,

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

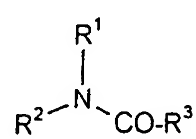
or

R^7 and R^8 including the nitrogen atom form together a formula

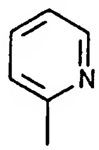
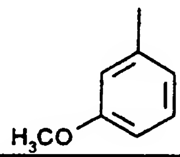
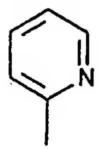
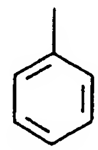
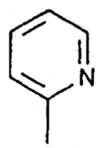
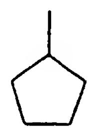
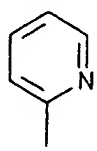
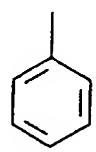
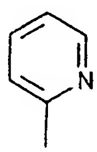
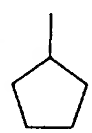
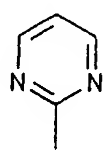
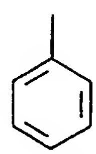
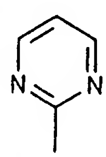
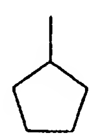
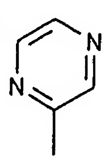
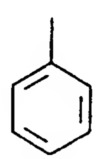


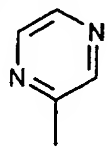
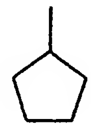
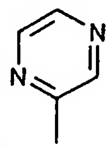
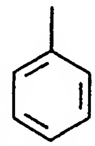
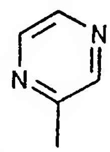
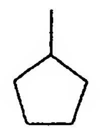
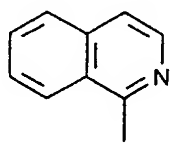
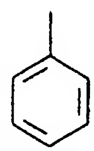
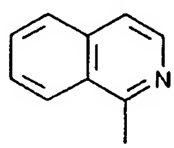
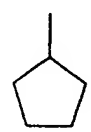
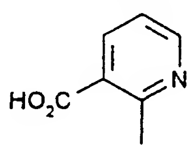
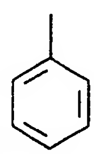
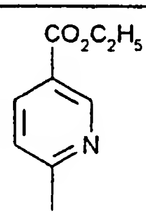
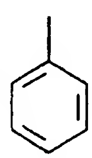
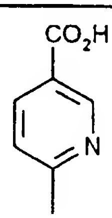
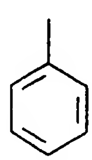
and their salts.

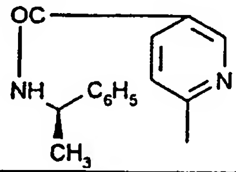
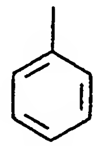
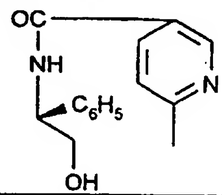
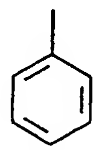
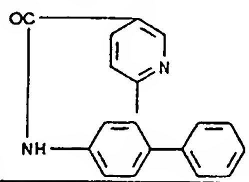
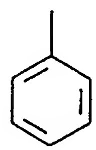
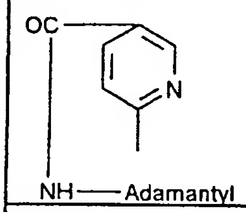
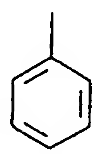
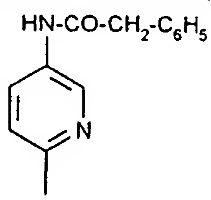
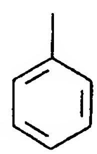
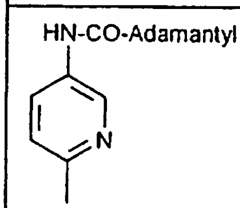
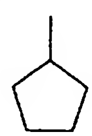
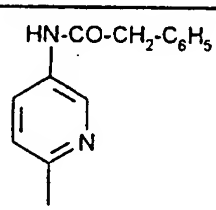
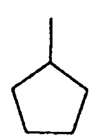
The invention additionally relates to new compounds of the formula (X).

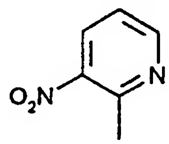
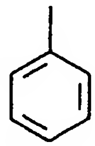
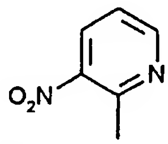
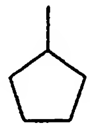
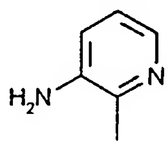
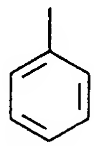
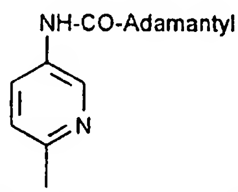
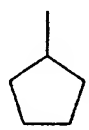
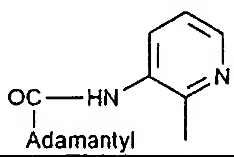
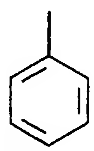
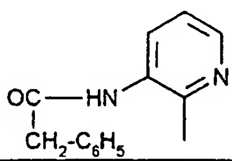
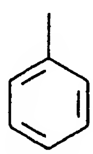
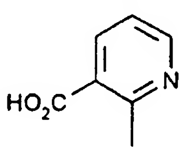
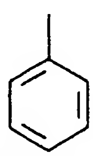
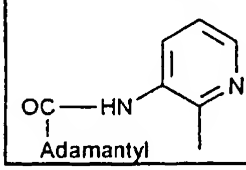
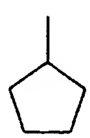


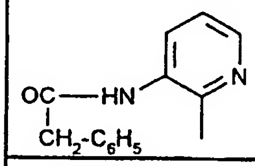
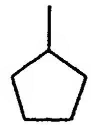
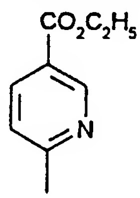
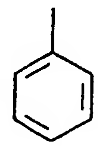
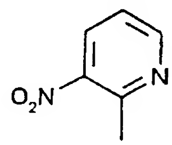
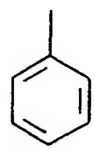
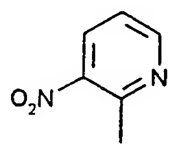
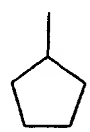
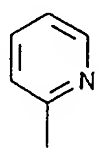
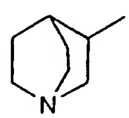
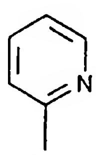
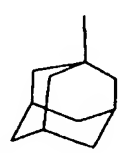
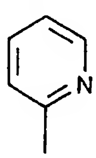
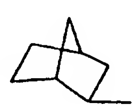
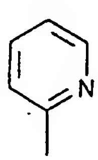
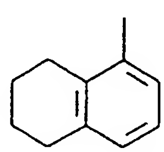
wherein the substituents are of the following meaning:

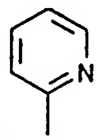
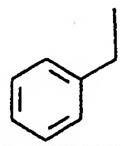
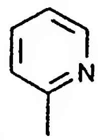
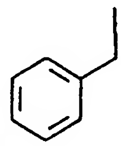
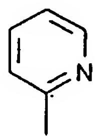
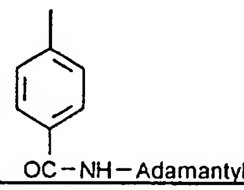
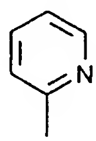
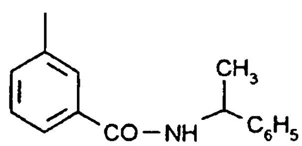
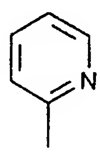
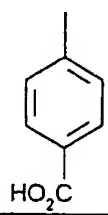
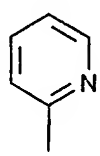
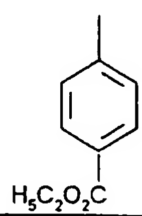
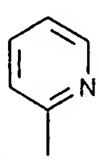
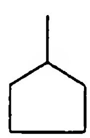
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$

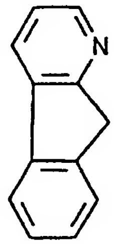
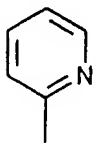
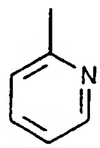
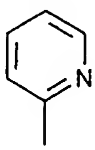
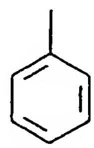
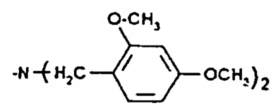
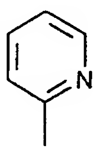
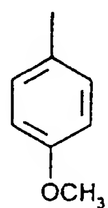
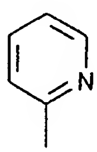
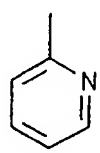
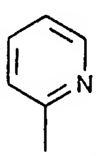
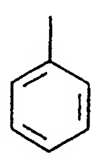
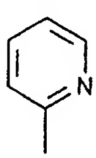
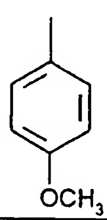
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

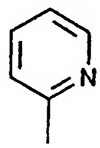
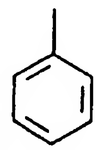
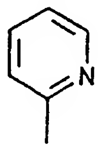
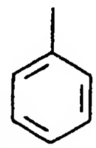
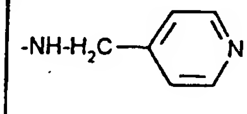
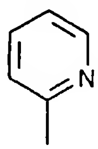
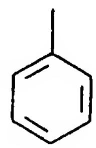
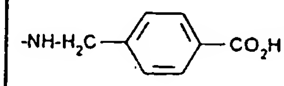
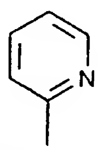
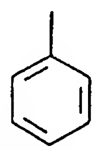
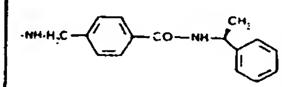
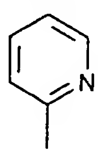
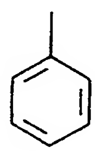
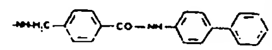
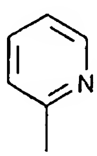
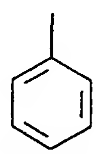
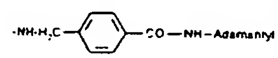
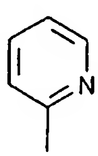
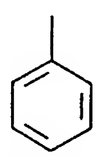
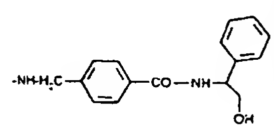
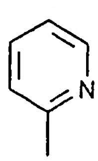
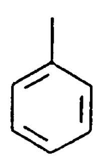
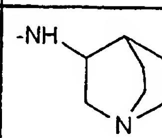
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

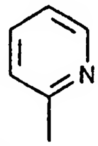
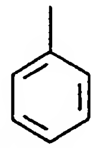
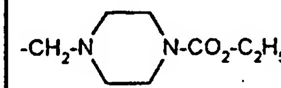
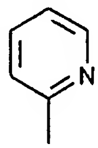
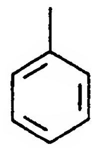
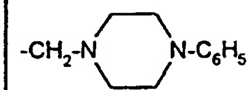
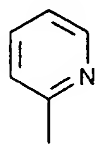
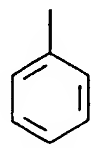
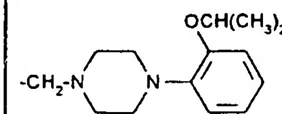
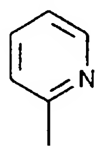
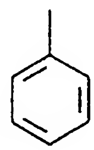
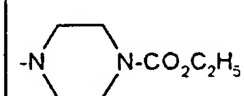
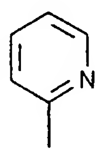
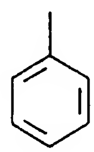
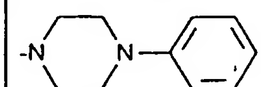
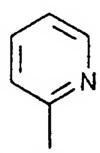
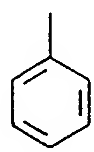
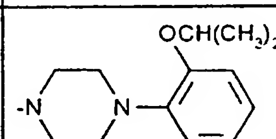
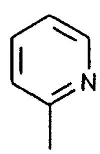
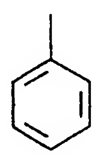
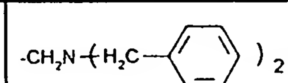
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-(CH_2-C_6H_5)_2$

R^1	R^2	R^3
		$-NH-(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

R^1	R^2	R^3
		-NH-CH_2-C_6H_5
		-N(CH_2-C_6H_5)$_2$
		-N(CH_2-C_6H_5)$_2$
		-N($CH_2C_6H_5$)$_2$
		-N(CH_2-C_6H_5)$_2$
		-N(CH_2-C_6H_5)$_2$
		-N(CH_2CH_2-C_6H_4-p-Cl)$_2$

R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_4Cl)_2$
		$-NH(CH_2)_2-C_6H_5-Cl$

R^1	R^2	R^3
		$-NH-CH(C_6H_5)_2$
		
		
		
		
		
		
		

R^1	R^2	R^3
		 -CH2-N N-CO2-C2H5
		 -CH2-N N-C6H5
		 OCH(CH3)2 -CH2-N N
		 -N N-CO2C2H5
		 -N N
		 OCH(CH3)2 -N N
		 -CH2N (H2C)2

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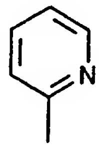
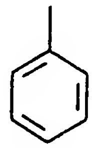
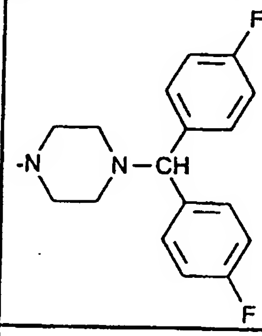
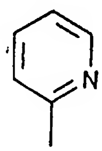
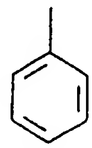
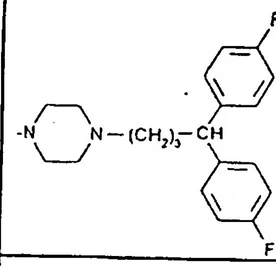
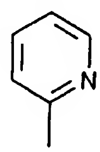
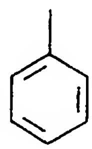
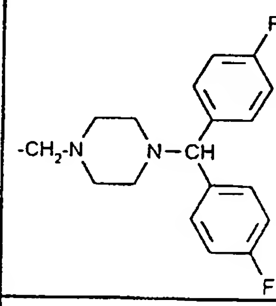
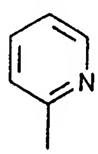
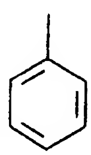
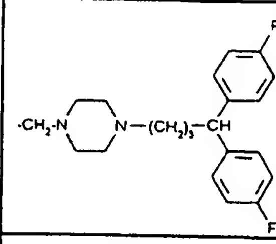
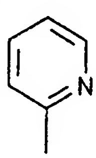
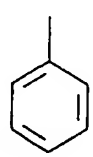
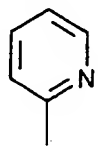
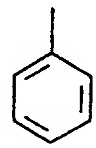
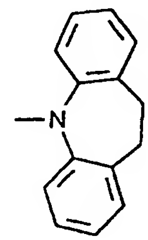
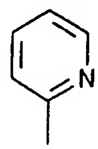
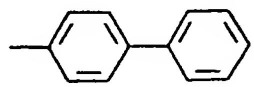
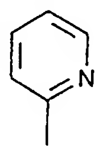
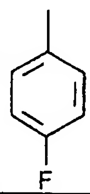
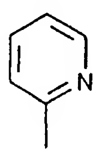
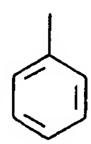
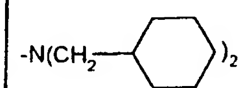
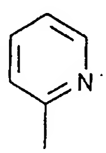
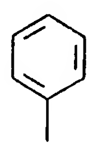
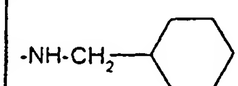
		
		
		
		
		$-CO-N(CH_2-C_6H_5)_2$

R^1	R^2	R^3
		
		$-N(CH_2C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		
		

Continuation of new compounds:

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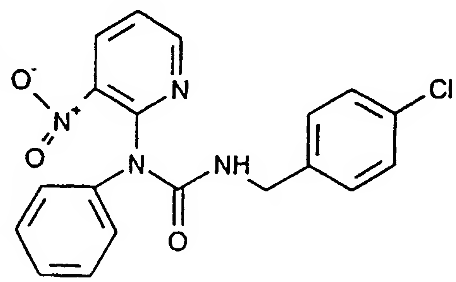
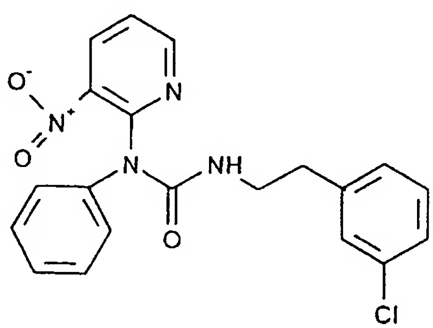

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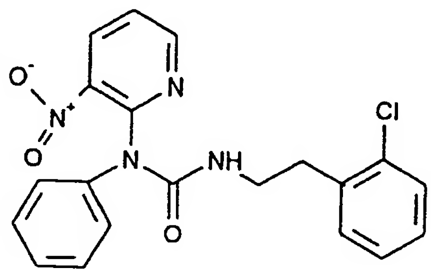
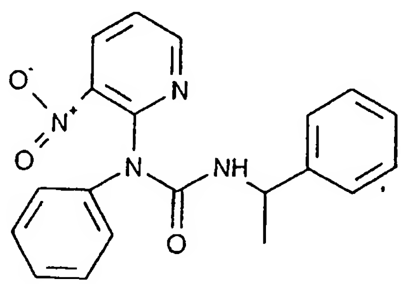
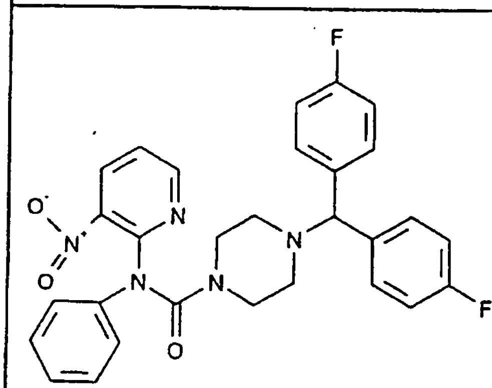
O N O N N NH O Cl
O N O N N NH O
F O N O N N N N O F

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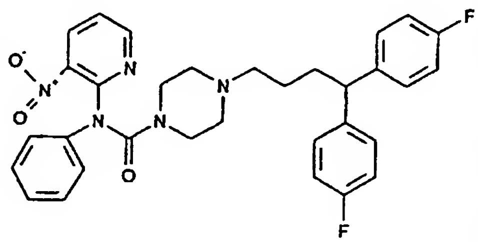
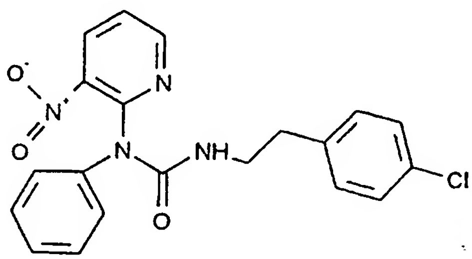
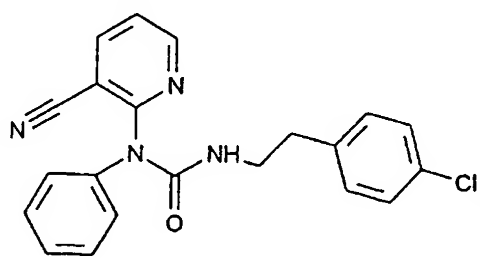

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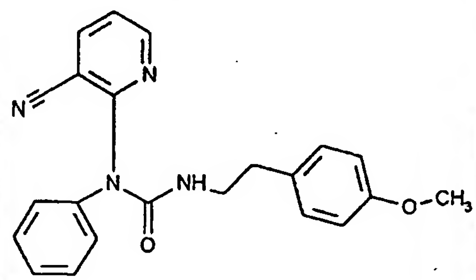
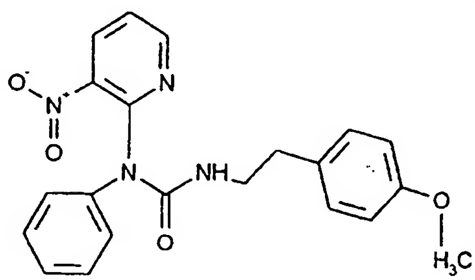

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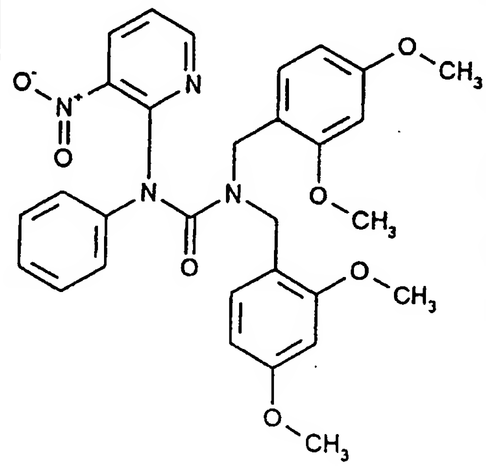
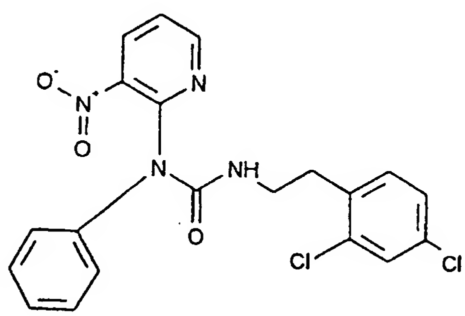
O⁻ N⁺ O N N N O O CH3 O CH3 O CH3 O CH3
O⁻ N⁺ O N N NH O Cl Cl

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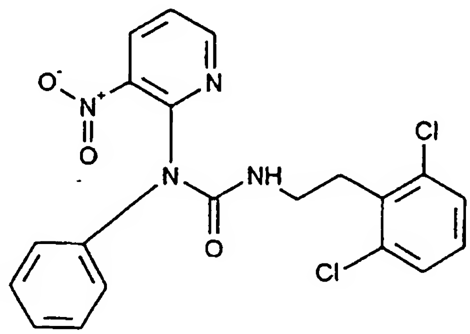
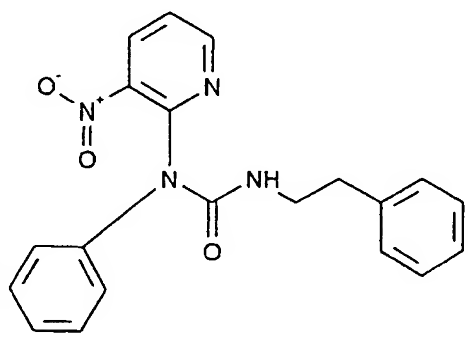

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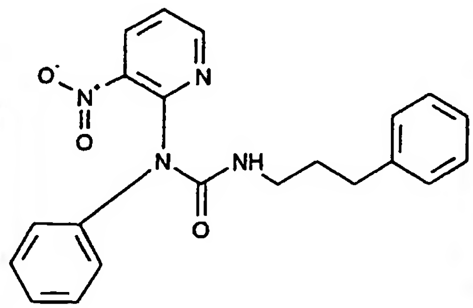
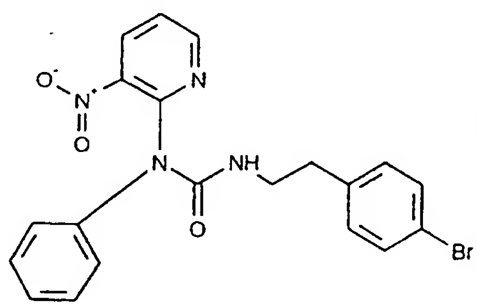
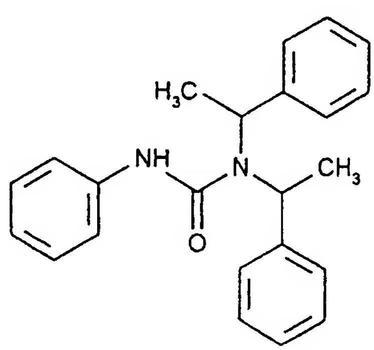

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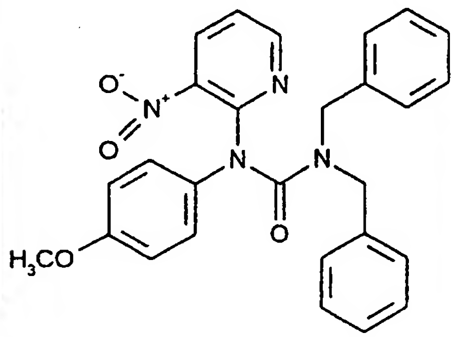
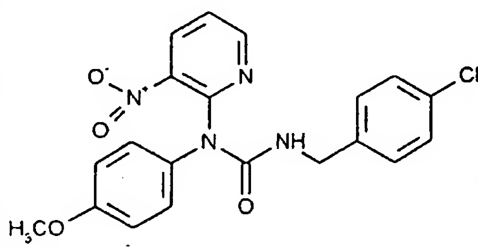
O- N+ O N N N O H3CO
O- N+ O N Cl N NH O H3CO

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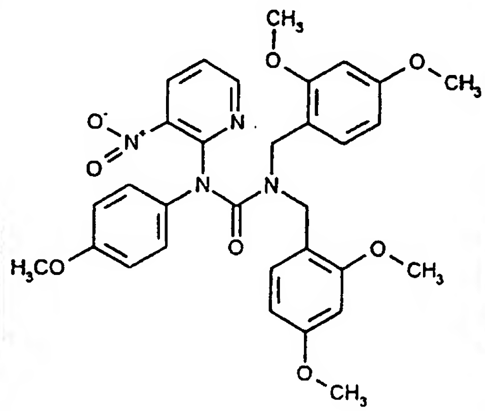
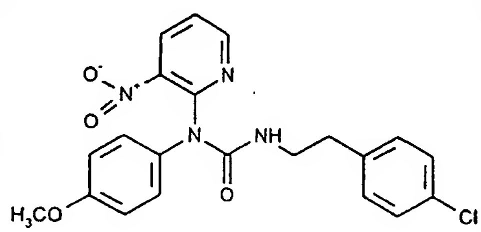
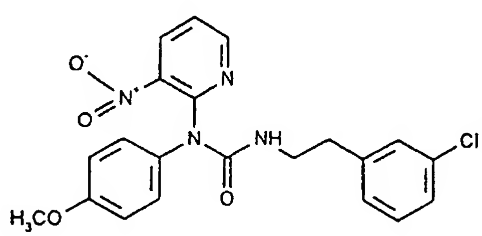

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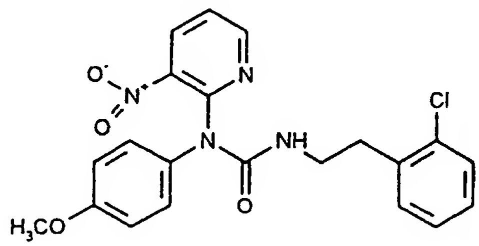
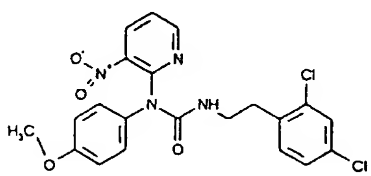
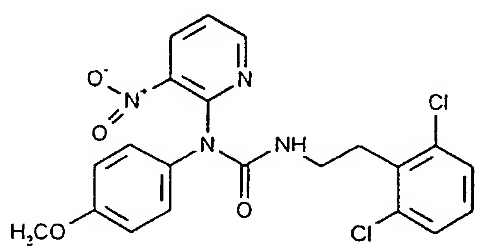

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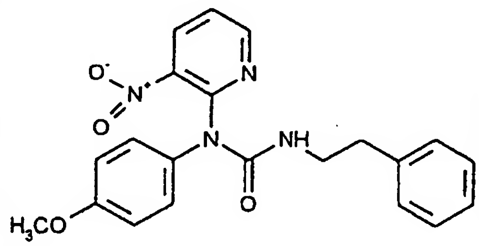
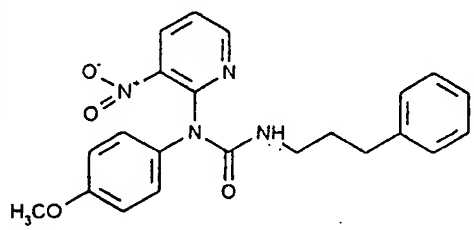
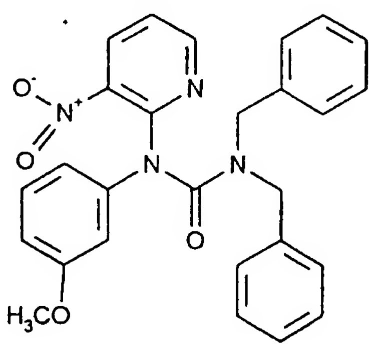

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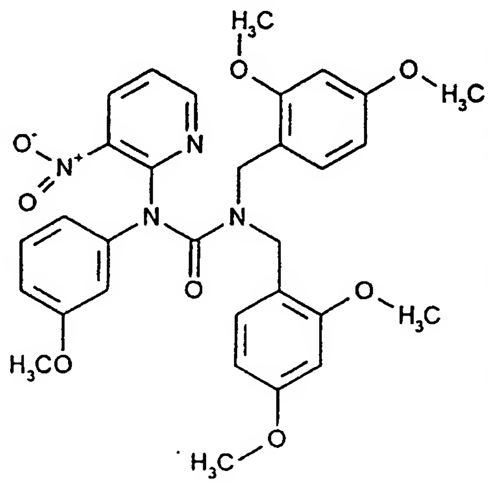
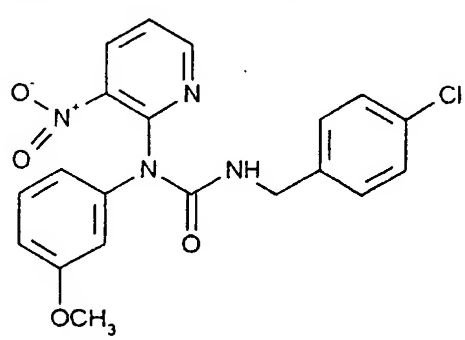

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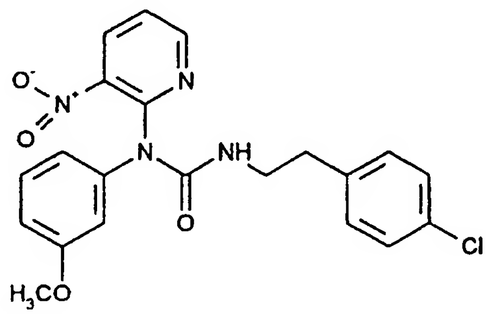
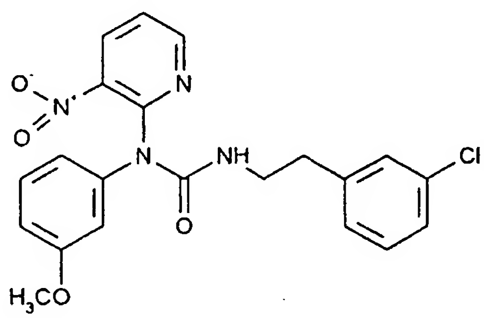

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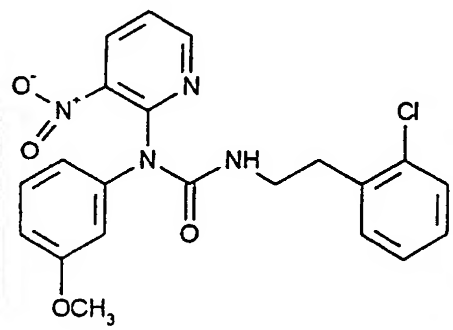
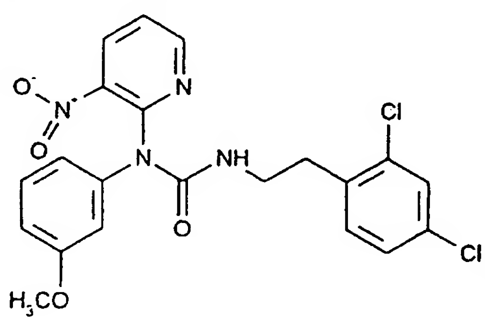

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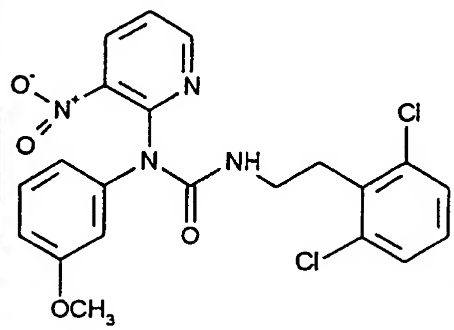
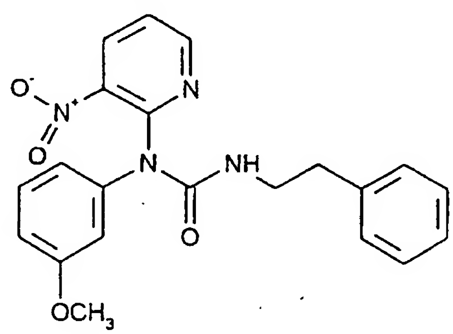

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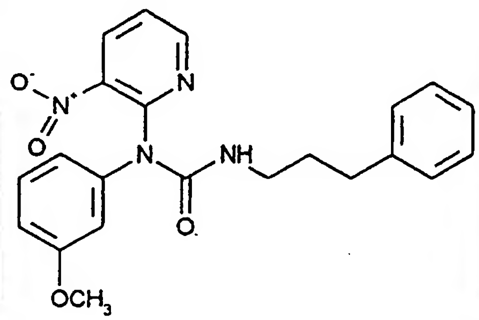
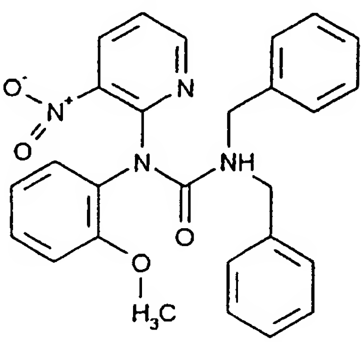

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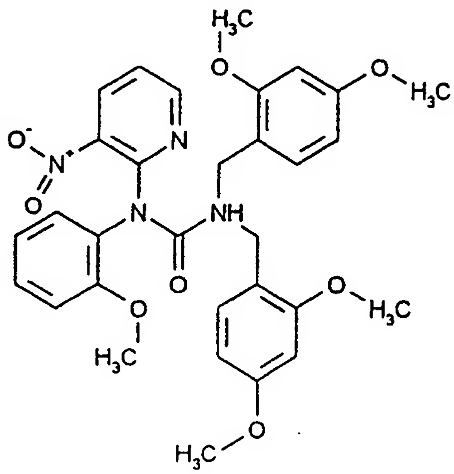
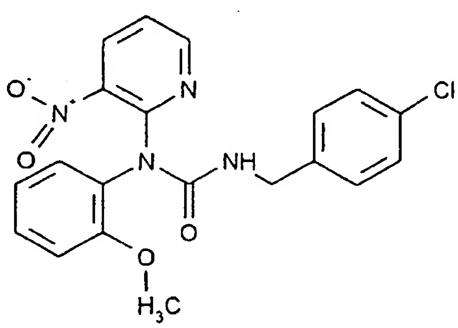

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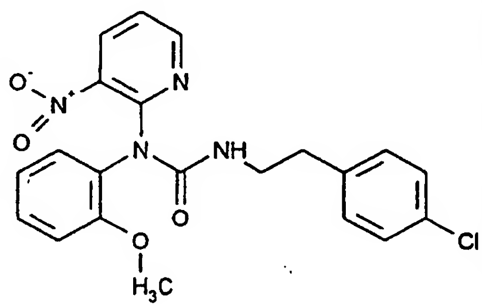
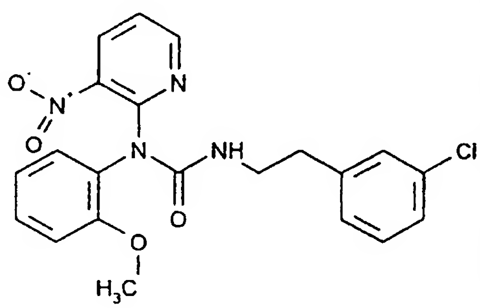

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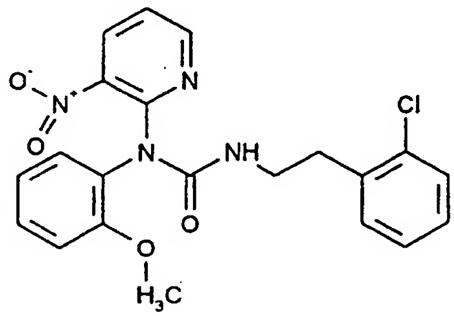
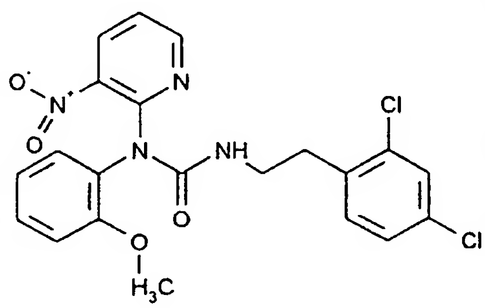

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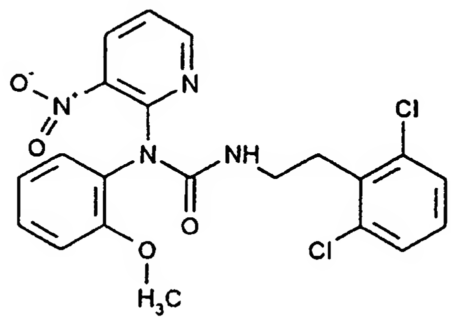
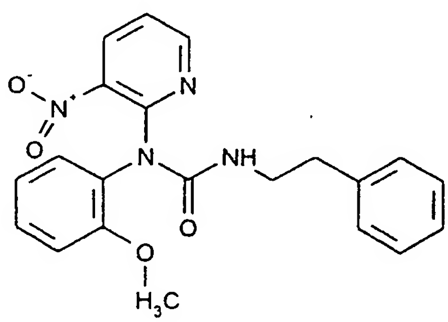

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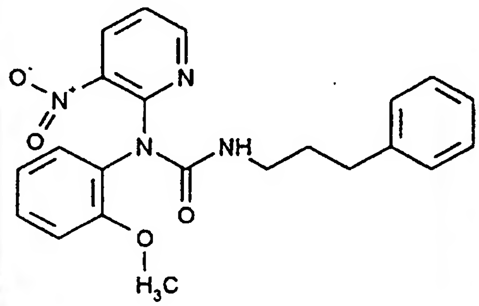
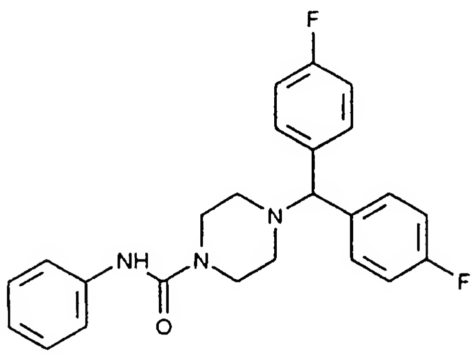

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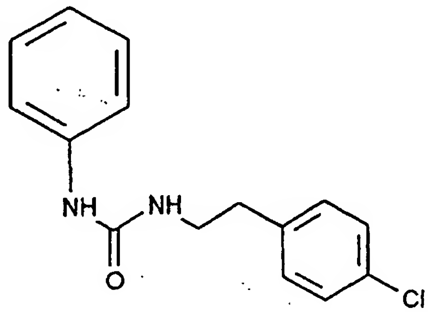
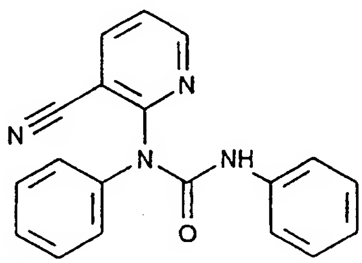
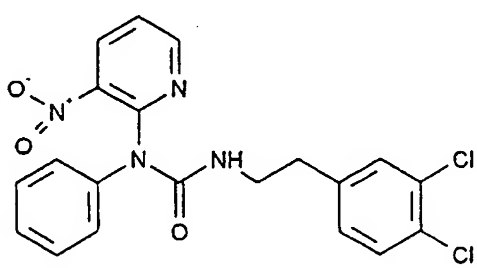
NH NH O Cl
N N N NH O
O- N+ O N N NH O Cl Cl

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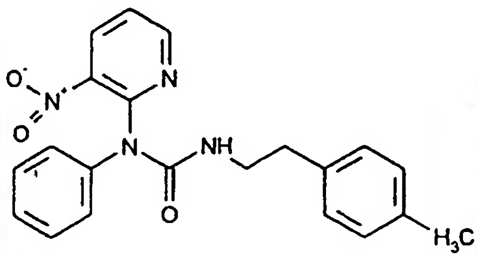
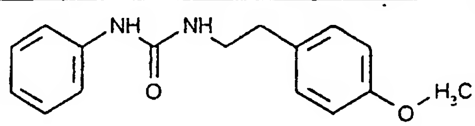
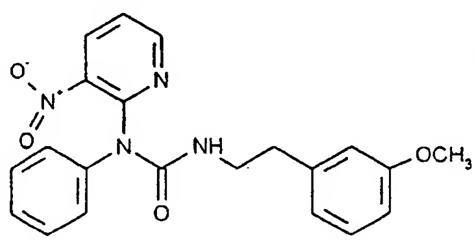

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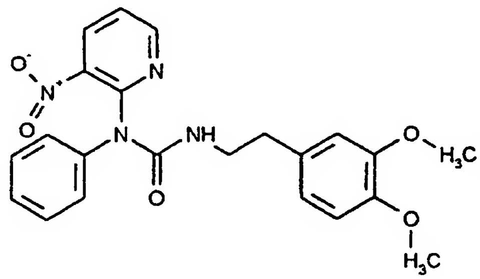
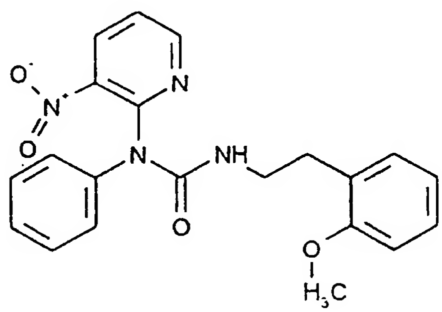

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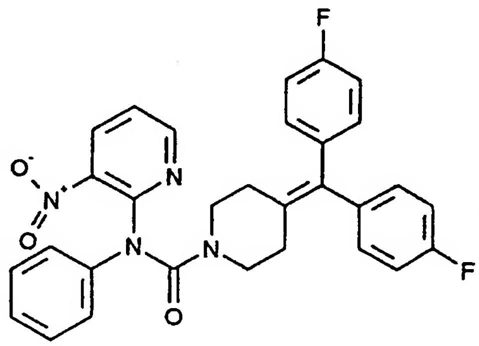
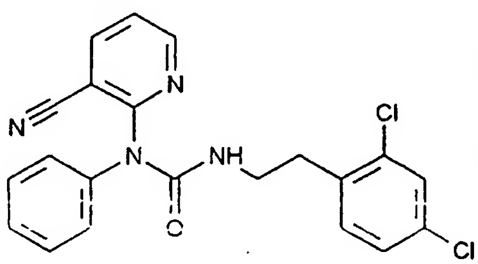
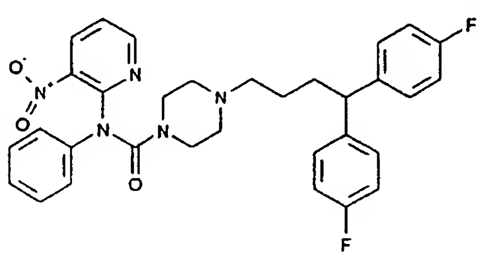

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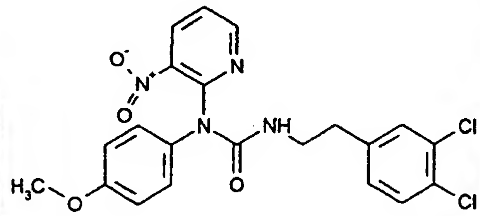
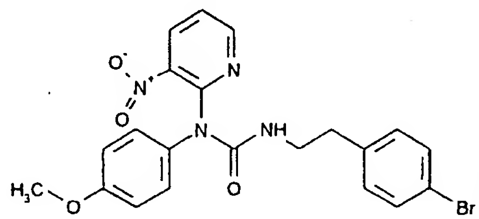

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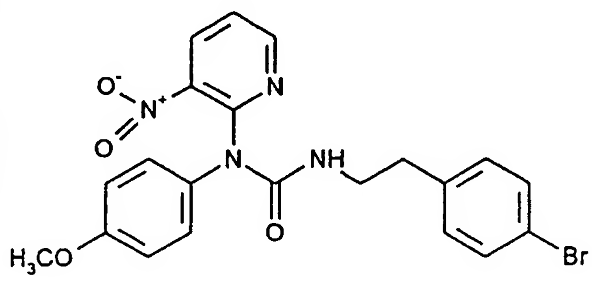
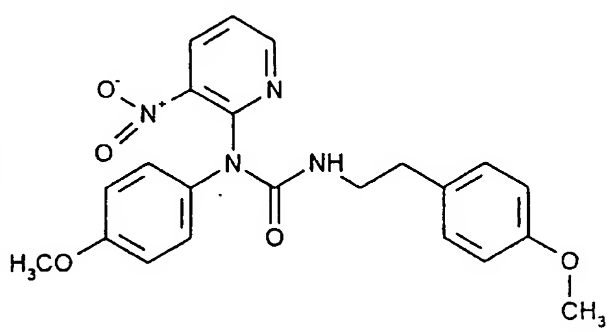

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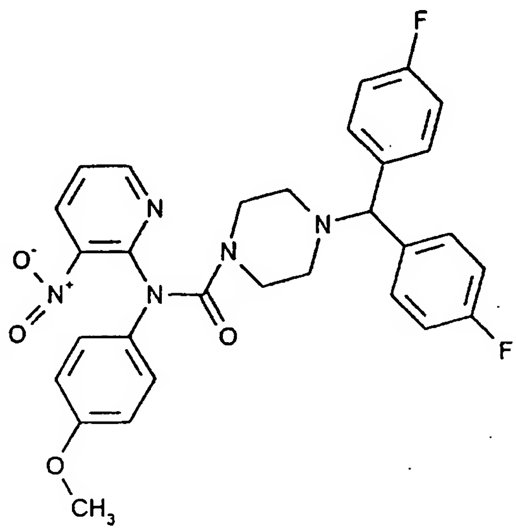
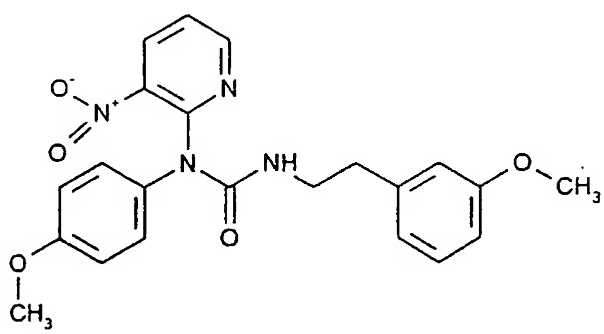

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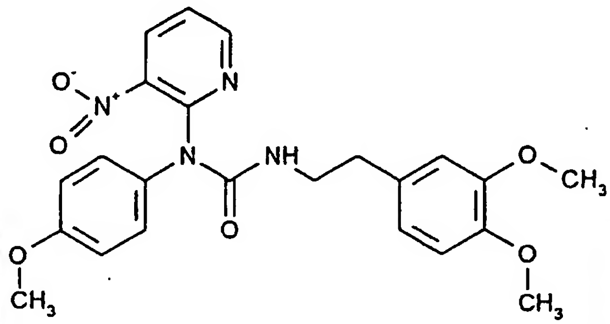
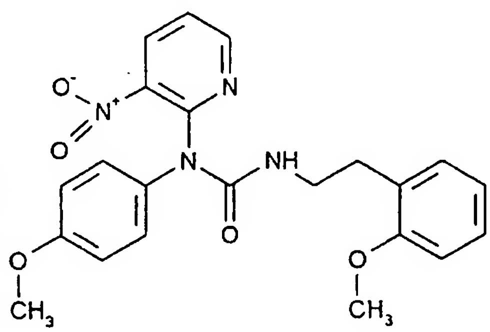

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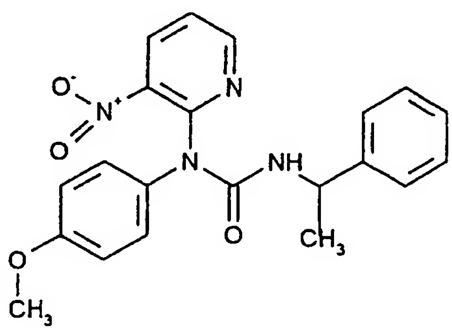
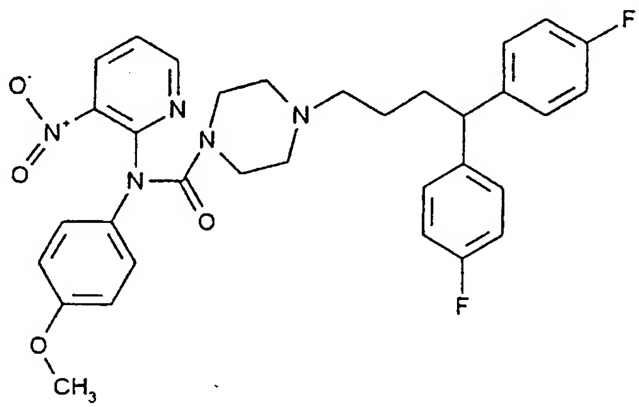

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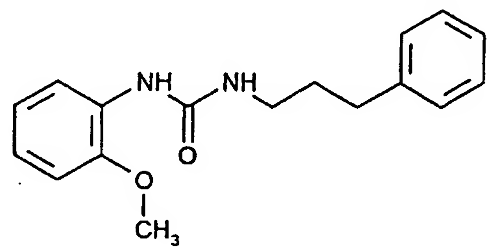
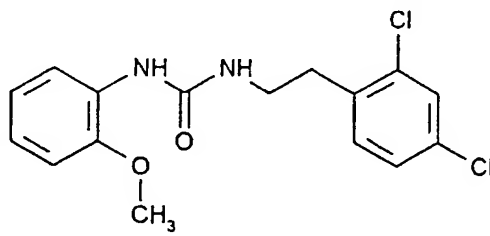
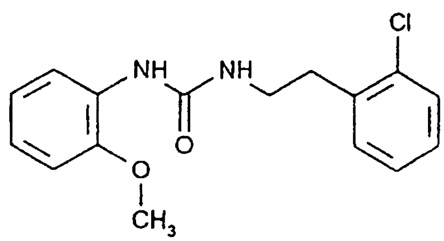
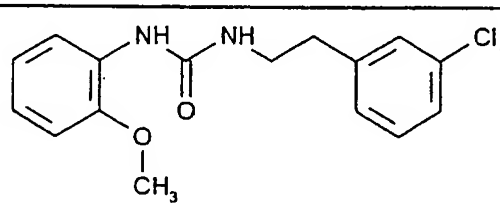
NH NH O O CH3
Cl NH NH O Cl O CH3
Cl NH NH O O CH3
NH NH Cl O O CH3

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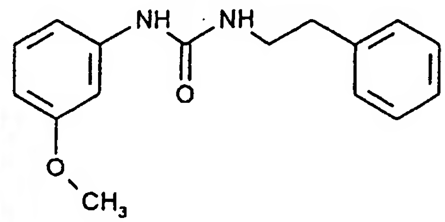
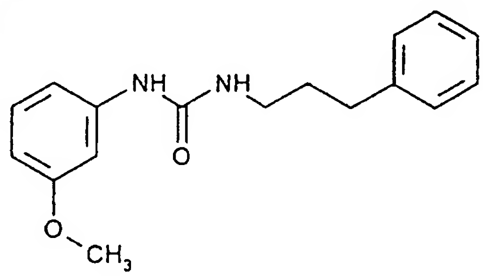
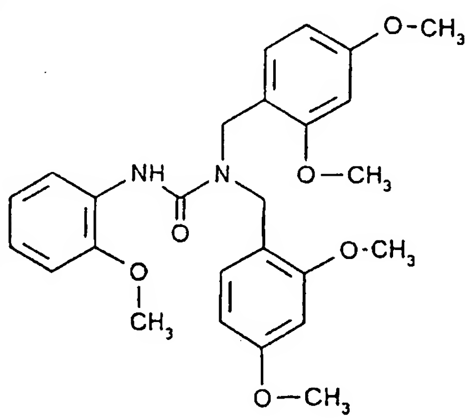

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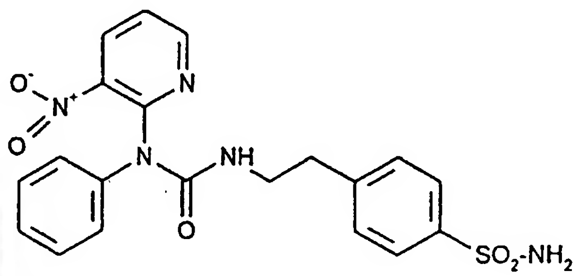
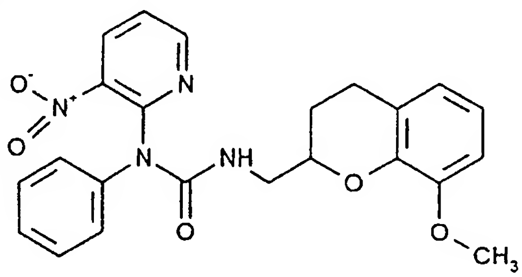
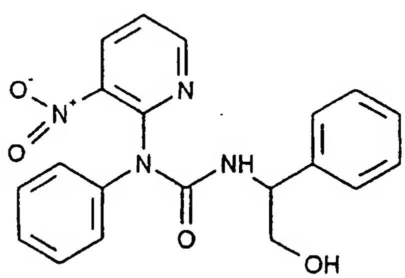

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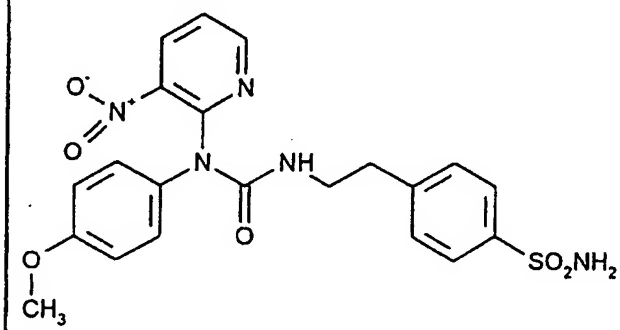
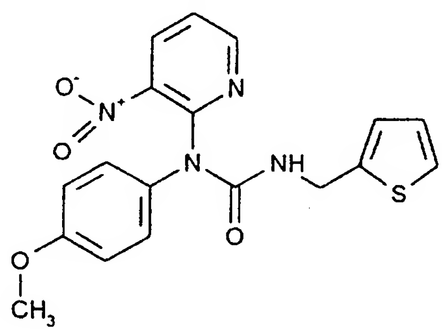

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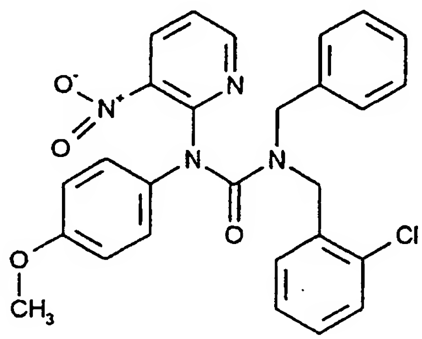
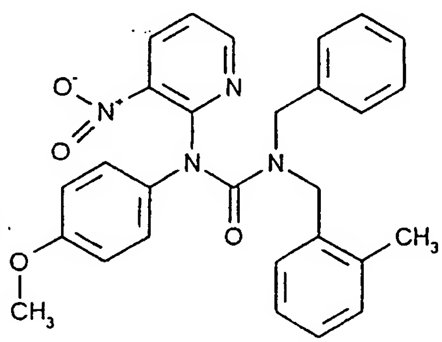

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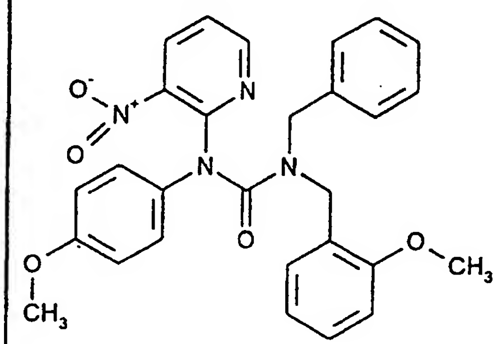
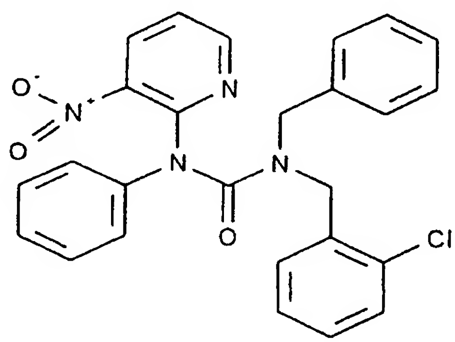

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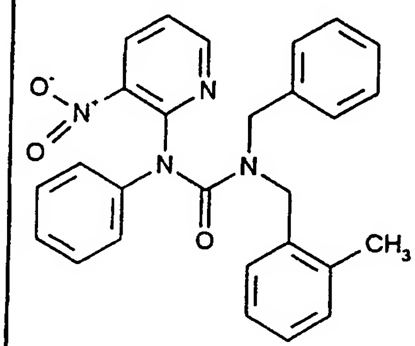
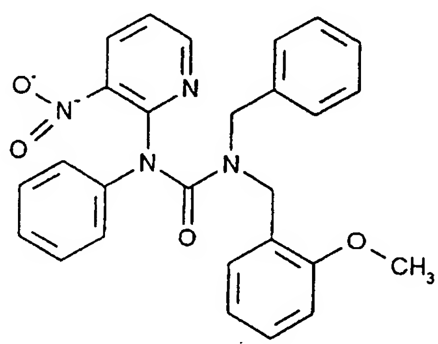
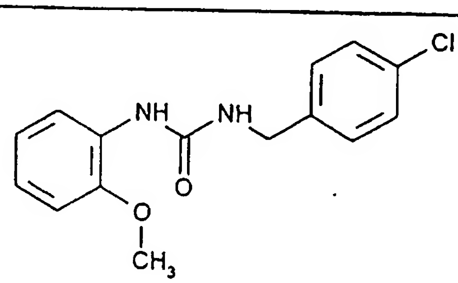

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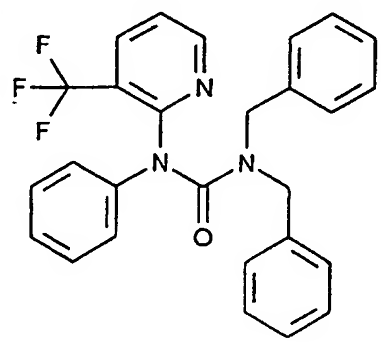
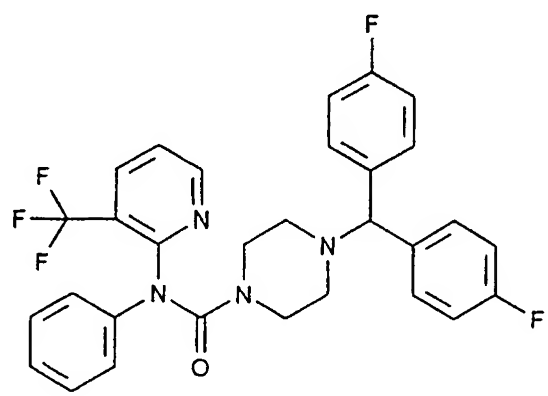

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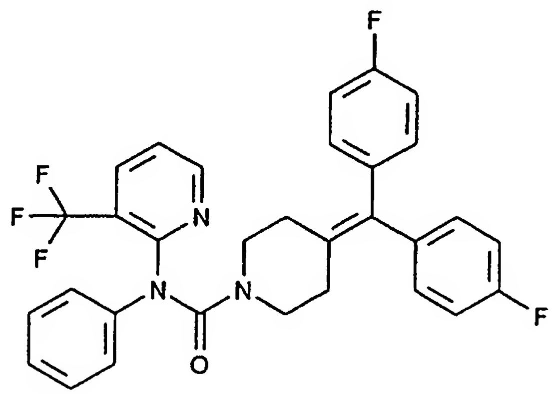
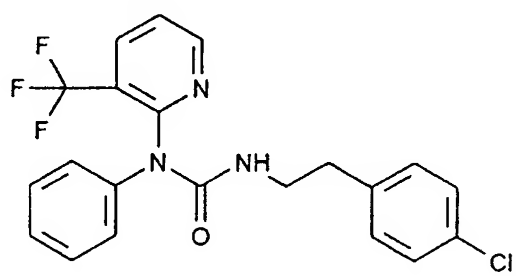
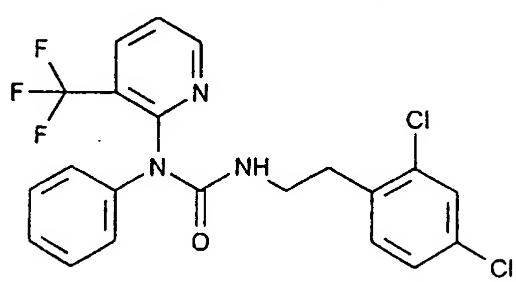

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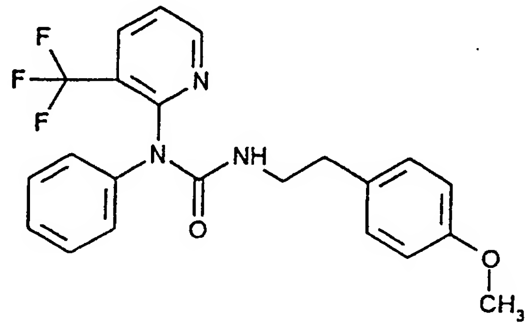
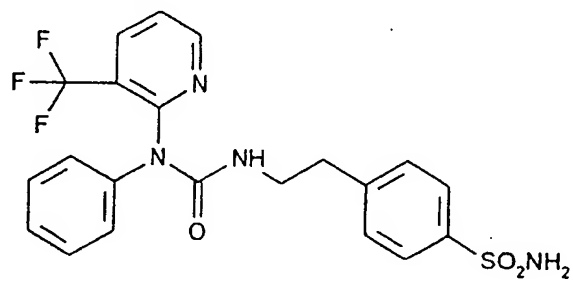
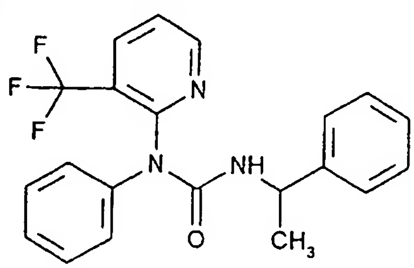

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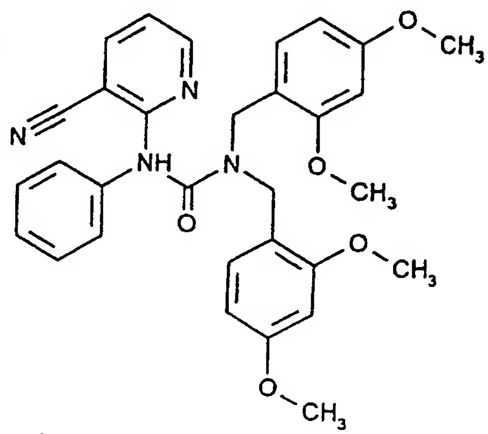
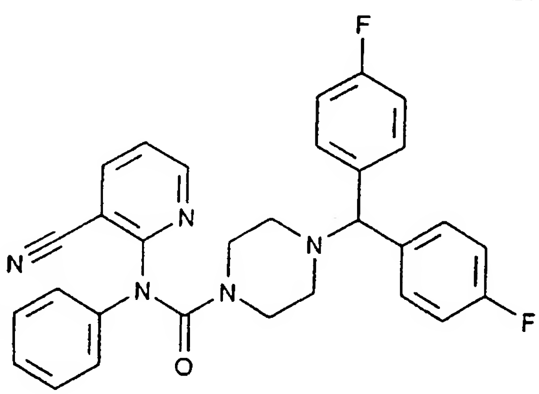

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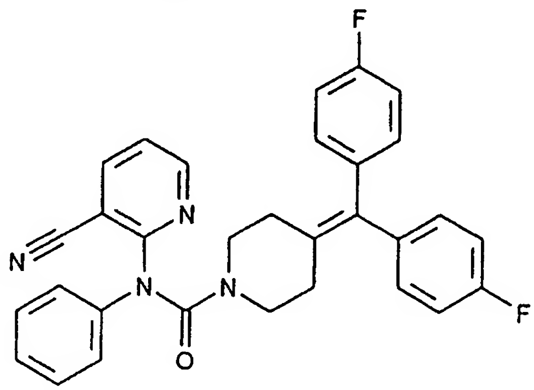
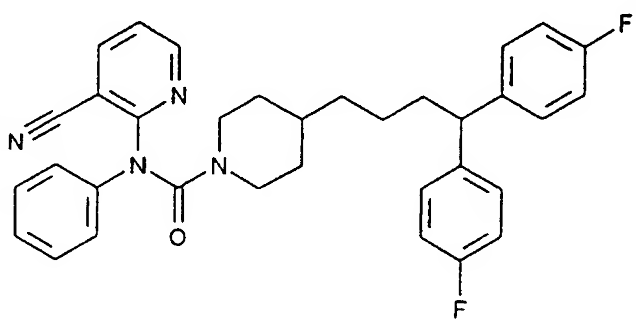
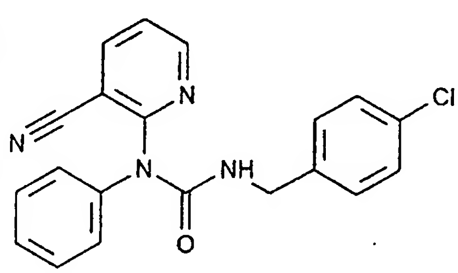

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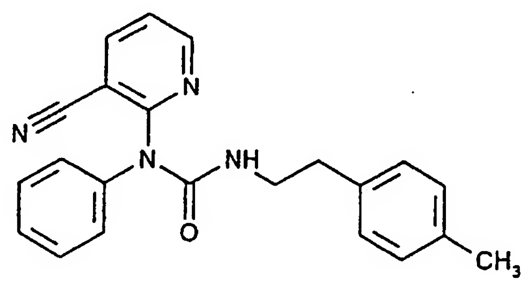
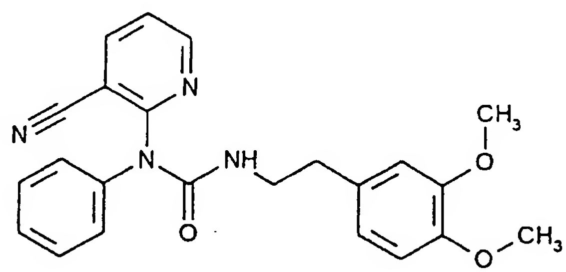

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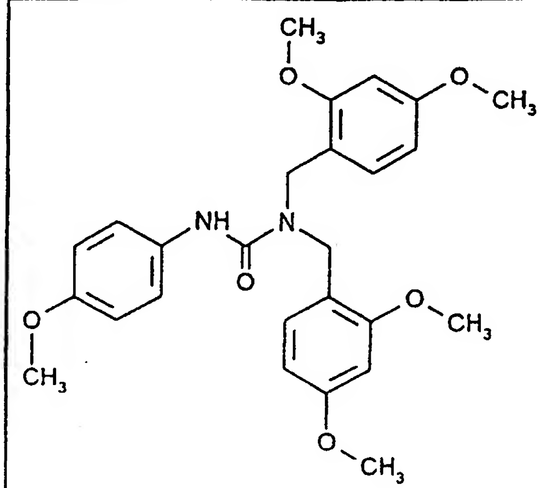

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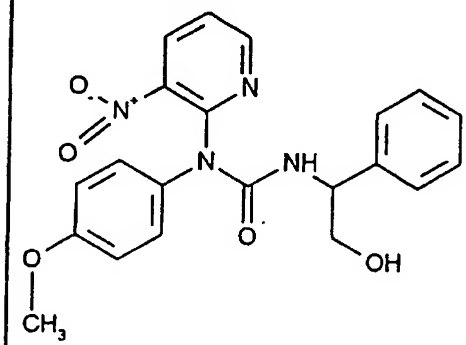
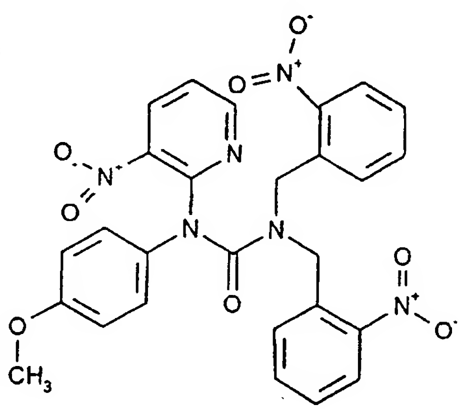

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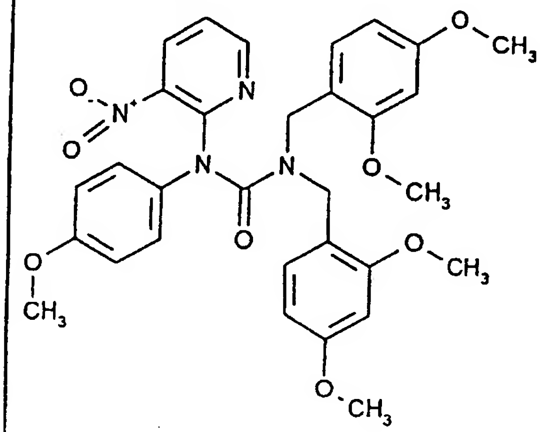
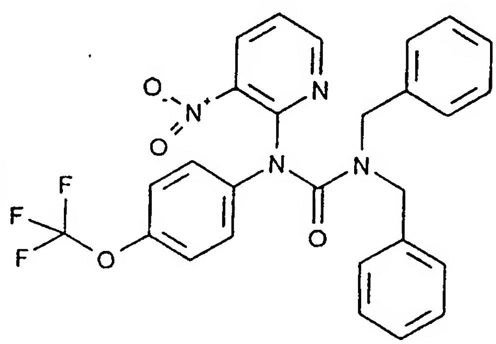

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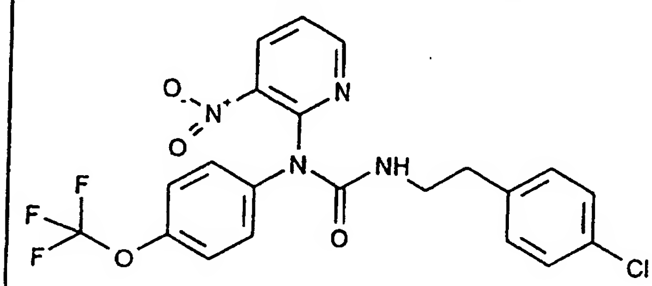
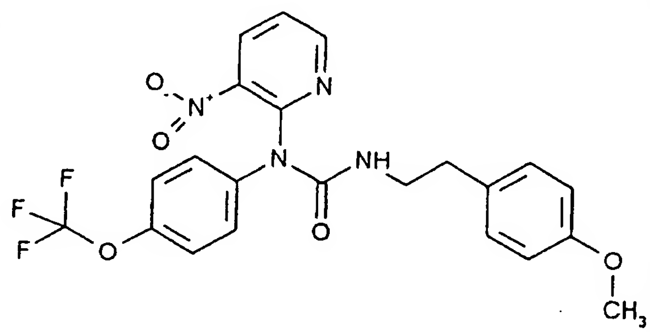
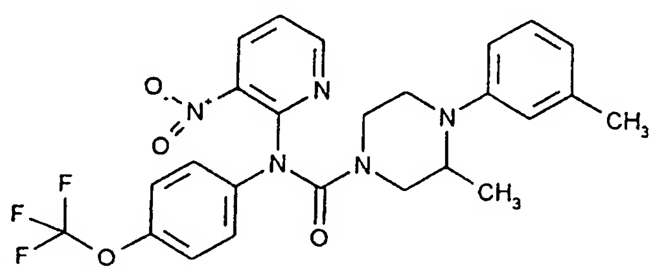

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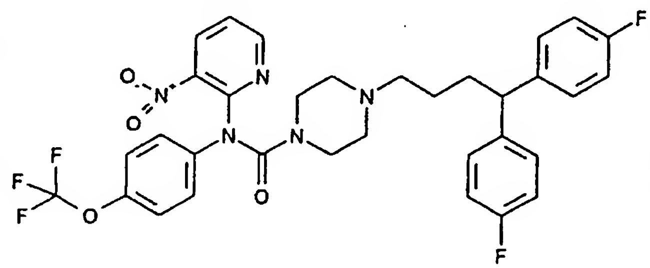
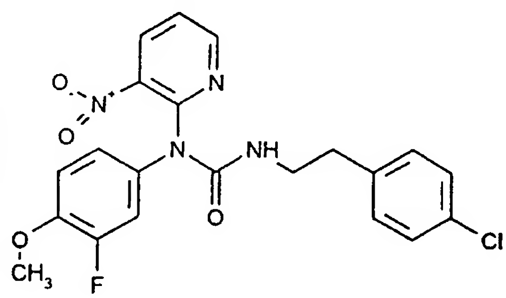
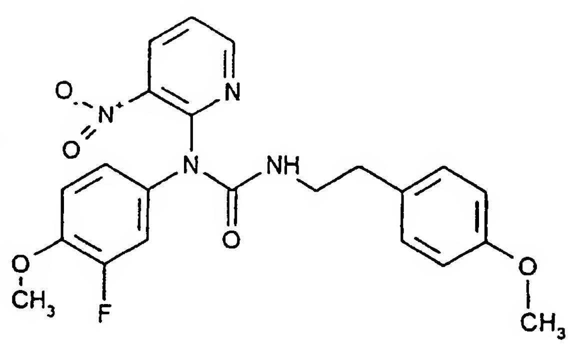

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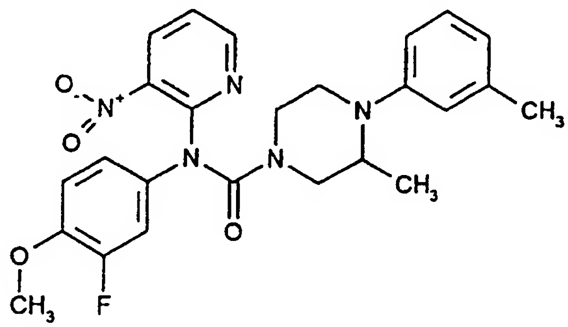
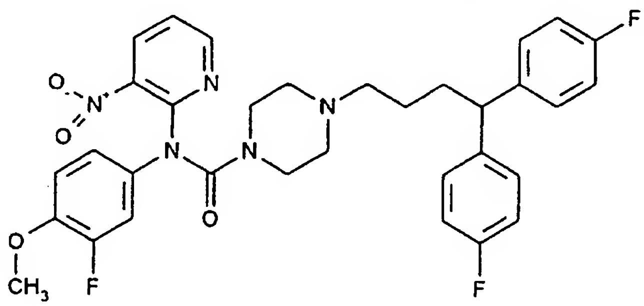

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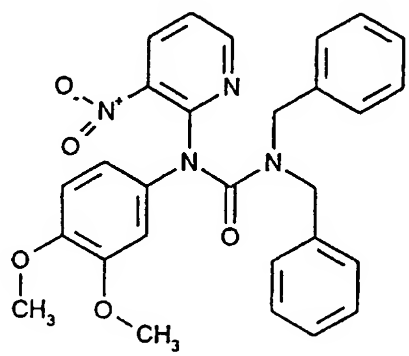
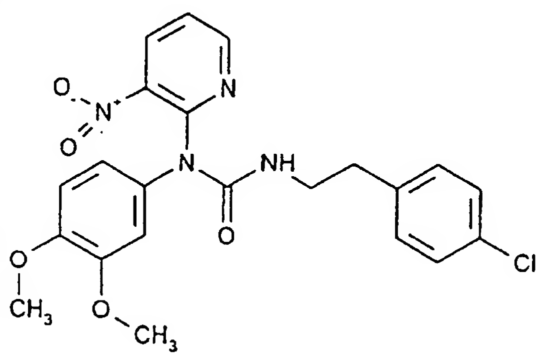

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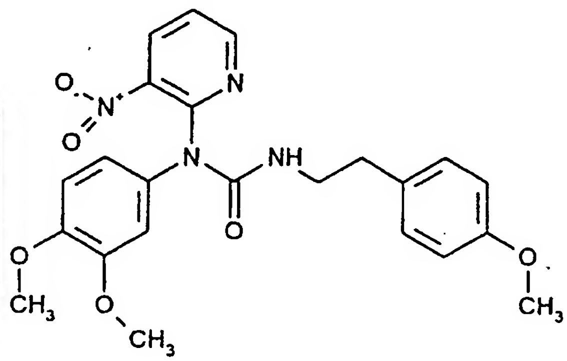
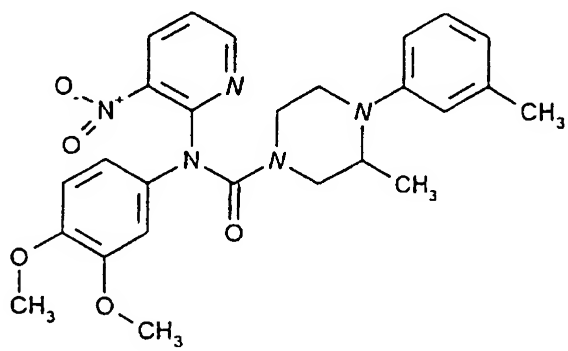

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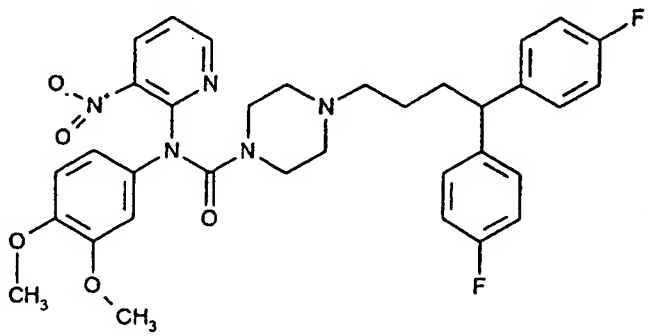
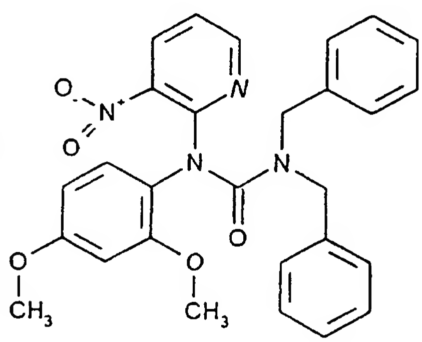

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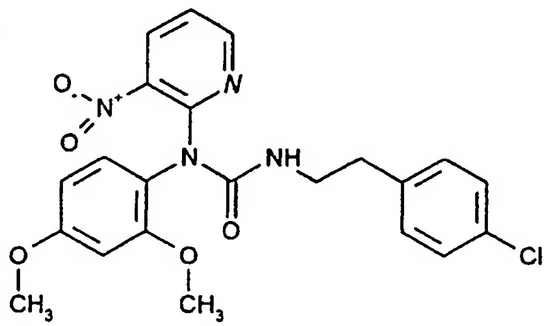
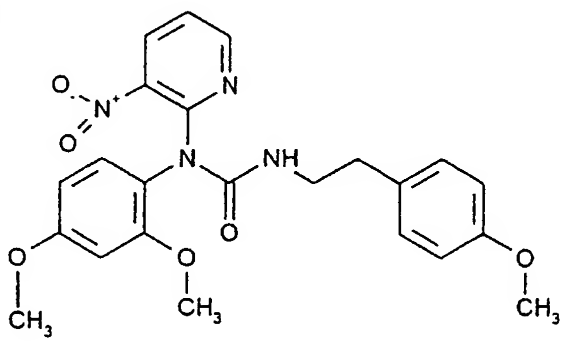
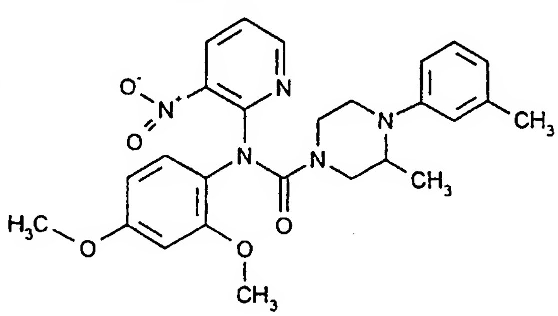

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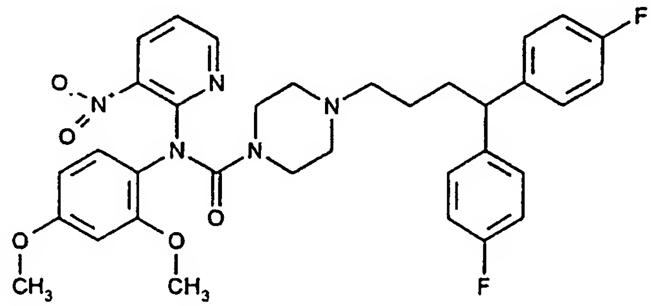
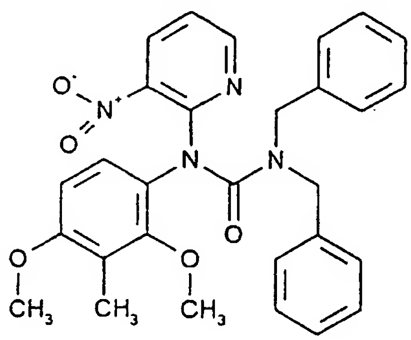
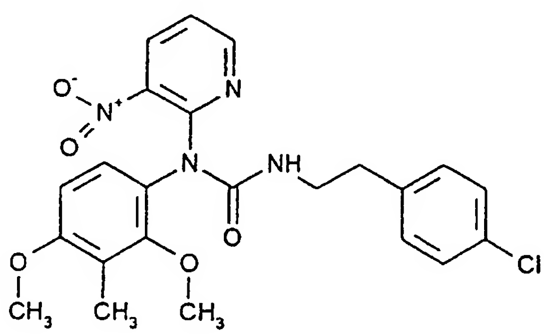

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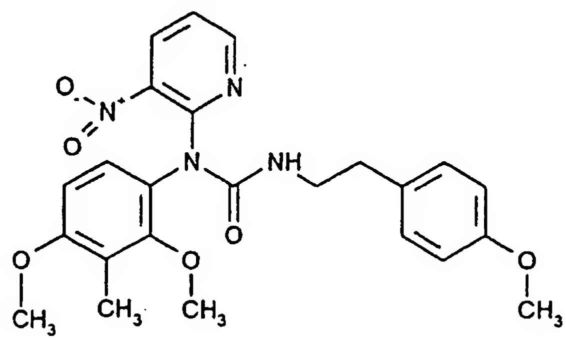
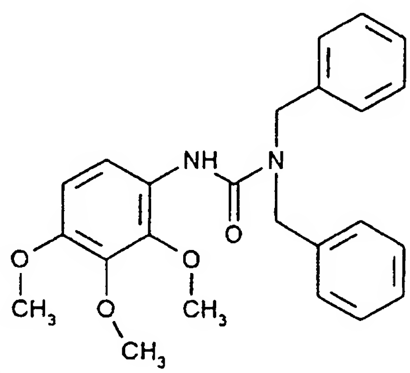

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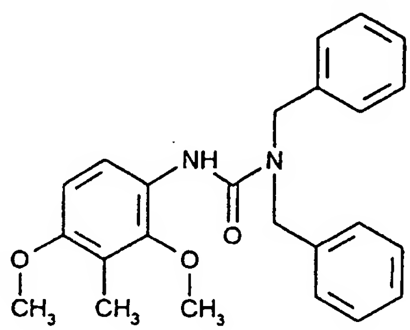

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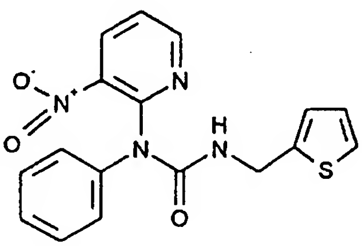
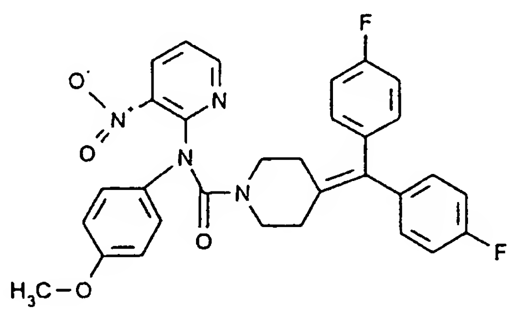
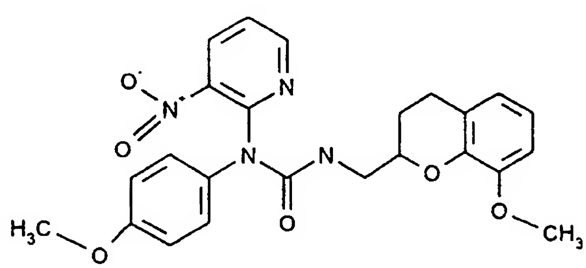

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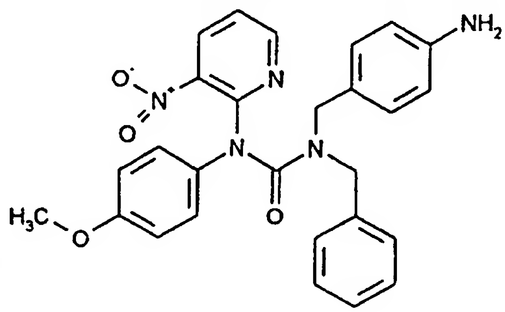
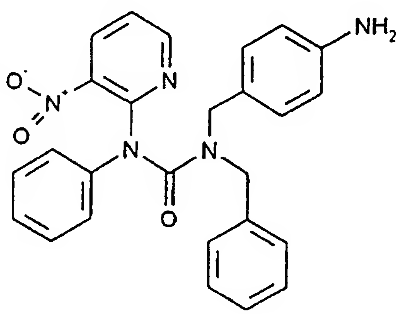

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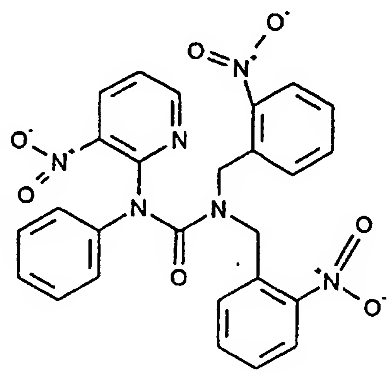
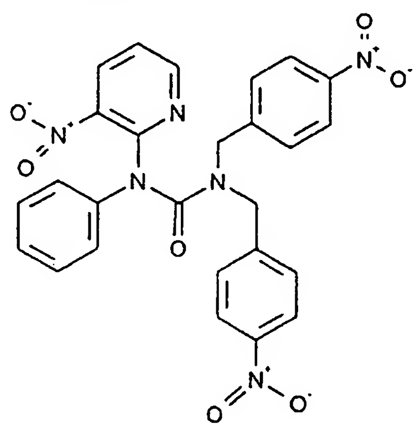

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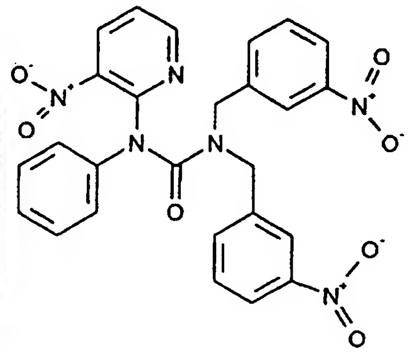
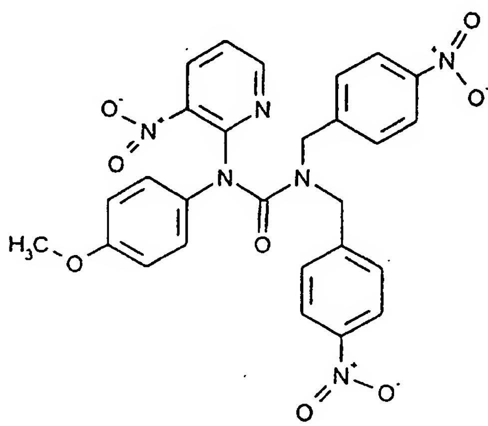

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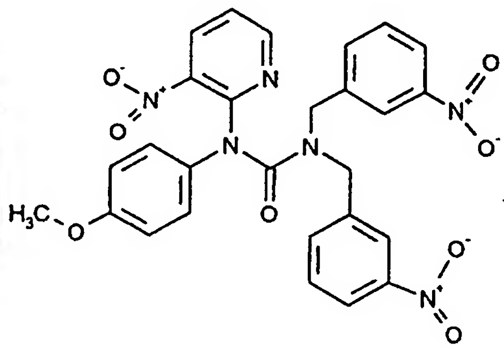
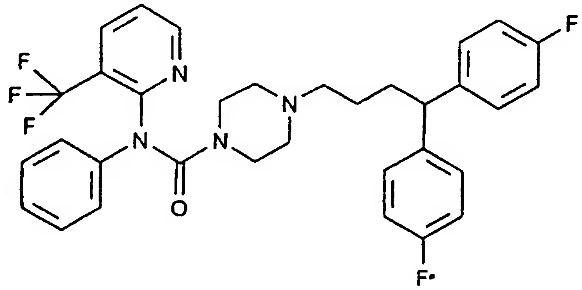
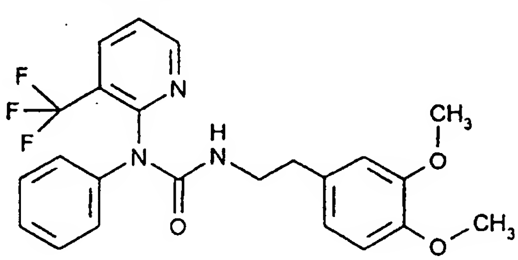

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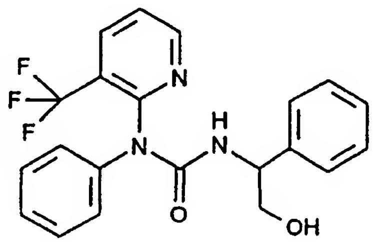
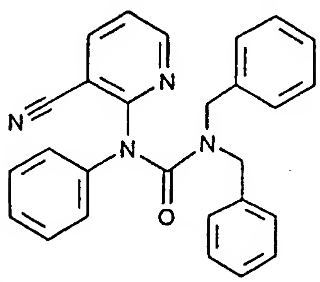
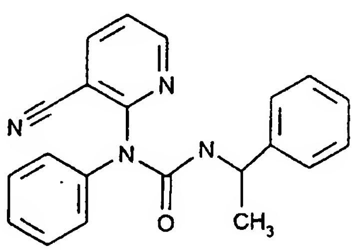

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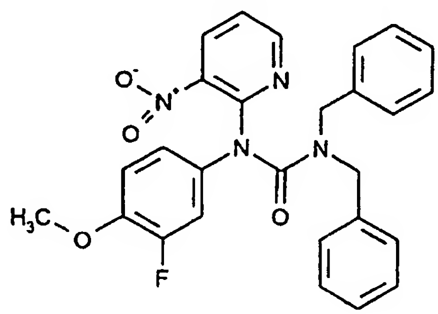
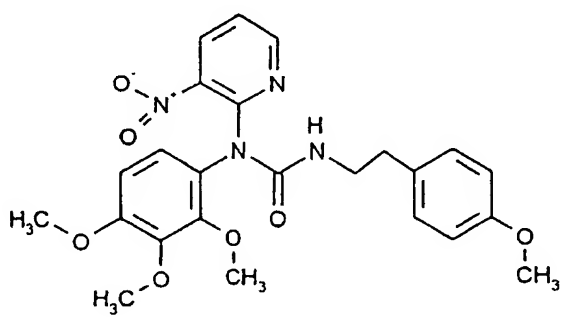
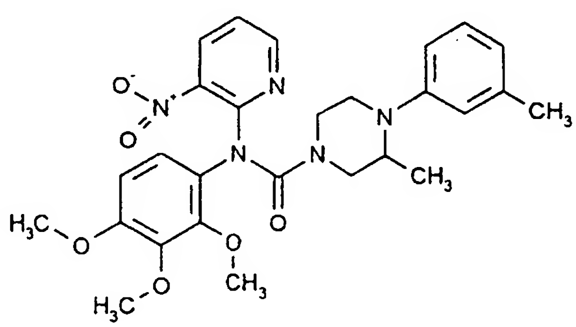

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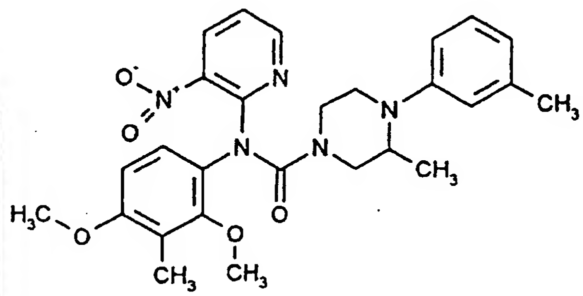
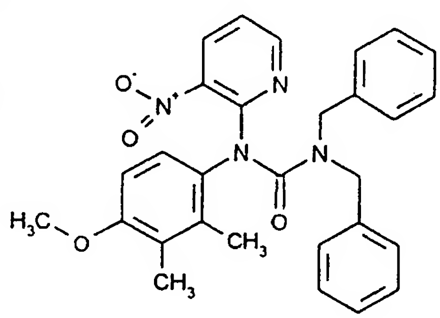
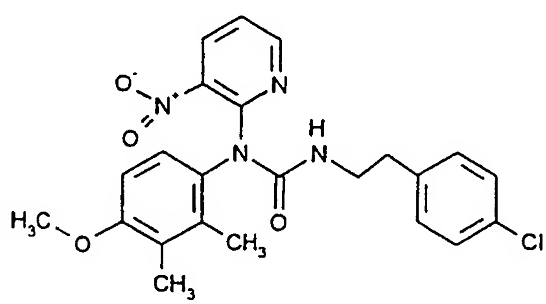

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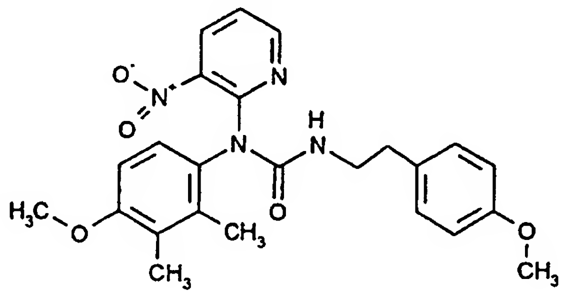
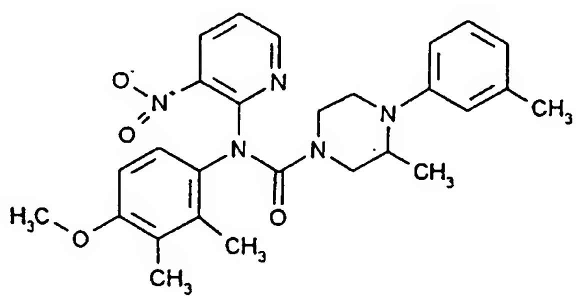
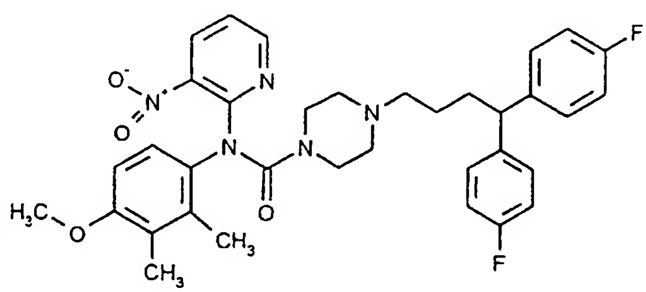

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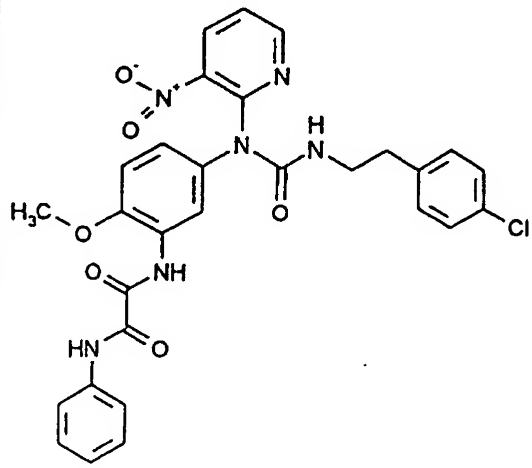
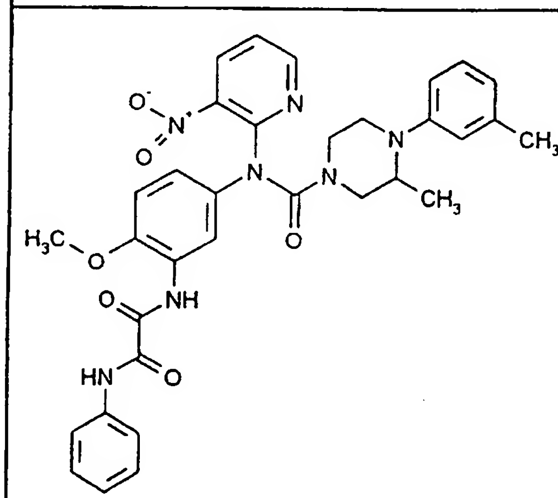

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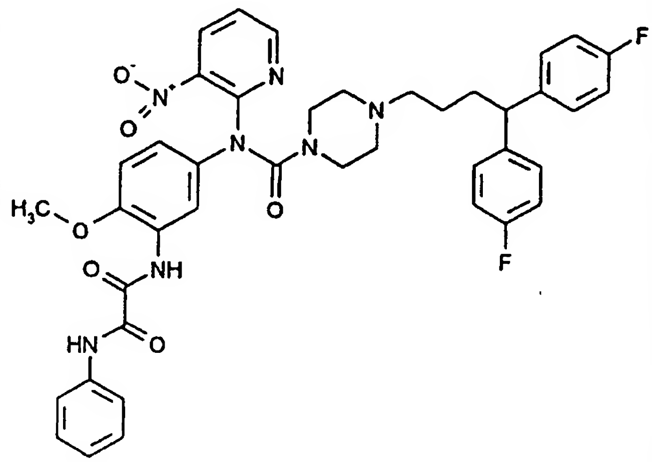
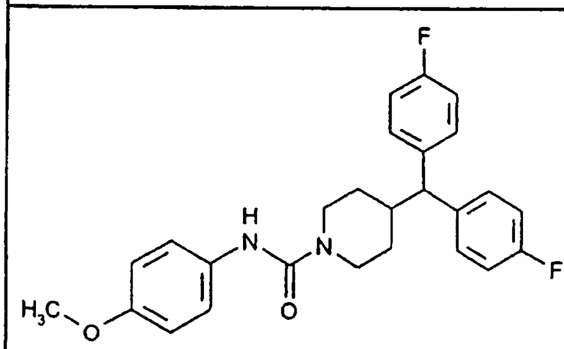
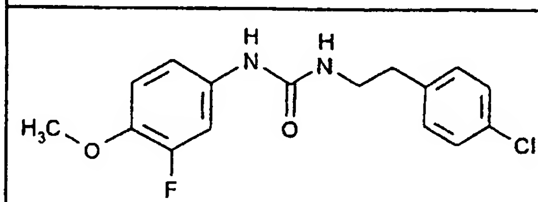

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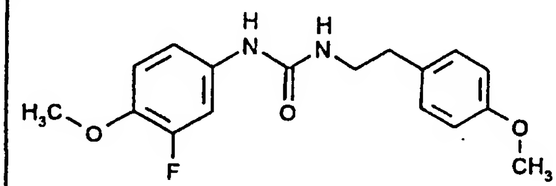
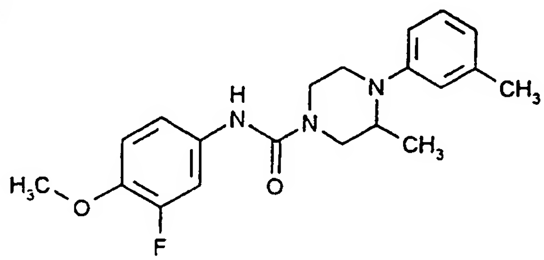
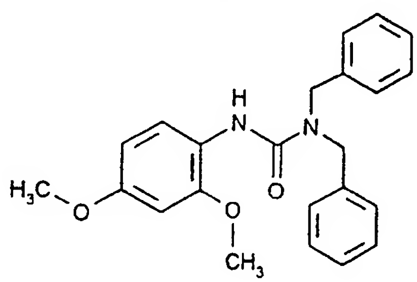
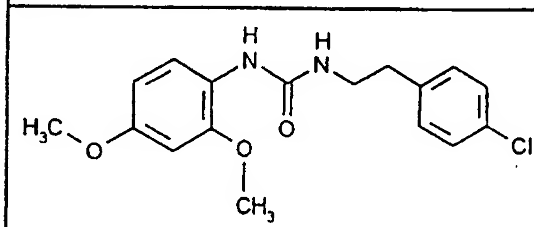

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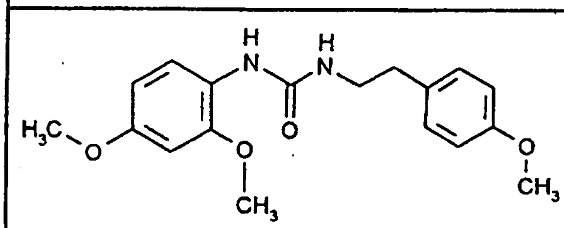
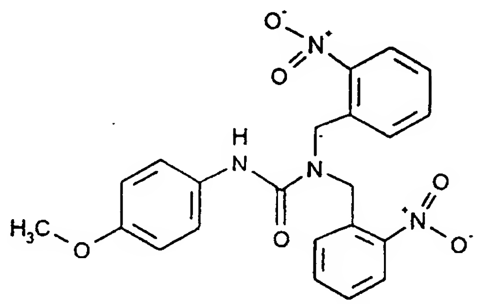
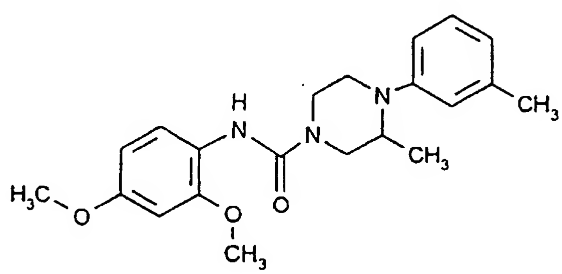

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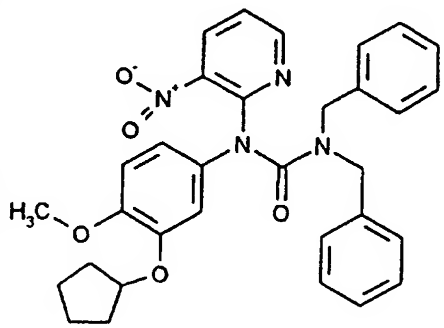
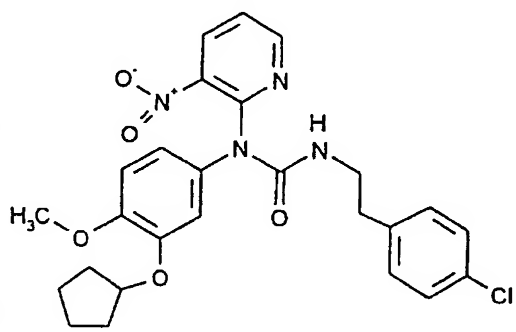

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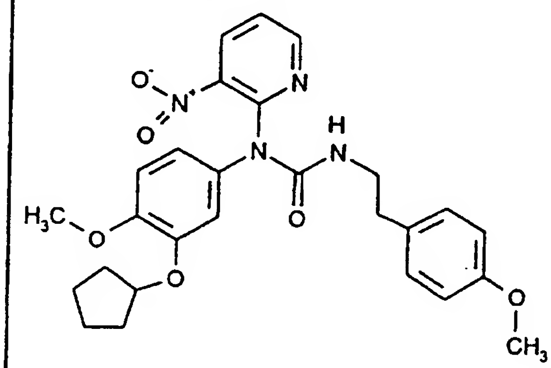
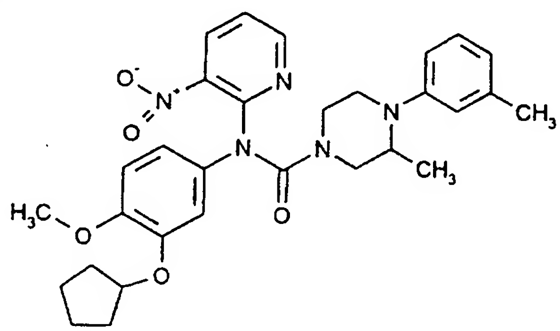

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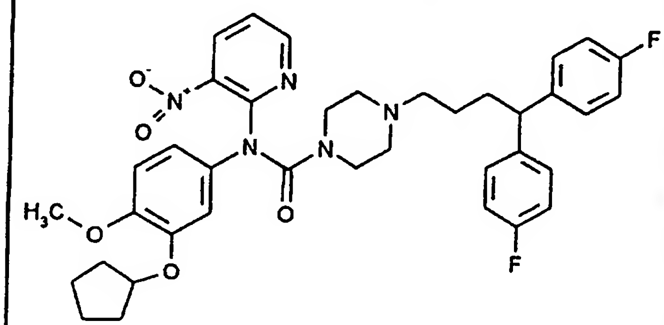
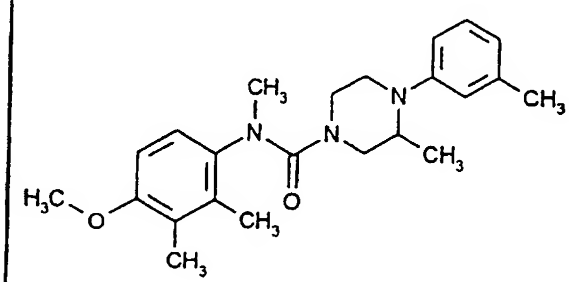



The compound of the general formula (I) can also be present in the form of their salts. In general, salts with organic or inorganic bases or acids may be mentioned here.

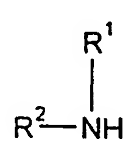
Physiologically acceptable salts are preferred in the context of the present invention. Physiologically acceptable salts of the 2-amino-heterocycles and the new compounds can be metal or ammonium salts of the substances according to the invention, which contain a free carboxylic group. Those which are particularly preferred are, for example, sodium, potassium, magnesium or calcium salts, and also ammonium salts which are derived from ammonia, or organic amines, such as, for example, ethylamine, di- or triethylamine, di- or triethanolamine, dicyclohexylamine, dimethylaminoethanol, arginine, lysine or ethylenediamine.

Physiologically acceptable salts can also be salts of the compounds according to the invention with inorganic or organic acids. Preferred salts here are those with inorganic acids such as, for example, hydrochloric acid, hydrobromic acid, phosphoric acid or sulphuric acid, or salts with organic carboxylic or sulphonic acids such as, for example, acetic acid, maleic acid, fumaric acid, malic acid, citric acid, tartaric acid, ethanesulphonic acid, benzenesulphonic acid, toluenesulphonic acid or naphthalenedisulphonic acid.

Plot as a function of respective substituents compounds according to the invention can exist in stereoisomeric forms which either behave as image and mirror image (enantiomers), or which do not behave as image and mirror image (diastereomers). The invention relates both to the antipodes and to the racemate forms, as well as the diastereomer mixtures. The racemate forms, like the diastereomers, can be separated into the stereoisomerically uniform constituents in a known manner.

A process for the preparation of the compounds of the general formula (I) has additionally been found, characterized in that

[A] compounds of the general formula (II)



(II)

in which

R^1 and R^2 have the abovementioned meaning

are reacted first with trichloromethylchloroformate and compounds of the general formula (III)

$$R^3\text{-H} \qquad \text{(III)}$$

in which

R^3 has the abovementioned meaning

or

[B] compounds of the general formula (II) are

directly reacted with compounds of the general formula (IV)

$$X\text{-CO-}R^3 \qquad \text{(IV)}$$

in which

X denotes halogen, preferably chlorine

and

R^3 has the abovementioned meaning,

in inert solvents, if appropriate in the presence of a base and/or in the presence of an auxiliary,

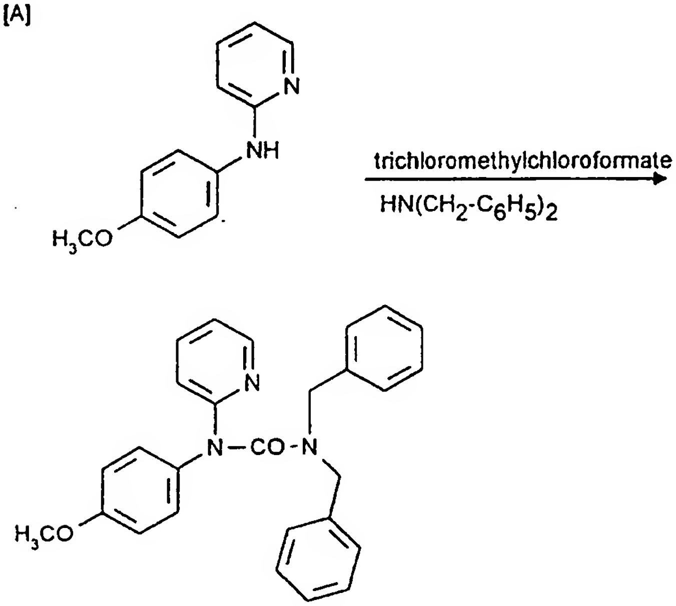
and in the case of amides the carbon acids are reacted with the corresponding amines optionally in the presence of a base and/or an auxiliary,

and in the case of esters the corresponding acids are etherified,

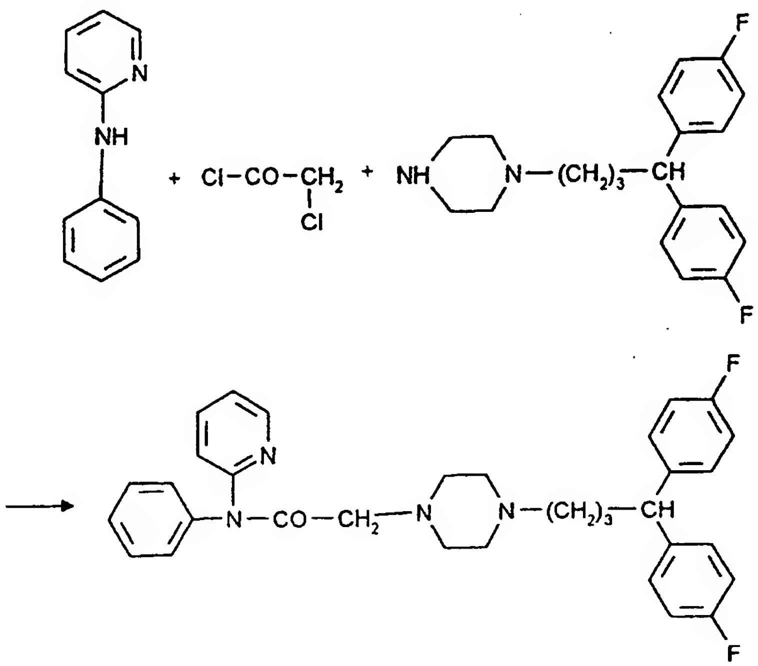
and in the case of carbon acid esters are hydrolysed by customary method and in the case of diamides (-NR^7R^8) the monoamides are reacted with the halogenides in the presence of KHMDS.

The process according to the invention can be illustrated by way of example by the following equations:

[A]



[B]



Suitable solvents are generally customary organic solvents which do not change under the reaction conditions. These include ethers such as diethyl ether, dioxane or tetrahydrofurane, acetone, dimethylsulfoxide, dimethylformamide or alcohols such as methanol, ethanol, propanol or halogenohydrocarbons such as dichlormethane, trichloromethane or tetrachloromethane. Dioxane is preferred.

Suitable bases are generally inorganic or organic bases. These preferably include alkali metal hydroxides such as, for example, sodium hydroxide, sodium hydrogencarbonate or potassium hydroxide, alkaline earth metal hydroxides such as, for example, barium hydroxide, alkali metal carbonates such as sodium carbonate, potassium carbonate, alkaline earth metal carbonates such as calcium carbonate, or alkaline metal, or kaliumhexamethyldisilazid or organic amines (trialkyl(C_1-C_6)amines) such as triethylamine, or heterocycles such as 1,4-diazabicyclo[2.2.2]octane (DABCO), 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU), or amides such as sodium amides, lithium butyl amide or butyllithium, pyridine or methylpiperidine. It is also possible to employ alkali metals, such as sodium or its hydrides such as sodium hydride, as bases. Potassium carbonate, triethylamine, sodium hydrogencarbonate, sodiumhydroxide or kaliumhexamethyldisilazid are preferred.

The process is in general carried out in a temperature range from 0°C to +100°C, preferably from room temperature to +80°C.

The process is generally carried out at normal pressure. However, it is also possible to carry out it at elevated pressure or at reduced pressure (for example in a range from 0.5 to 5 bar).

The base is employed in an amount from 1 mol to 10 mol, preferably from 1.0 mol to 4 mol, relative to 1 mol of the compounds of the general formulae (III) or (IV).

The compounds of the general formula (II) are known or can be prepared by reacting compounds of the general formula (V)

$$R^1\text{-}Y \qquad (V)$$

in which

R^1 has the abovementioned meaning

and

Y represents halogen, preferably chlorine,

with amines of the general formula (VI)

$$R^2\text{-}NH_2 \qquad (VI)$$

in which

R^2 has the abovementioned meaning,

where the corresponding amines react as solvents simultaneous.

The process is in general carried out in a temperature range from +60°C to +200°C, preferably from +100°C to +160°C.

The process is generally carried out at normal pressure. However, it is also possible to carry out it at elevated pressure or at reduced pressure (for example in a range from 0.5 to 5 bar).

The compounds of the general formulae (III), (IV), (V) and (VI) are known and in some cases new and can be prepared by customary methods.

The 2-amino-heterocycles of the general formula (I) and the new compounds according to the invention can be employed as active compounds in medicaments. The substances can act as inhibitors of enzymatic reactions in the context of arachidonic acid metabolism.

The compounds of the general formula (I) surprisingly exhibit a high activity as inhibitors of leukotriene synthesis, specifically inhibit the production of leukotriene B_4 by polymorphonuclear leucocytes (PMN).

They are therefore preferably suitable for the treatment and prevention of diseases of the respiratory passages, such as allergies/asthma, bronchitis, emphysema, shock lung, pulmonary hypertension, inflammations/rheumatism and oedemas, thromboses and thromboembolism, ischaemis (disturbances in peripheral, cardiac and cerebral circulation), cardiac and cerebral infarctions, disturbances in cardiac rhythm, angina pectoris and arterioscleoris, in the event of tissue, transplants, dermatoses, such as psoriasis, inflammatory dermatoses, for example eczema, dermatophyte infection, infections of the skin by bacteria, metastases and for cytoprotection in the gastrointestinal tract.

<u>Test description</u>

1. Preparation of human PMN
   Blood was taken from healthy subjects by venous puncture and neutrophils were purified by dextran sedimentation and resuspended in the buffered medium.

2. Inhibition of thaspsigargin-induced leuktoriene B_4 generation
   Neutrophils (4×10^5 cells/ml) were placed in a 96 well microtitre plate and prewarmed to 37°C. Compounds according to the invention were added in dimethyl sulphoxide (DMSO). Compound concentration ranged from 0.3 to

30 μM, the DMSO concentration was ≤ 0.3% v/v. The plate was incubated for 5 min at 37°C. Neutrophils were then stimulated by addition of 1 μM thapsigargin followed by 1.3 mM Ca^{2+}. The reaction was stopped after 5 minutes and supernatants assayed for the presence of leukotriene (LT) B_4 using an LTB_4-specific radioimmunoassay kit supplied by Amersham Internationl plc. Percentage inhibition was determined by comparison with vehicle-containing controls.

The new active compounds can be converted in a known manner into the customary formulations, such as tablets, coated tablets, pills, granules, aerosols, syrups, emulsions, suspensions and solutions, using inert, nontoxic, pharmaceutically suitable excipients or solvents. In this connection, the therapeutically active compound should in each case be present in a concentration of about 0.5 to 90% by weight of the total mixture, i.e. in amounts which are sufficient in order to achieve the dosage range indicated.

The formulations are prepared, for example, by extending the active compounds with solvents and/or excipients, if appropriate using emulsifiers and/or dispersants, where, for example, in the case of the use of water as a diluent, organic solvents can be used as auxiliary solvents if appropriate.

Administration is carried out in a customary manner, preferably orally or parenterally, in particular perlingually or intravenously.

In the case of parenteral administration, solutions of the active compound can be employed using suitable liquid vehicles.

In general, it has proved advantageous on intravenous administration to administer amounts from about 10 to 100 mg/kg, preferably about 10 to 50 mg/kg of body weight to achieve effective results, and on oral administration the dosage is about 10 to 100 mg/kg, preferably 10 to 50 mg/kg of body weight.

In spite of this, it may be necessary to depart from the amounts mentioned, in particular depending on the body weight or the type of application route, on individual behaviour towards the medicament, the manner of its formulation and the time or interval at which administration takes place. Thus, in some cases it may be sufficient to manage with less than the abovementioned minimum amount,

while in other cases the upper limit mentioned must be exceeded. In the case of administration of relatively large amounts, it is advisable to divide these into several individual doses over the course of the day.

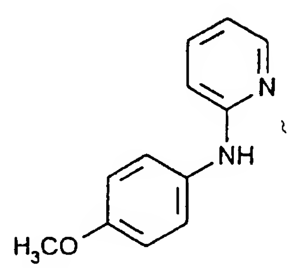
Solvents:

PE : EE	2:1	I
BABA		II
EE : PE	10:1	III
CH_2Cl_2:CH_3OH	9:1	IV
PE:EE	1:2	V
PE:EE	1:1	VI
EE:PE	5:1	VII

Starting compounds

Example I

2-(4-Methoxyanilino)pyridine



A mixture of 1.05 ml (11.2 mmol) 2-chloropyridine and 9.5 g (77 mmol) 4-methoxyaniline were heated to 150°C. After 1 hr another 6.15 ml (65.2 mmol) 2-chloropyridine were added. 3 hrs. later the crude product was purified by chromatography (gradient eluation: PE/EE 20:1, 10:1, 5:1, 2:1) yielding 12.7 g of the title compound, which was recrystallized from ethylacetate (8.8 g $\hat{=}$ 57.8% of theory).

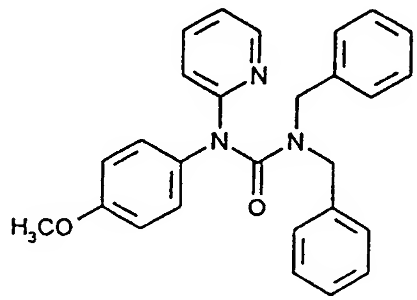
^{1}H-NMR (250 MHz, D_6-DMSO): δ = 3.71 (s, 3H); 6.62 - 6.67 (ddd, 1H); 6.72 (d, 1H); 6.84 - 6.89 (m, 2H); 7.45 - 7.57 (m, 3H); 8.07 (dt, 1H); 8.75 (s, 1H).

MS (70 eV): m/z (%) = 200 (100) [M^+].

Preparation Examples:

Example 1

N-(1-(4-Methoxyphenyl)-N-2-pyridyl-N'-dibenzyl-urea



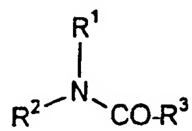
To a solution of 500 mg (2.5 mmol) of example I in 25 ml dioxane were added 166 µl (0.55 eq) chlorotrichloromethylformate dropewise. This mixture was kept at 60°C for 17 hrs, followed by addition of 0.58 ml (3.0 mmol) dibenzylamine. After another 24 hrs at 60°C the mixture was cooled to room temperature, the solvent removed under reduced pressure and the residue dissolved in ethylacetate. Aqueous work up yielded an oil, which was purified by chromatography (PE / EA = 5:1) yielding 302 mg (46.1%) of chloro-N-(4-methoxyphenyl)-N-2-pyridyl-formamide and 112 mg (10.5%) of N-(1-(4-Methoxyphenyl)-N-2-pyridyl-N'-dibenzyl-urea.

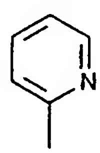
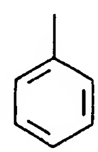
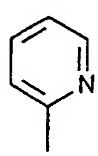
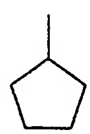
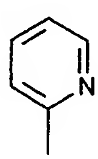
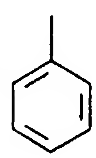
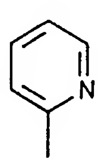
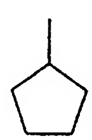
Example 1:
^{1}H-NMR (250 MHz, $CDCl_3$): δ = 3.80 (s, 3H); 4.43 (s, 4H); 6.68 (d, 1H); 6.83 - 6.92 (m, 3H); 7.02 - 7.08 (m, 2H); 7.20 - 7.32 (m, 10H); 7.49 (m, 1H); 8.33 - 8.37 (ddd, 1H).
MS (FAB): m/z (%) = 424 (100) [M^++1].

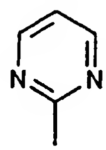
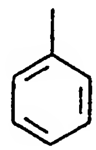
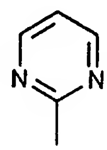
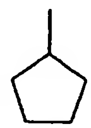
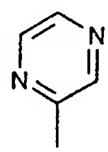
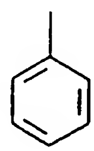
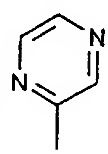
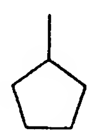
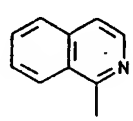
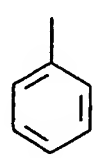
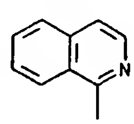
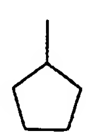
chloro-N-(4-methoxyphenyl)-N-2-pyridylformamide:
^{1}H-NMR (250 MHz, $CDCl_3$): δ = 3.81 (s, 3H); 6.84 - 6.97 (m, 2H); 7.18 - 7.23 (ddd, 1H); 7.26 - 7.34 (m, 2H); 7.51 (dd, 1H); 7.77 (ddd, 1H), 8.45 (ddd, 1H).
MS (FAB) m/z (%) 263 (55) [M^++1].

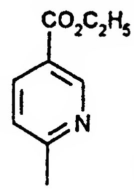
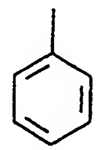
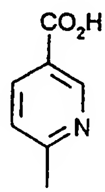
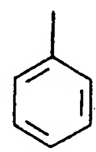
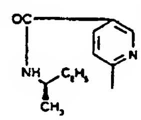
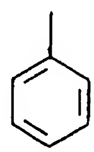
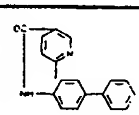
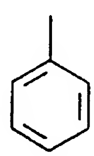
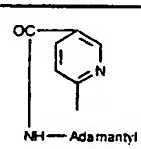
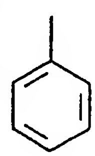
The compounds shown in Table 1 are prepared in analogy to the procedure of example 1 or by the way of the indicated methods.

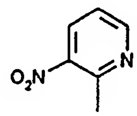
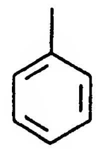
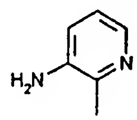
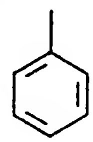
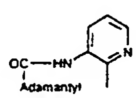
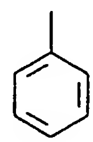
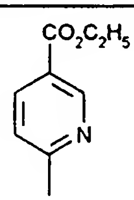
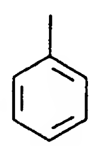
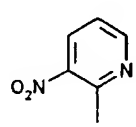
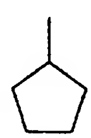
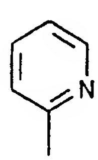
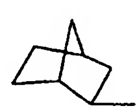
Table 1:

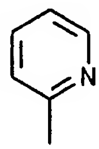
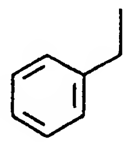
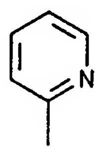
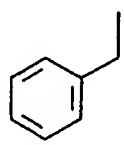
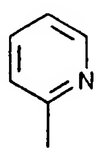
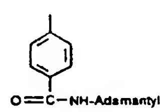
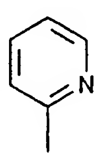
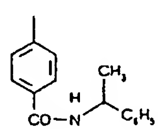
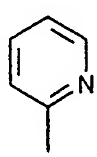
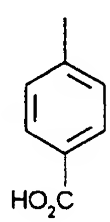


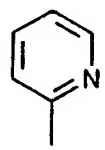
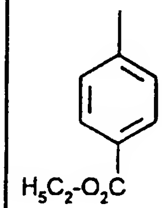
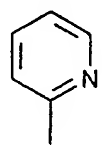
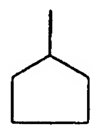
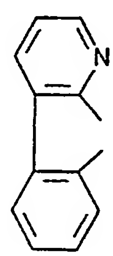
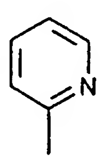
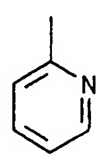
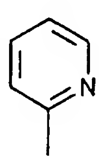
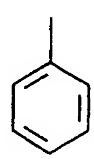
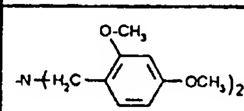
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
2			$-N(CH_2-C_6H_5)_2$	80.2	0.43 I a)
3			$-N(CH_2-C_6H_5)_2$	59.2	0.53 I b)
4			$-NH-CH_2-C_6H_5$	17.4	0.46 III i)
5			$-NH-CH_2-C_6H_5$	82.6	0.18 I a)

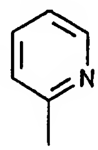
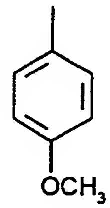
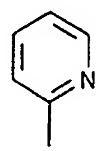
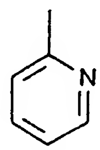
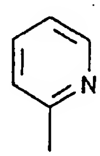
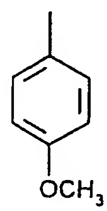
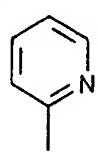
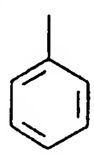
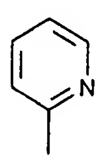
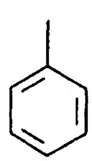
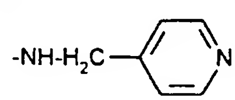
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
6			$-N(CH_2-C_6H_5)_2$	20.5	0.23 I a)
7			$-NH-CH_2-C_6H_5$	7	0.28 I a)
8			$-N(CH_2-C_6H_5)_2$	92.7	0.209 I a)
9			$-NH-CH_2-C_6H_5$	7.3	0.216 I a)
10			$-N(CH_2-C_6H_5)_2$	24.5	0.45 I a)
11			$-NH-CH_2-C_6H_5$	5	0.34 I a)

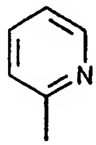
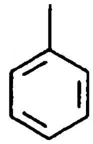
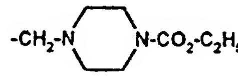
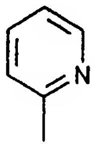
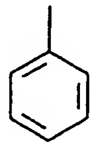
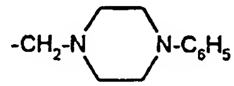
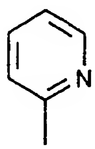
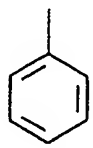
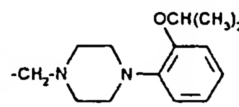
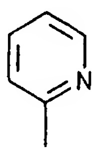
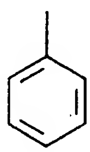
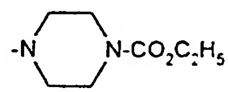
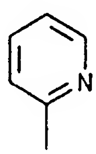
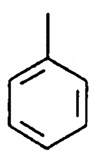
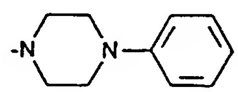
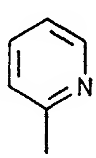
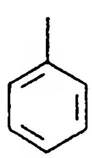
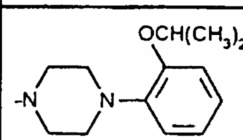
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
12			$-N(CH_2-C_6H_5)_2$	27.4	0.44 I a)
13			$-N(CH_2-C_6H_5)_2$	82.7	0.45 IV a)
14	 (+)		$-N(CH_2-C_6H_5)_2$	77.3 *	0.66 V c)
15			$-N(CH_2-C_6H_5)_2$	58.5	0.73 V c)
16			$-N(CH_2-C_6H_5)_2$	83.5	0.68 V c)

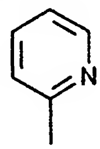
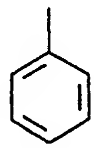
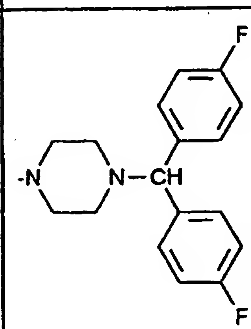
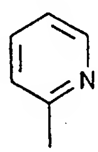
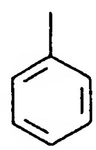
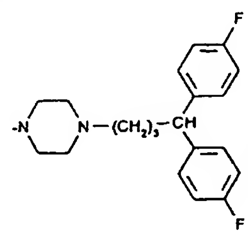
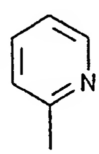
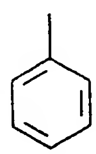
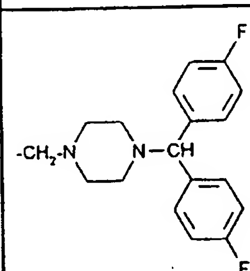
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
17			$-N(CH_2-C_6H_5)_2$	60.7	0.39 I a)
18			$-N(CH_2-C_6H_5)_2$	62	0.16 I a)
19			$-N(CH_2-C_6H_5)_2$	48.7	0.57 I d)
20			$-NH-CH_2-C_6H_5$	33.8	0.35 I a)
21			$-NH-CH_2-C_6H_5$	67.2	0.11 I a)
22			$-N(CH_2-C_6H_5)_2$	22	0.57 I a)

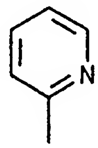
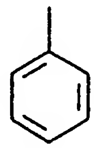
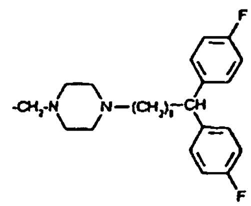
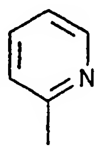
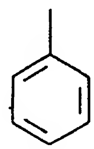
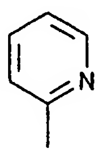
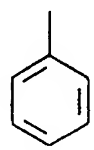
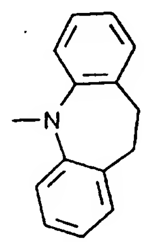
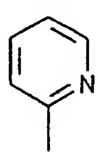
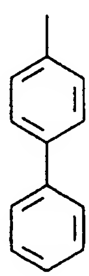
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
23			$-NHCH_2-C_6H_5$	16.7	0.4 I a)
24			$-N(CH_2-C_6H_5)_2$	30.3	0.49 I a)
25			$-N(CH_2C_6H_5)_2$	95.2	0.56 I c)
26			$-N(CH_2C_6H_5)_2$	84.3	0.44 V c)
27			$-N(CH_2-C_6H_5)_2$	78.5	0.47 c)

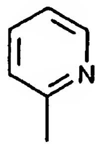
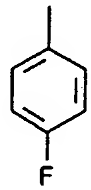
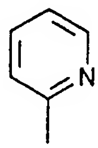
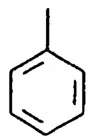
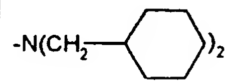
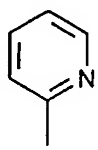
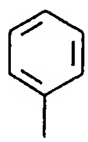
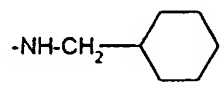
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
28			$-N(CH_2-C_6H_5)_2$	52.7	0.34 I a)
29			$-N(CH_2CH_2-C_6H_4-p-Cl)_2$	42.5	0.11 I a)
30			$-N(CH_2-C_6H_5)_2$	6	0.3 I f)
31			$-N(CH_2-C_6H_5)_2$	14.9	0.37 I b)
32				67.8	0.25 VI a)

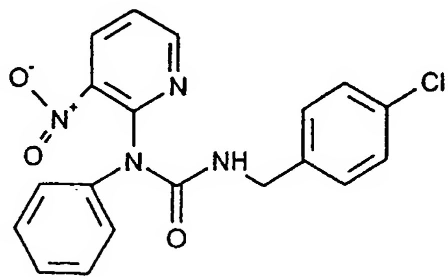
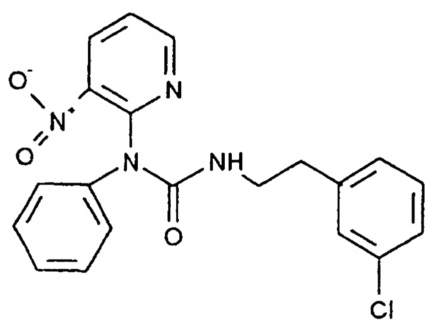
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
33			$-NH-CH_2-C_6H_5$	80.5	0.18 I
34			$-NH-CH_2-C_6H_5$	46.6	0.23 VII a)
35			$-NH(CH_2)_2-C_6H_4$-p-Cl	70.2	0.12 I a)
36			$-NH-CH(C_6H_5)_2$	37.8	0.45 I a)
37				47.9	0.13 III a)

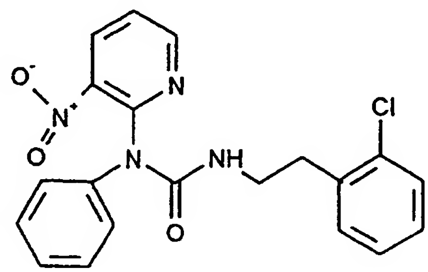
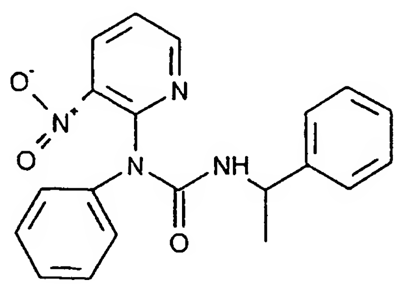
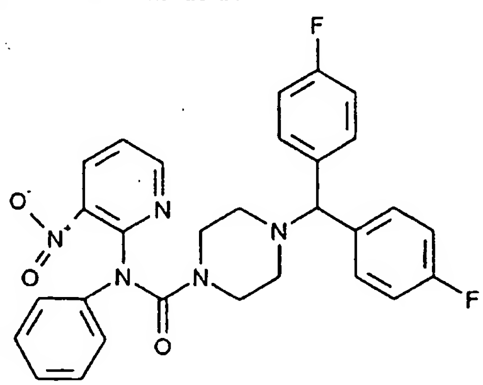
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
38				20.5	0.33 II g)
39				25.9	0.31 III g)
40				14.5	0.86 III g)
41				23.7	0.43 I a)
42				21.4	0.49 III a)
43				8.0	0.76 III a)

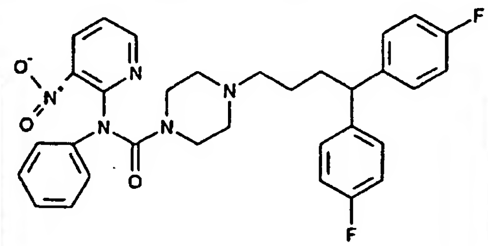
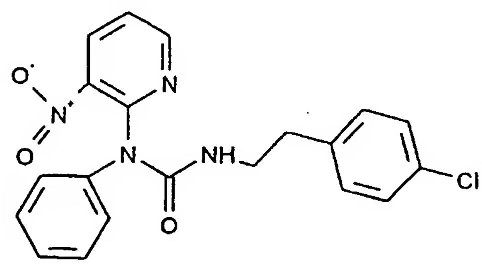
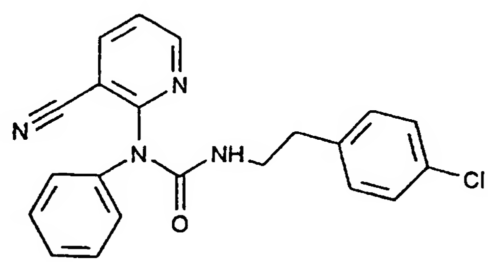
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
44				54.5	0.49 III a)
45				36.6	0.15 III a)
46				8.3	0.35 III g)

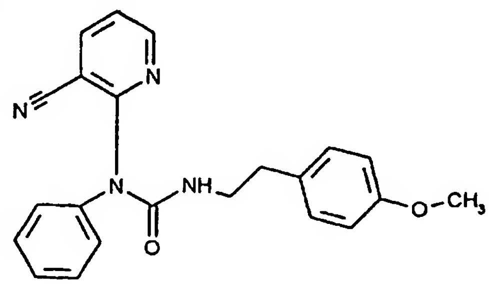
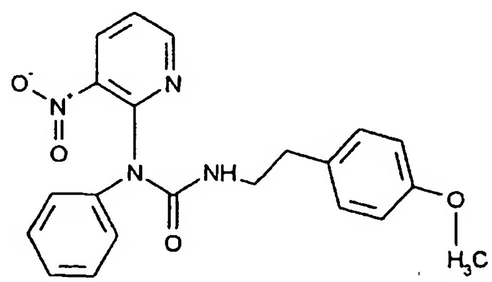
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
47				16.8	0.05 III g)
48			-CO-N($CH_2C_6H_5$)$_2$	57.1	0.34 I h)
49				31.5	0.15 I a)
50			-N($CH_2C_6H_5$)$_2$	22	0.31 I a)

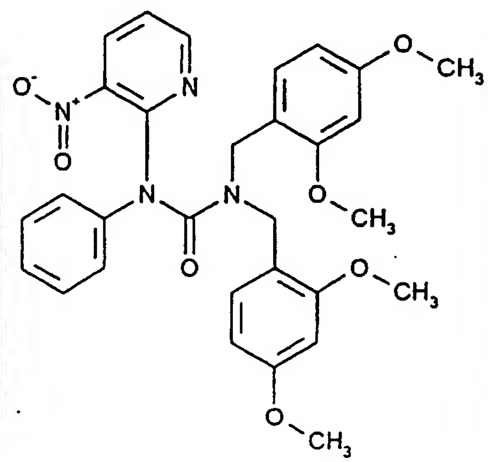
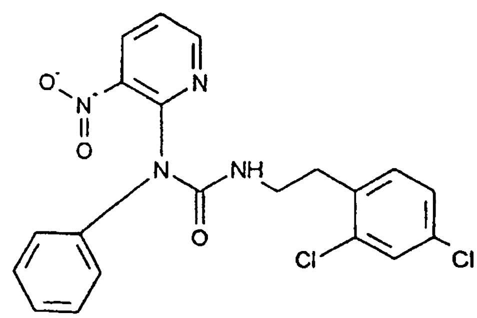
Ex.-No.	R^1	R^2	R^3	Yield (% of theory)	R_f * method
51			$-N(CH_2C_6H_5)_2$	51	0.35 I a)
52				80.2	0.43 I a)
53				55.7	0.36 I a)

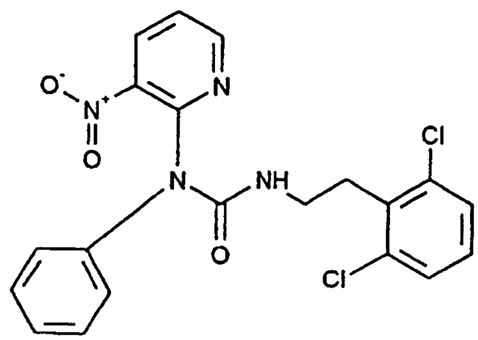
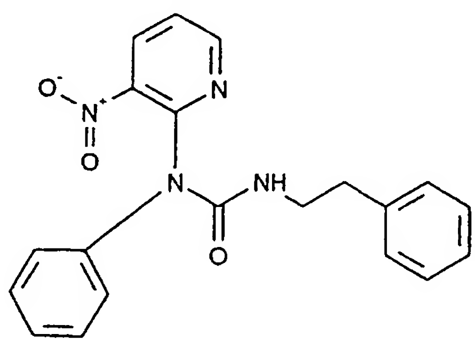
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
54		77.8	0.5400 CH_2Cl_2: MeOH=50:1
55		17.1	0.3900 Cycl.:EE= 7:3

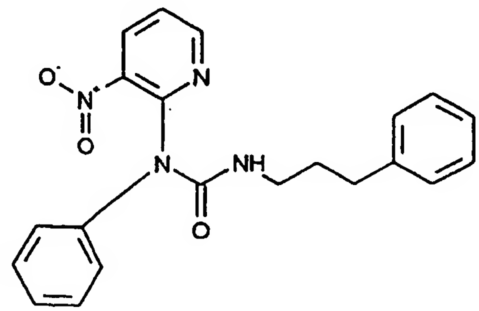
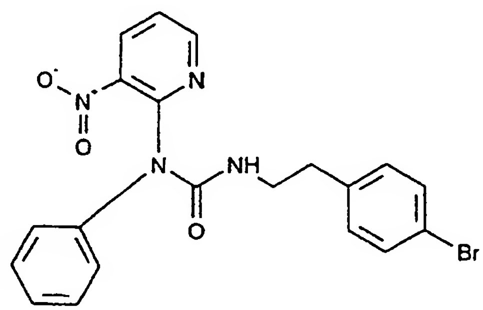
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
56		7.6	0.1900 Cycl.:EE= 7:3
57		82.6	0.61 CH_2Cl_2: MeOH=50:1
58		46.5	0.4600 CH_2Cl_2 : MeOH=50:1

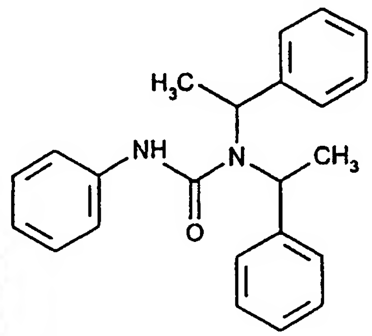
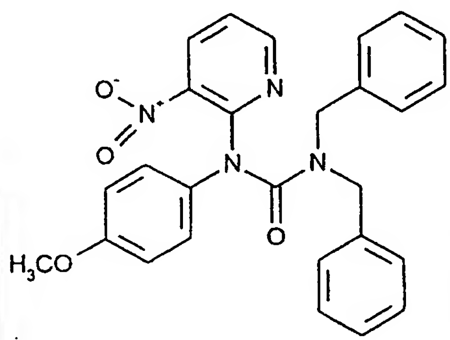
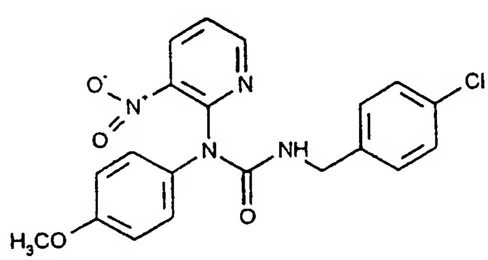
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
59		98.8	0.0300 Cycl.:EE= 3:7
60		84.1	0.1200 Cycl.:EE= 2:1
61		9.0	0.1300 Cycl.:EE= 1:2

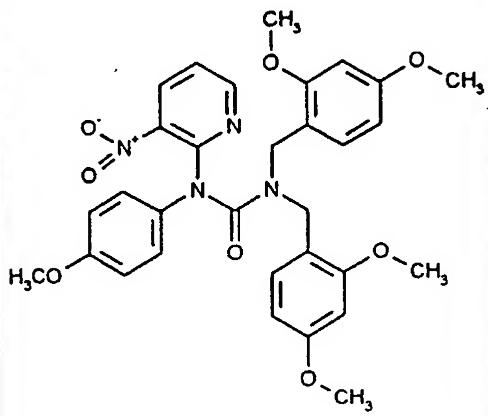
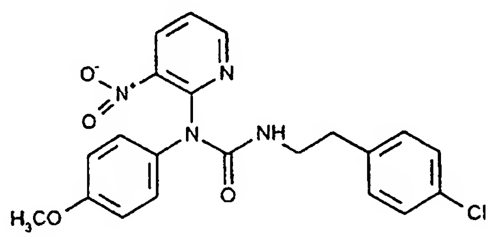
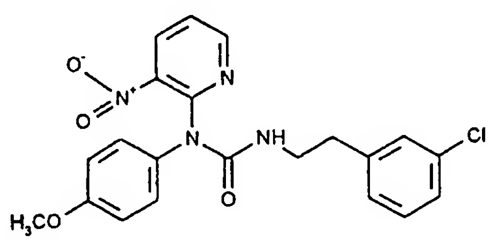
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
62		8.0	0.2100 Cycl.:EE= 1:2
63		27.8	0.0800 Cycl.:EE= 67:33

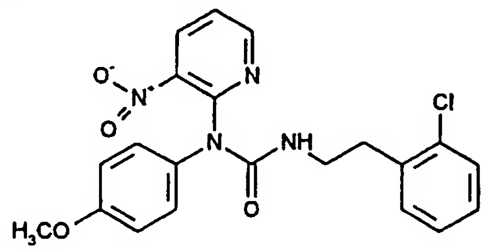
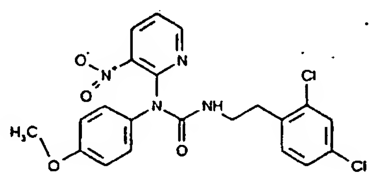
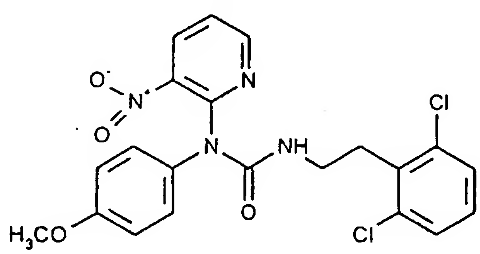
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
64		12.5	0.3200 Cycl:EE=3:3
65		13.0	0:4500 Cycl.:EE= 1:1

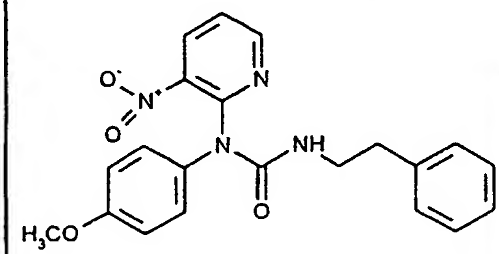
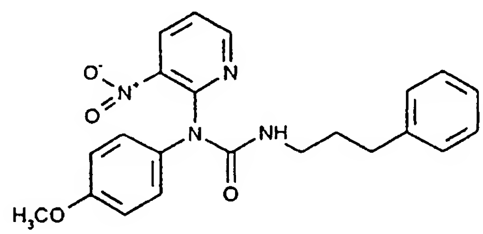
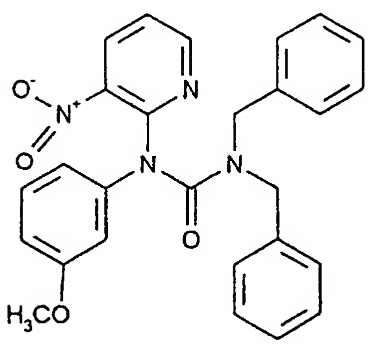
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
66		21.8	0.4600 Cycl.:EE= 1:1
67		6.9	0.3300 Cycl.:EE= 1:1

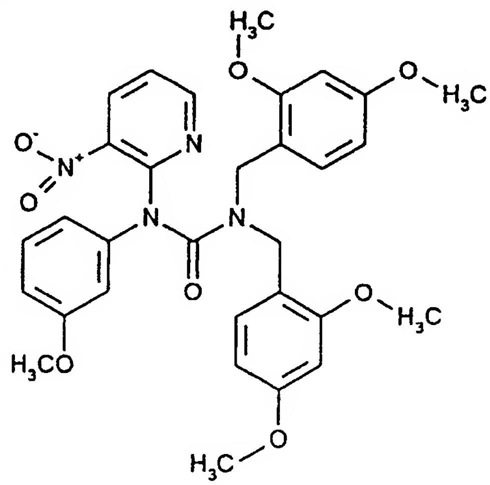
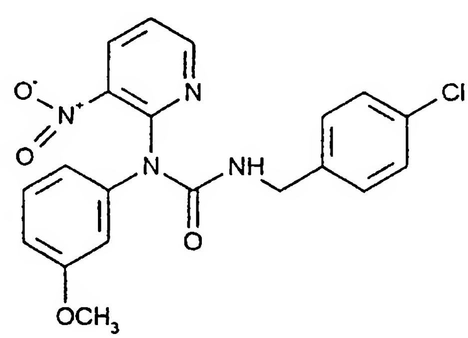
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
68		72.7	0.1200 Cycl.:EE= 1:1
69		15.9	0.3700 Cycl.:EE= 1:1

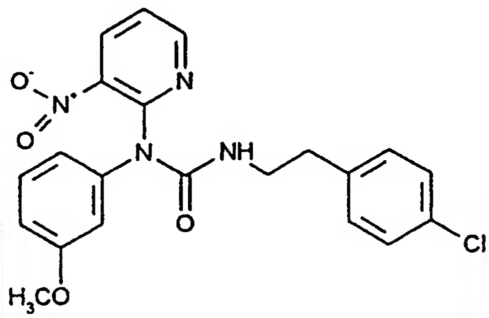
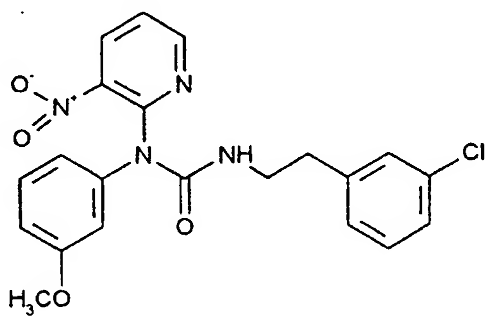
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
70		>95	0.38 Cycl.:EE 1:1
71		60	0.2200 Cycl.:EE= 1:1
72		64.3	0.3600 Cycl.:EE= 1:1

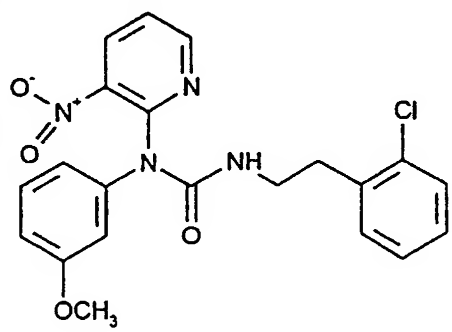
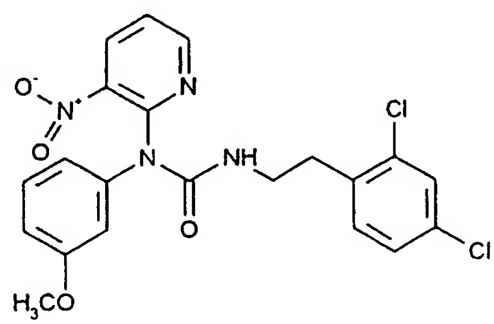
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
73		73.7	0.2200 Cycl.:EE= 1:1
74		44.6	0.2300 Cycl.:EE= 1:1
75		54.0	0.2200 Cycl.:EE= 1:1

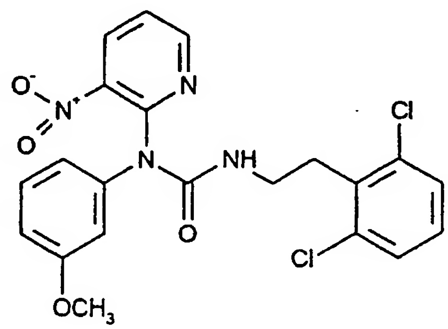
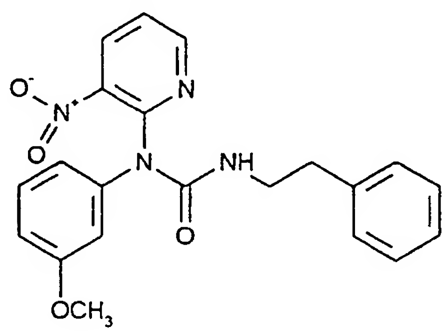
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
76		81.3	0.2500 Cycl.:EE= 1:1
77		42.1	0.2700 Cycl.:EE= 1:1
78		73.3	0.25 Cycl.:EE= 7:3

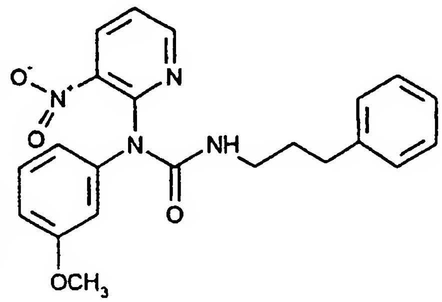
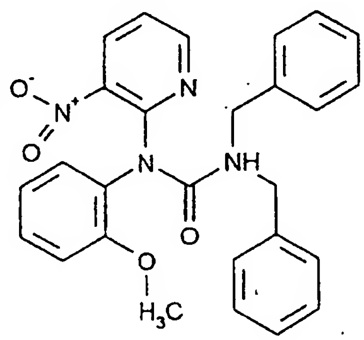
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
79		66.6	0.2200 Cycl.:EE= 1:1
80		71.7	0.07 Cycl.:EE= 25:75
81		66.9	0.3900 Cycl.:EE= 65:35

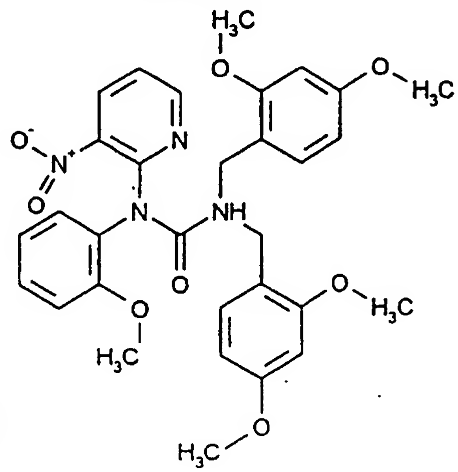
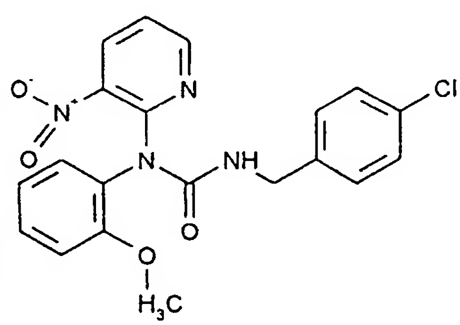
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
82		65.8	0.1800 Cycl.:EE= 1:1
83		24.8	0.0900 Cycl.:EE= 55:45

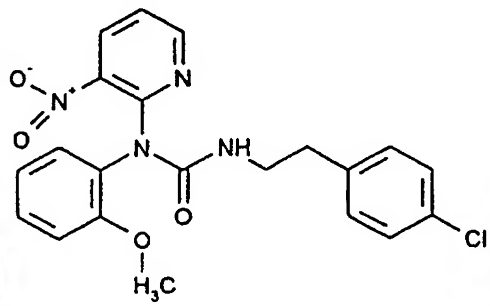
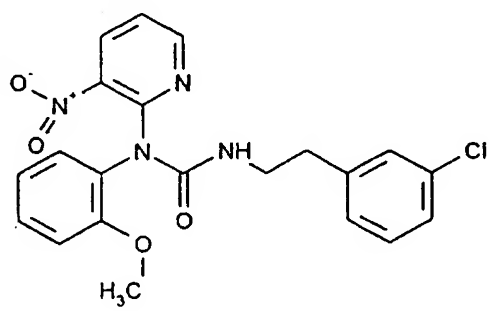
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
84		46.2	0.0600 Cycl.:EE= 60:40
85		61.7	0.0900 Cycl.:EE= 55:45

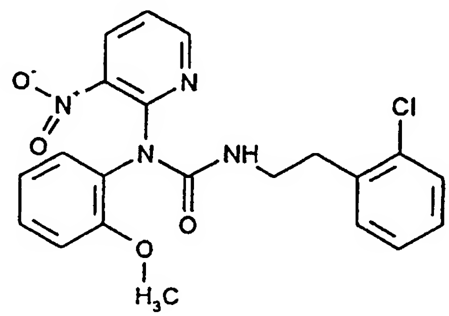
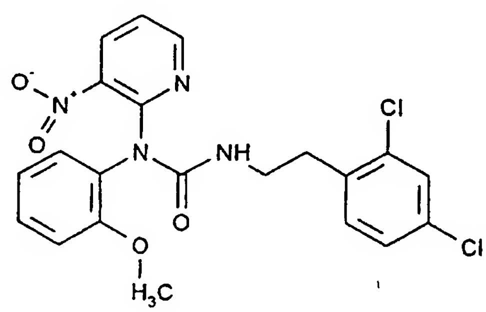
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
86		37.3	0.1100 Cycl.:EE= 55:45
87		70.1	0.0900 Cycl.:EE= 55:45

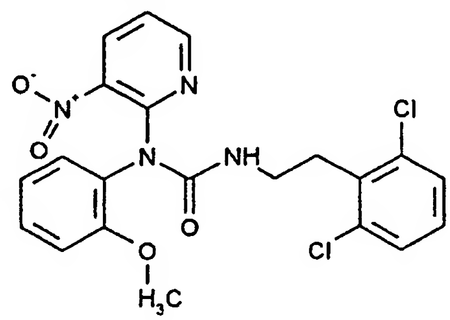
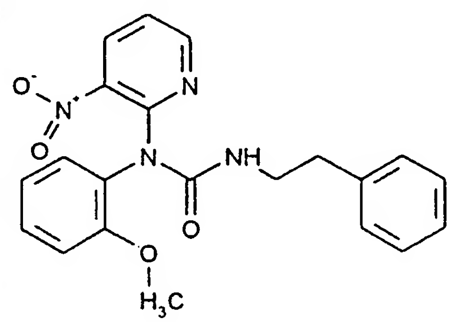
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
88		24.1	0.1300 Cycl.:EE= 55:45
89		58.2	0.0900 Cycl.:EE= 1:1

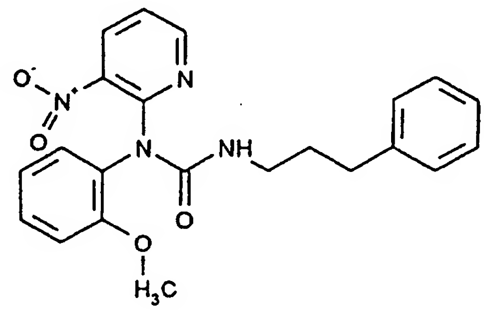
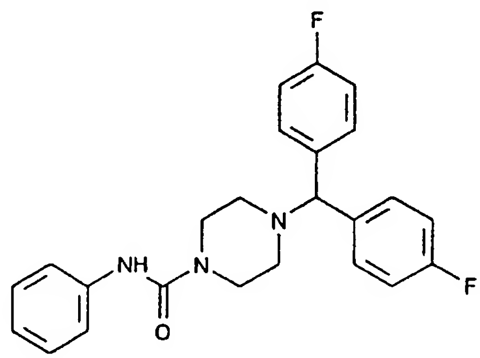
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
90		60.3	0.0800 Cycl.:EE= 1:1
91		87	0.13 Cycl.:EE= 8:2

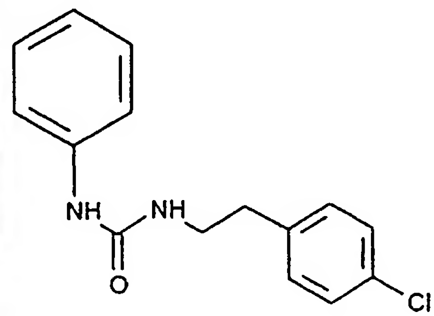
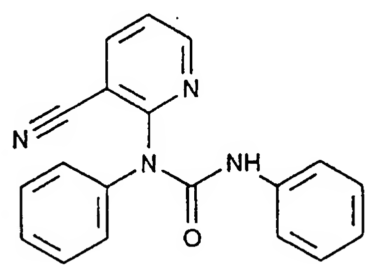
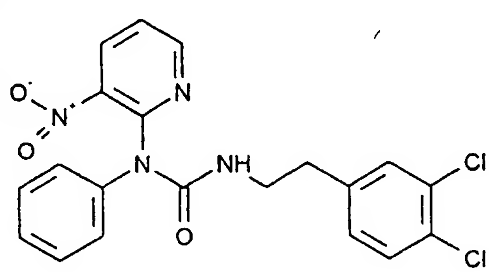
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
92		72.4	0.17 Cycl:EE=1:1
93		58.2	0.05 Cycl.:EE= 1:1

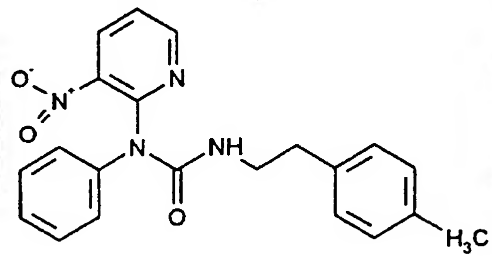
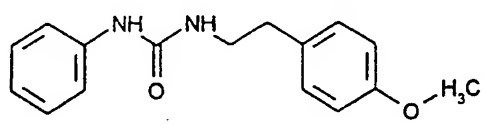
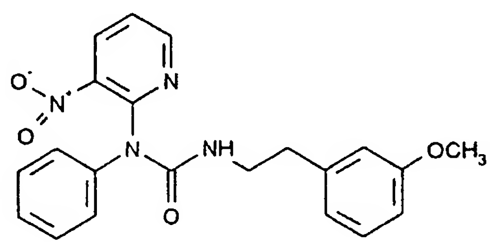
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
94		52.6	0.07 Cycl.:EE= 1:1
95		55.4	0.0700 Cycl.:EE= 1:1

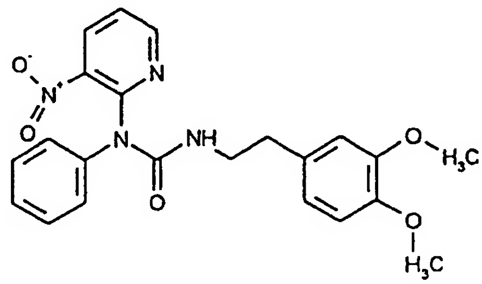
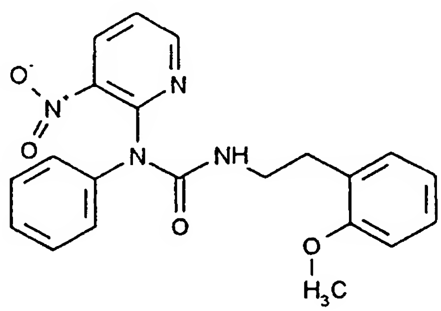
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
96		75.1	0.0600 Cycl.:EE= 1:1
97		94.1	0.0600 Cycl.:EE= 1:1

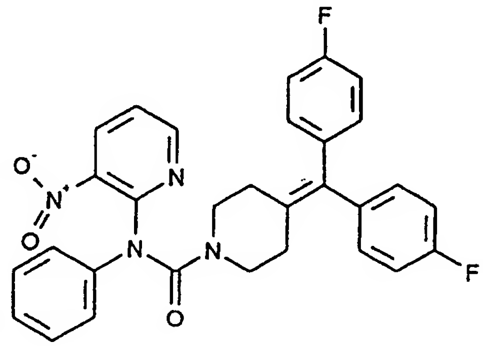
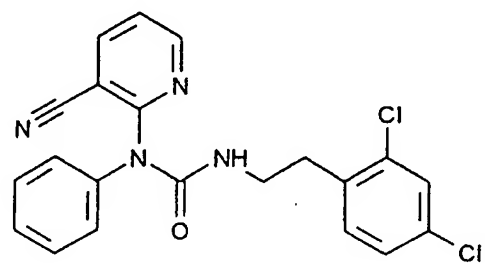
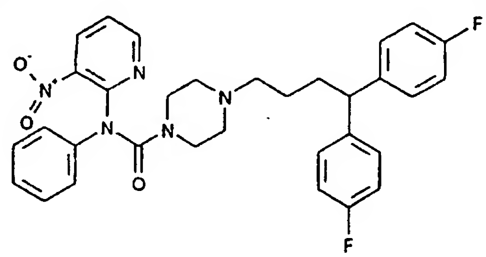
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
98		73.7	0.0800 Cycl.:EE= 1:1
99		74.5	0.0600 Cycl.:EE= 1:1

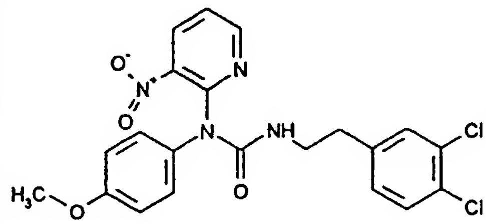
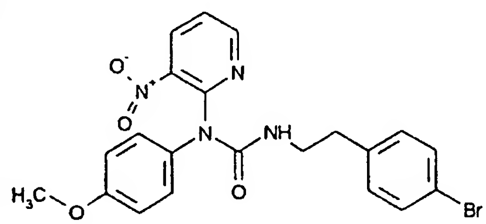
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
100		93	0.0540 Cycl.:EE= 1:1
101		6.8	0.28 Cycl.:EE= 2:1

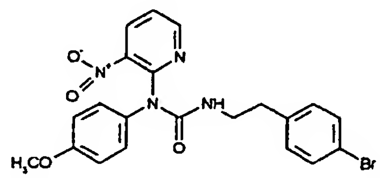
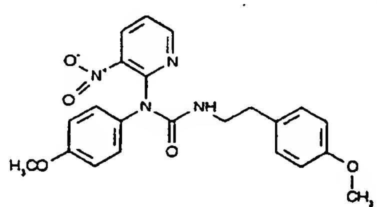
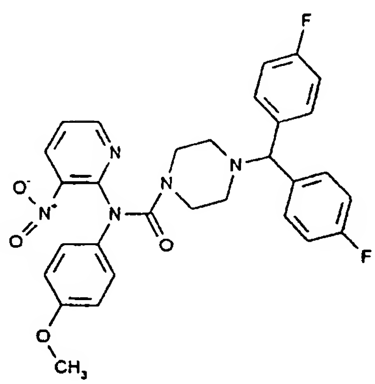
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
102		>95	0.1600 Cycl.:EE= 2:1
103		11	0.0600 Cycl.:EE= 2:1
104		95	0.1300 Cycl.:EE= 1:1

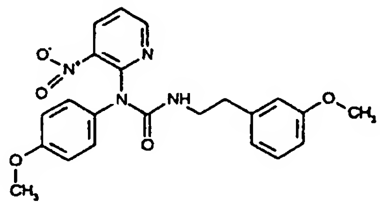
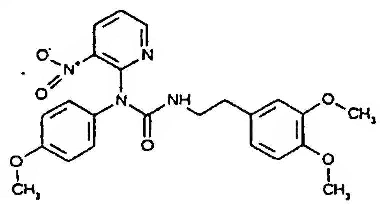
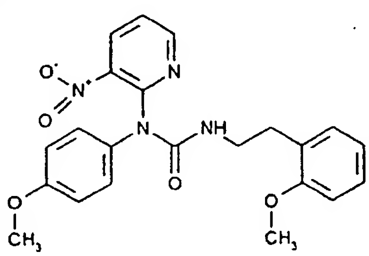
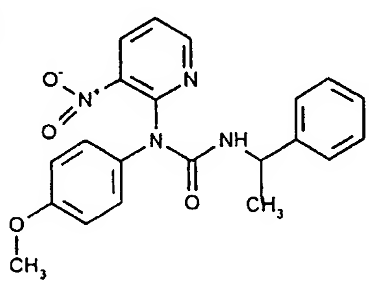
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
105		95	0.1400 Cycl.:EE= 1:1
106		>95	0.15 Cycl.:EE= 2:1
107		77.3	0.17 Cycl.:EE= 1:1

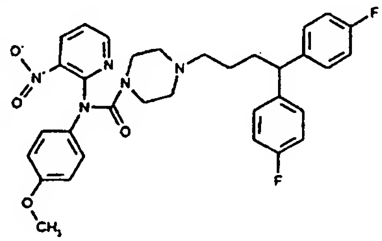
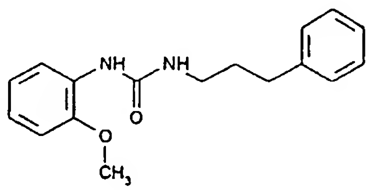
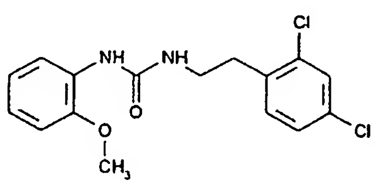
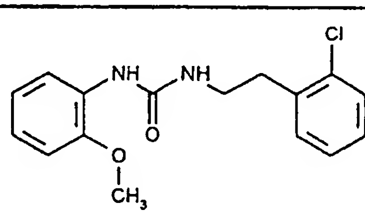
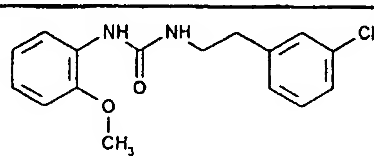
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
108		75	0.0400 Cycl.:EE= 3:7
109		74.2	0.11 Cycl.:EE= 1:1

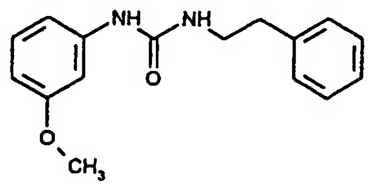
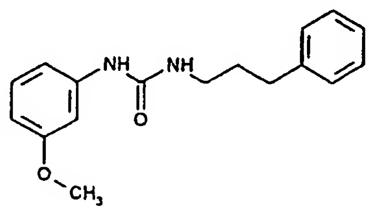
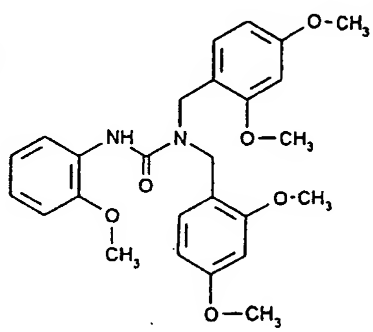
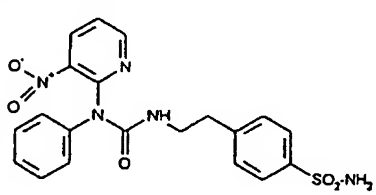
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
110		65.4	0.13 Cycl.:EE= 7:3
111		25	0.3500 Cycl.:EE= 50:1
112		98.8	0.1 Cycl.:EE= 15:85

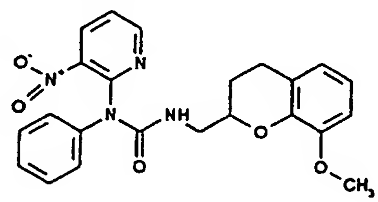
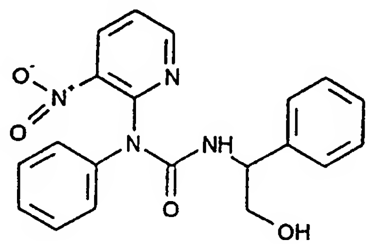
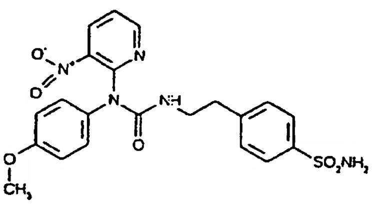
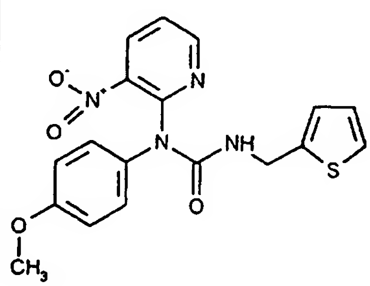
Ex.-No.	Structure	Yield (% of theory)	R_f / Solvens
113		>95	0.0800 Cycl.:EE= 3:7
114		66.3	0.0700 Cycl.:EE= 3:7

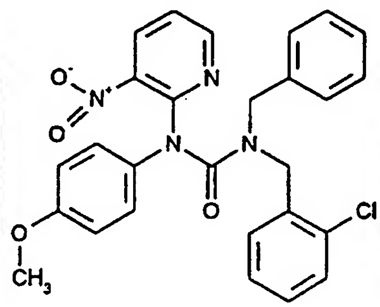
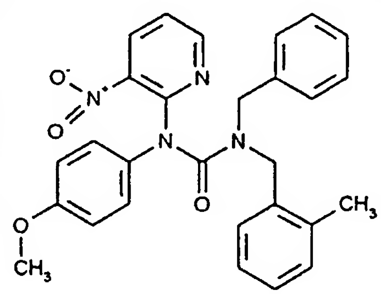
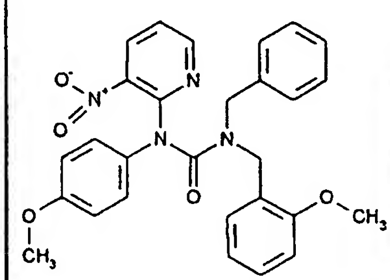
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
115		60	0.16 Cycl.:EE=1:1
116		76.8	0.0500 Cycl.:EE=3:7
117		55.6	0.0900 Cycl.:EE=1:1

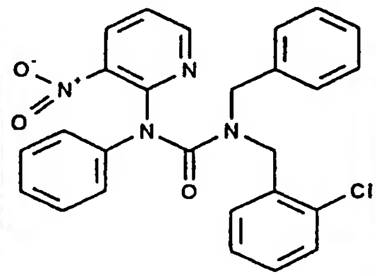
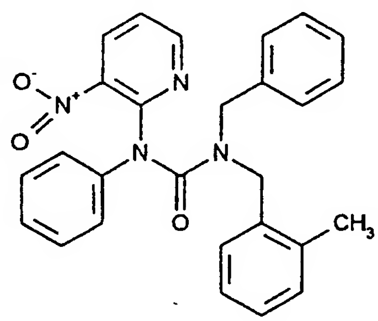
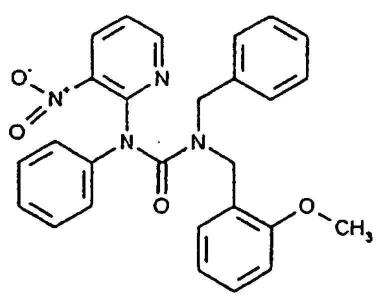
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
118		71.6	0.0700 Cycl.:EE=25:75
119		71.6	0.0300 Cycl.:EE=1:9
120		66.8	0.0700 Cycl.:EE=6:4
121		92.4	0.1300 Cycl.:EE=1:1

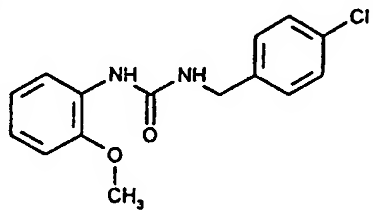
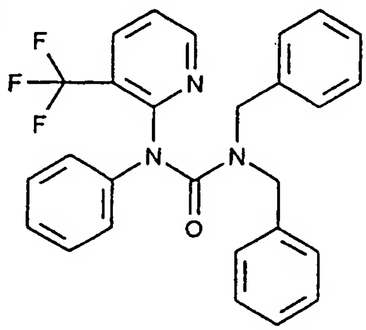
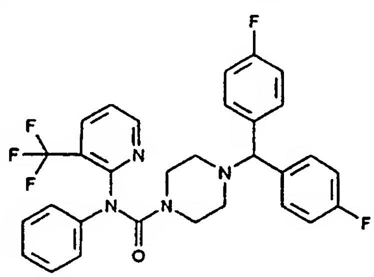
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
122		60.8	0.0100 Cycl.:EE=15:85
123		16.8	0.1800 Cycl.:EE=1:1
124		16	0.2400 Cycl.:EE=1:1
125		14	0.2200 Cycl.:EE=1:1
126		9.1	0.19 Cycl.:EE=1:1

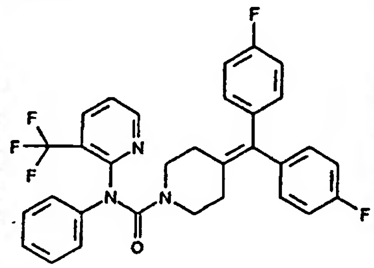
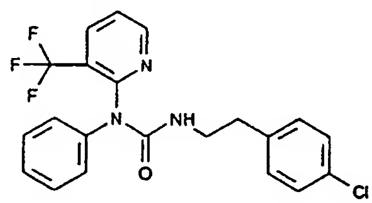
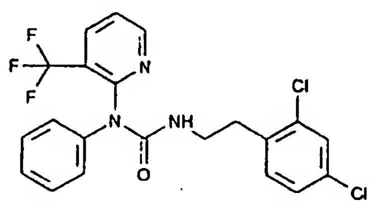
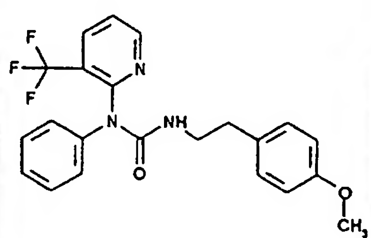
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
127		6.1	0.1500 Cycl.:EE=1:1
128		6.5	0.1300 Cycl.:EE=1:1
129		16.3	0.09 Cycl.:EE=1:1
130		>95	0.0380 Cycl.:EE=1:1

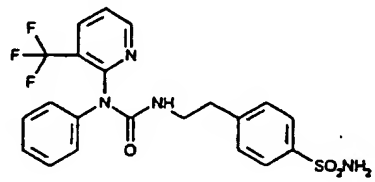
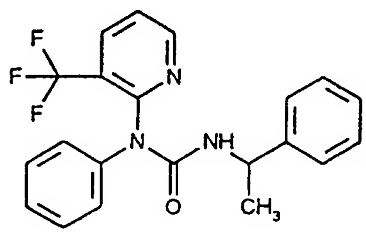
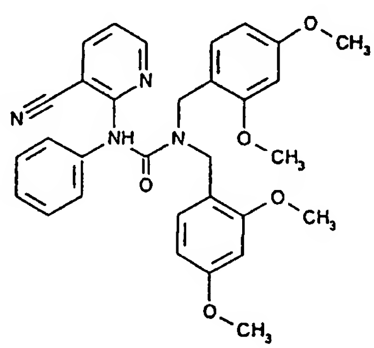
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
131		93.2	0.1820 Cycl.:EE=1:1
132		85.1	0.0900 Cycl.:EE=1:1
133		8.8	0.3600 EE=100%
134		63.2	0.2330 Cycl.:EE=1:1

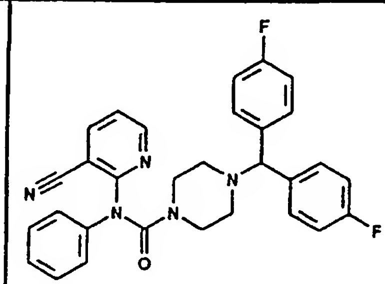
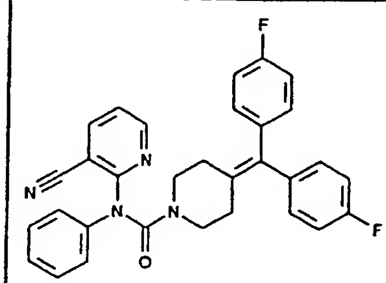
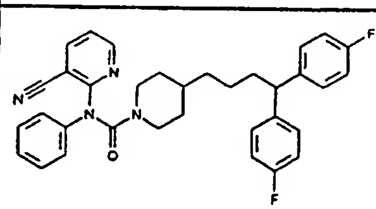
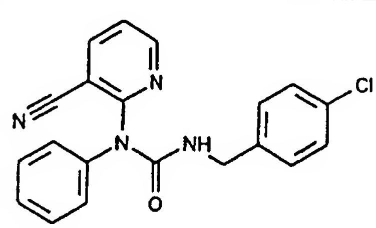
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
135		56.6	0.3900 Cycl.:EE=1:1
136		60.4	0.4100 Cycl.:EE=7:3
137		54.2	0.4100 Cycl.:EE=3:7

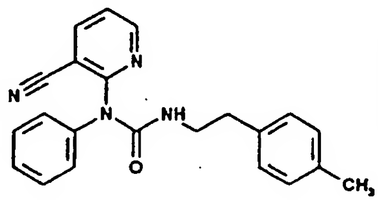
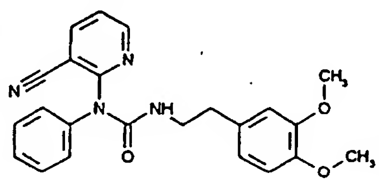
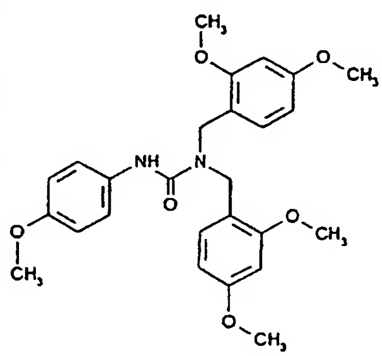
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
138		>95	0.2100 Cycl.:EE=7:3
139		>95	0.2100 Cycl.:EE=7:3
140		>95	0.1600 Cycl.:EE=7:3

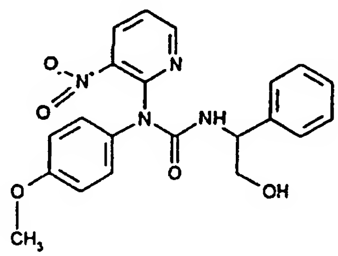
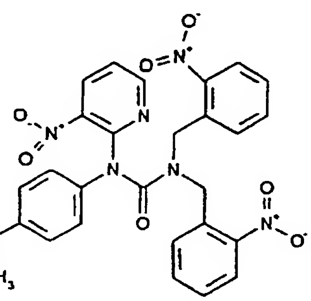
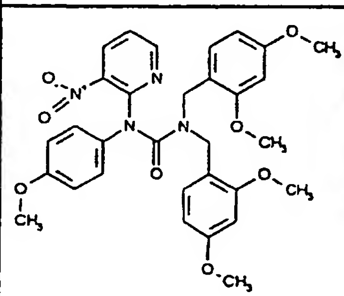
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
141		13.1	0.14 Cycl.:EE=1:1
142		66.7	0.43 CH_2Cl_2:MeOH= 50:1
143		80.9	0.0750 Cycl.:EE=1:1

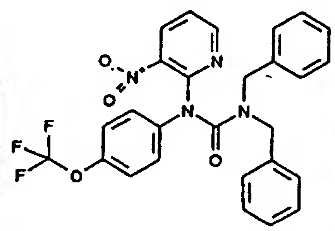
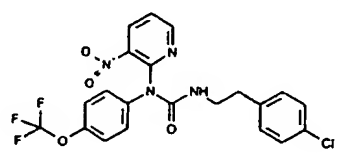
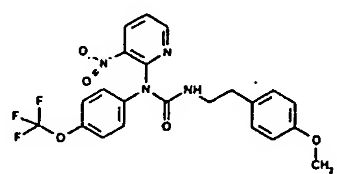
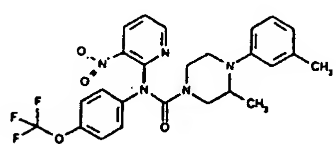
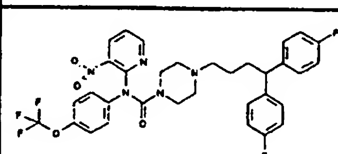
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
144		57.3	0.2600 CH_2Cl_2:MeOH= 50:1
145		52.5	0.1560 Cycl.:EE=1:1
146		47.1	0.2400 CH_2Cl_2:MeOH= 50:1
147		71.8	0.1800 Cycl.:EE=1:1

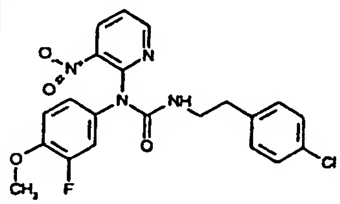
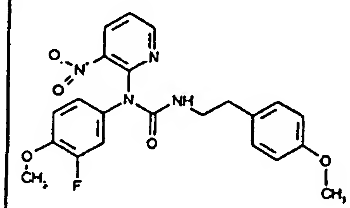
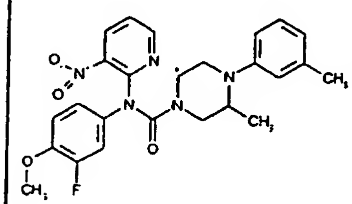
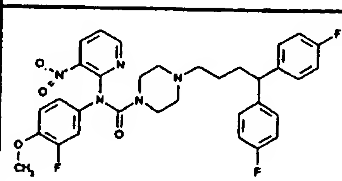
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
148		23	0.04 CH_2Cl_2:MeOH= 50:1
149		78.2	0.1570 Cycl.:EE=1:1
150		72.4	0.1100 Cycl.:EE=1:1

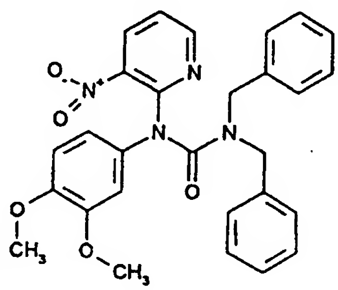
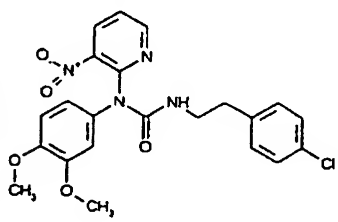
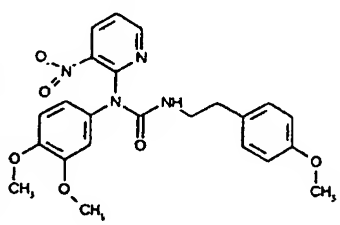
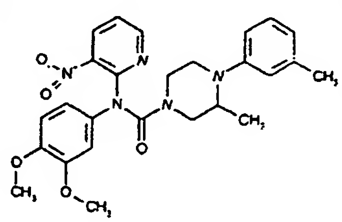
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
151		72.0	0.3200 CH_2Cl_2:MeOH= 50:1
152		16.2	0.3900 CH_2Cl_2:MeOH= 50:1
153		58.9	0.0140 Cycl.:EE=1:1
154		30.9	0.3500 CH_2Cl_2:MeOH= 50:1

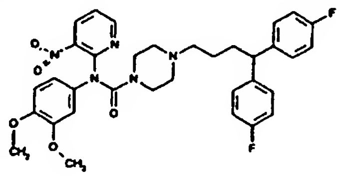
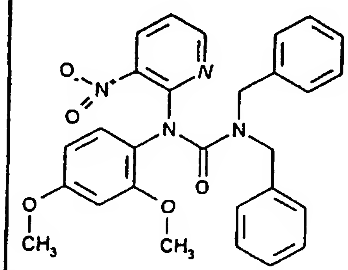
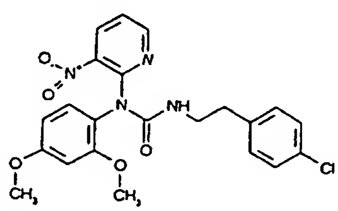
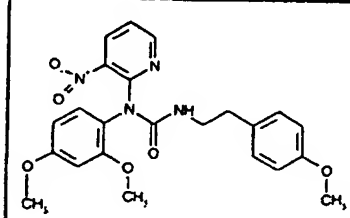
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
155		82.0	0.4100 CH_2Cl_2MeOH= 50:1
156		60.1	0.1300 CH_2Cl_2:MeOH= 50:1
157		7.4	0.0380 Cycl.:EE=7:3

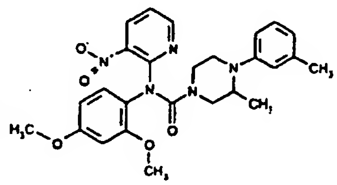
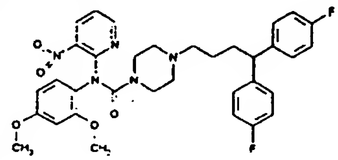
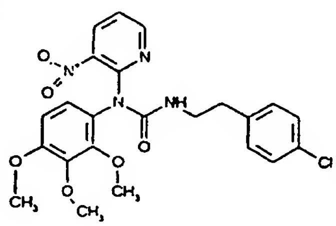
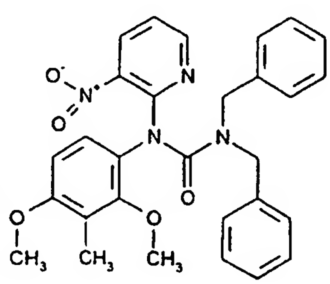
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
158		52.2	0.12 Cycl.:EE=1:1
159		42.5	0.27 Cycl.:EE=1:1
160		71.4	0.0120 Cycl.:EE=1:1

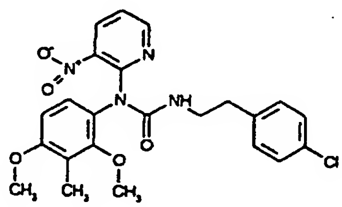
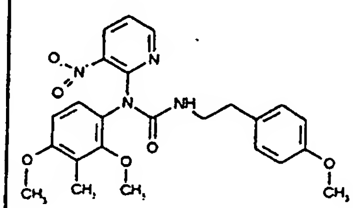
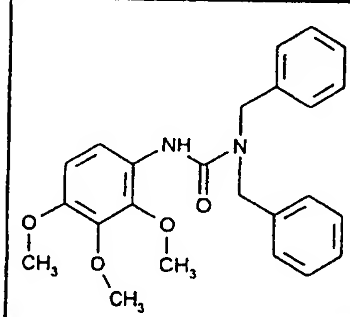
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
161		36.4	0.29 Cycl.:EE=2:1
162		36.6	0.38 Cycl.:EE=1:1
163		34.7	0.14 Cycl.:EE=2:1
164		37.9	0.17 Cycl.:EE=2:1
165		36.8	0.185 Cycl.:EE=1:1

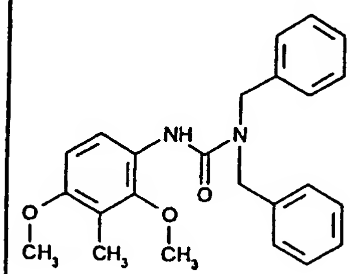
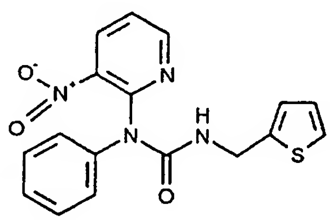
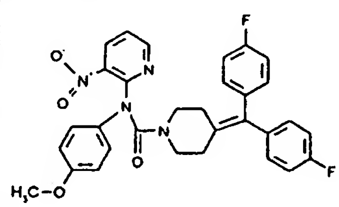
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
166		43.4	0.24 Cycl.:EE=1:1
167		45.4	0.21 Cycl.:EE=1:1
168		16.1	0.25 Cycl.:EE=1:1
169		35.86	0.37 EE=100%

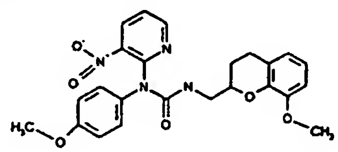
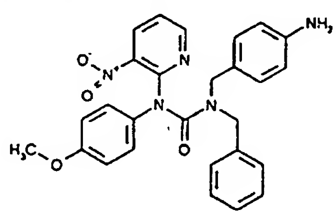
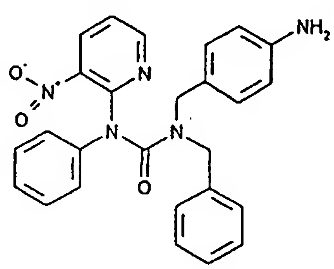
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
170		50	0.2 Cycl.:EE=1:1
171		15.6	0.481 EE=100%
172		79.8	0.56 EE=100%
173		44.3	0.52 EE=100%

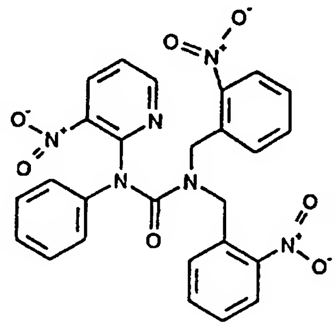
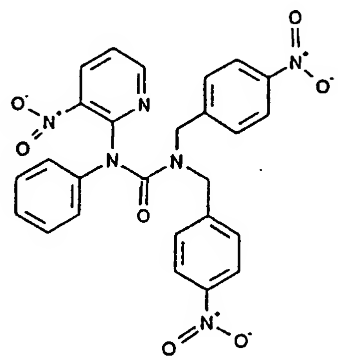
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
174		40.7	0.234 Cycl:EE=3:7
175		51.6	0.32 Cycl.:EE=1:1
176		11.3	0.2 Cycl.:EE=1:1
177		25.8	0.128 Cycl.:EE=1:1

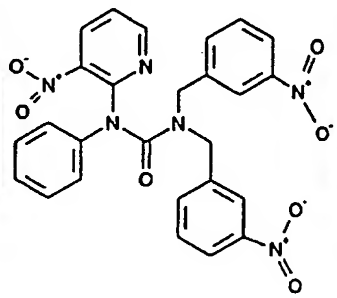
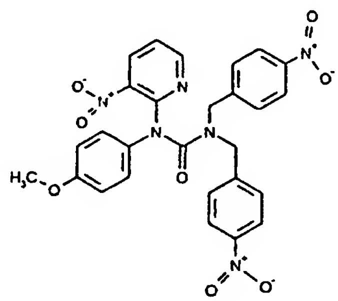
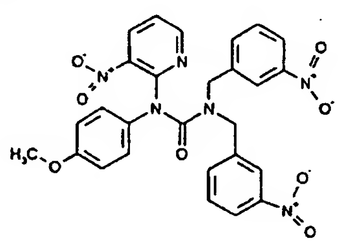
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
178		65.1	0.293 Cycl.:EE=1:1
179		42.3	0.315 EE=100%
180		66.0	0.082 Cycl.:EE=7:3
181		29.7	0.273 Cycl.:EE=7:3

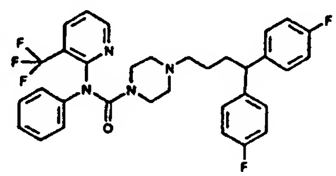
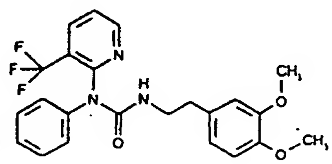
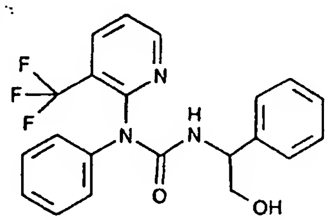
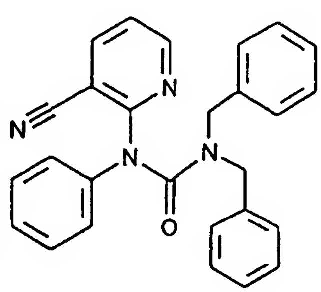
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
182		33.9	0.083 EE:Cycl.=30:70
183		47.6	0.25 EE:Cycl.=40:60
184		14.3	0.27 Cycl.:EE=70:30

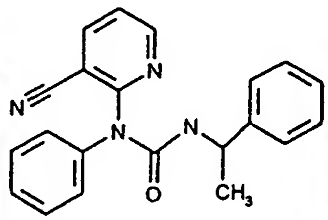
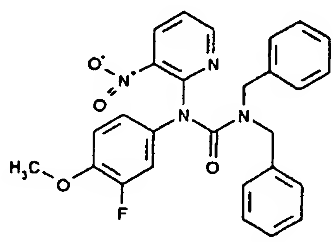
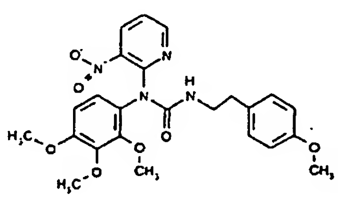
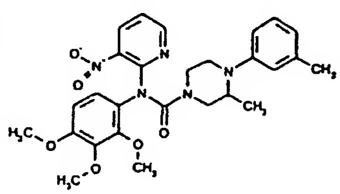
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
185		7.5	0.26 Cycl.:EE=70:30
186		71.4	0.327 Cycl.:EE=1:1
187		23.6	0.37 Cycl.:EE=1:1

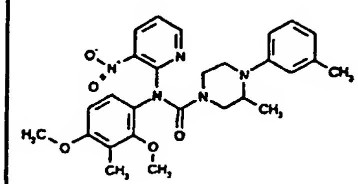
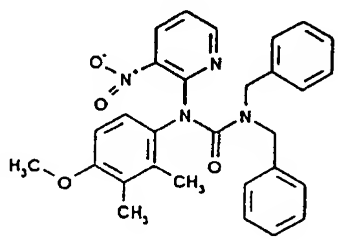
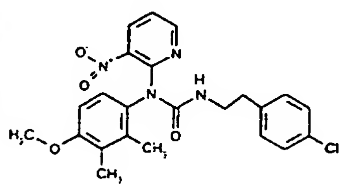
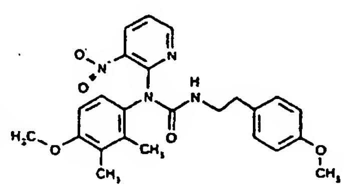
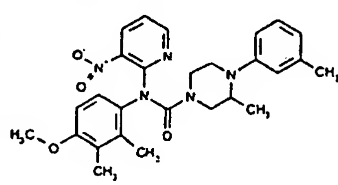
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
188		53.4	0.106 Cycl.:EE=1:1
189		52.6	0.35 EE pur
190		45.3	0.140 Cycl.:EE=50:50

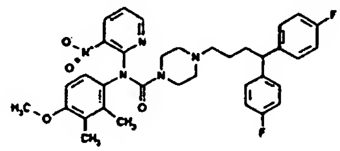
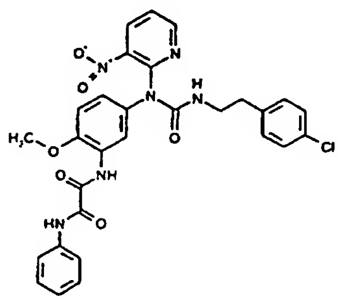
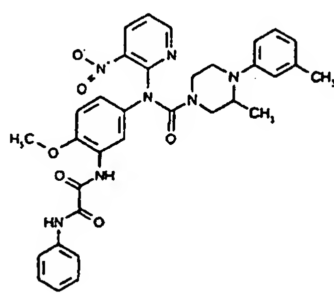
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
191		92.2	0.16 Cycl.:EE=2:1
192		11.2	0.318 Cycl.:EE=50:50

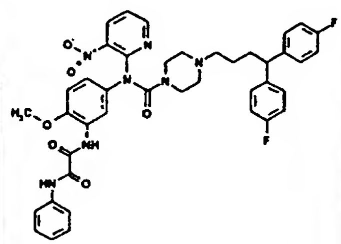
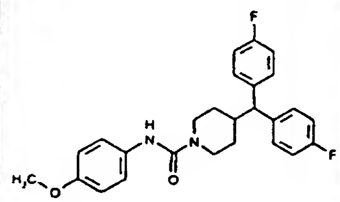
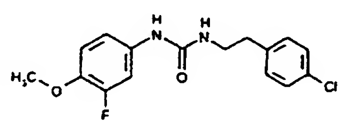
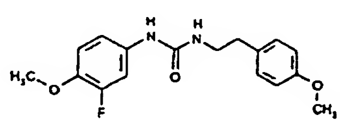
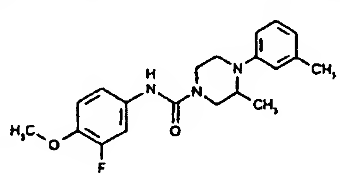
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
193		29.6	0.339 Cycl.:EE=50:50
194		14.7	0.256 Cycl.:EE=50:50
195		20.7	0.301 Cycl.:EE=50:50

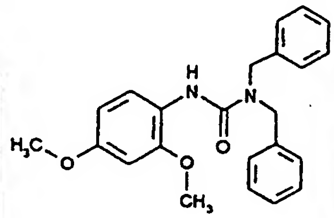
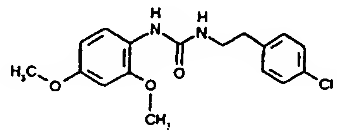
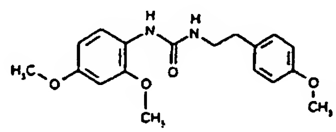
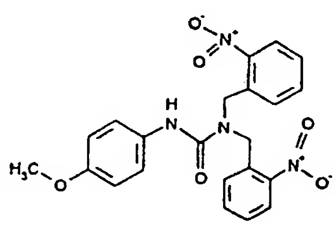
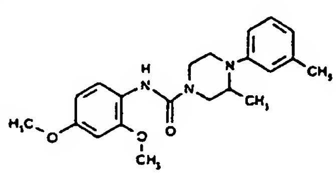
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
196		55.4	0.037 Cycl.:EE=20:80
197		47.6	0.044 Cycl.:EE=10:90
198		32.2	0.015 Cycl.:EE=10:90
199		68.1	0.26 Cycl.:EE=10:90

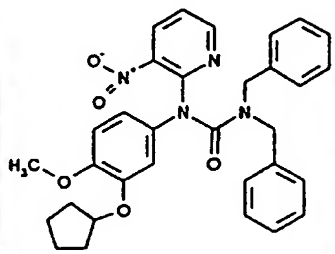
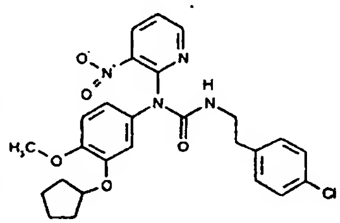
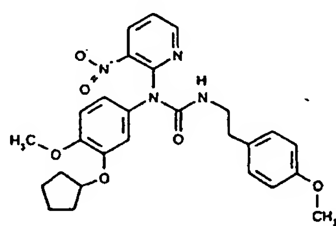
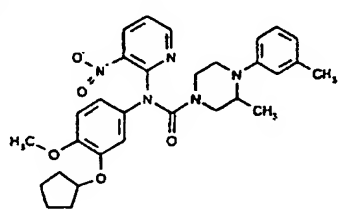
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
200		46.7	0.038 Cycl.:EE=7:3
201			0.230 Cycl.:EE=1:1
202		30.1	0.105 Cycl.:EE=8:2
203		27.6	0.157 Cycl.:EE=8:2

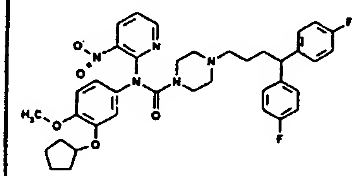
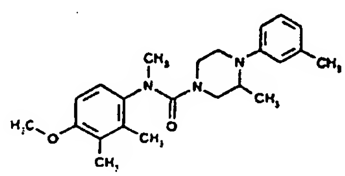
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
204		16.4	0.280 Cycl.:EE=60:40
205		94.4	0.244 EE:Cycl.:=30:70
206		46.3	0.113 Cycl.:EE=70:30
207		43.9	0.370 EE:Cycl.=50:50
208		48.4	0.328 EE:Cycl.=50:50

Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
209		32.6	0.298 Cycl.:EE=50:50
210		9.8	0.488 Cycl.:EE=50:50
211		85.8	0.583 EE pur

Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
212		14.6	0.423 EE pur
213		12.6	0.42 Cycl.:EE=1:1
214		20.2	0.635 Cycl.:EE=1:1
215		24.3	0.242 Cycl.:EE=1:1
216		33.3	0.239 Cycl.:EE=1:1

Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
217		50.4	0.524 Cycl.:EE=1:1
218		23.5	0.458 Cycl.:EE=1:1
219		68.2	0.231 Cycl.:EE=1:1
220			0.32 Cycl.:EE=1:1
221		66.7	0.301 Cycl.:EE=1:1

Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
222		66.5	0.241 EE:Cycl.=50:50
223		36.1	0.234 EE:Cycl.=50:50
224		25.7	0.052 EE:Cycl.=30:70
225		31.6	0.064 EE:Cycl.=30:70

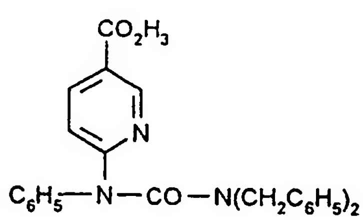
Ex.-No.	Structure	Yield (% of theory)	R_f Solvens
226		35.8	0.135 Cycl.:EE=50:50
227		22.5	0.213 EE:Cycl.=50:50

* CH_2Cl_2 : MeOH = Methylene chloride: Methanol
Cycl. : EE = Cyclohexane : Acid ester

a) in analogy to example I

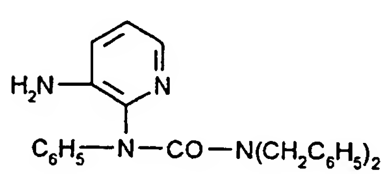
b) starting compounds diamine/KHDMS/benzylbromide

c) starting compounds



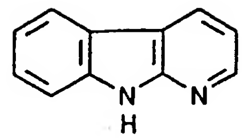
/TBTU/diisopropylethylamine

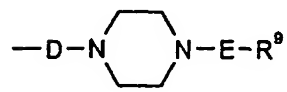
d) starting compounds



carbon acid chloride

e) starting compounds ester LiOH

f) starting compounds  /Buli/Cl-CO-$N(CH_2C_6H_5)_2$

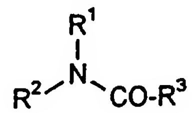
g) starting compounds amine/Cl-CO-CH_2-Cl/ 

h) starting compounds amine/Cl-$(CO)_2$-Cl/$H_2N(CH_2C_6H_5)_2$

i) starting compounds amine/benzylisocyanate.

<u>Patent Claims</u>

1. Use of 2-amino-heterocycles of the general formula (I)



(I)

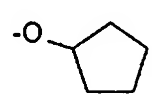
wherein

R^1 represents hydrogen or methyl or represents a 6 membered aromatic heterocycle having up to 2 nitrogen atoms and to which a phenyl ring can be fused and wherein the rings optionally monosubstituted or disubstituted by identical or different substituents are from the series comprising cyano, halogen, carboxyl, nitro, trifluormethyl, by a straight-chain or branched alkoxycarbonyl having up to 6 carbon atoms or by a group or a formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$

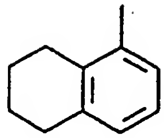
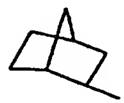
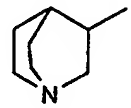
wherein

a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl, adamantyl or straight-chain or branched alkyl or acyl each having up to 6 carbon atoms, which optionally are monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by halogen or straight chain or branched alkoxy having up to 4 carbon atoms,

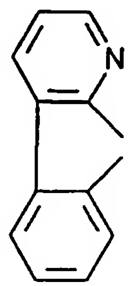
R^2 represents adamantyl, cycloalkyl having 3 to 6 carbon atoms, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by halogen, phenyl, carboxyl, cyano, trifluoromethoxy or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 6 carbon atoms, or by a residue of a formula $-CO-NH-CH(CH_3)C_6H_5$, $-CO-NH-$adamantyl, $-NH-(CO)_2-NH-C_6H_5$ or , or

represents a group of a formula

 ,  , 

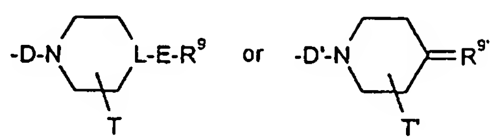
or

R^1 and R^2 including the nitrogen atom form together a residue of a formula



and

R^3 represents a group of the formula $-A-NR^7R^8$,



wherein

A, D, D' and E are identical or different and denote a bond or straight-chain or branched alkyl having up to 6 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

T and T' are identical or different and denote halogen or methyl,

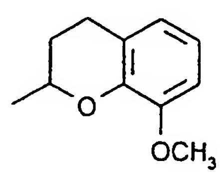
R^7 and R^8 are identical or different and denote hydrogen, cycloalkyl having up to 6 carbon atoms, phenyl, adamantyl, biphenyl or quinidinyl

or denote straight-chain or branched alkyl having up to 8 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cycloalkyl having 3 to 6 carbon atoms, pyridyl, thienyl or phenyl, which is optionally up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, phenyl, halogen, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 7 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or $-SO_2-NH_2$,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identical or different and denote phenyl, which optionally is monosubstituted or disubstituted by halogen, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 6 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 6 carbon atoms, or
denotes a residue of the formula $-CHR^{12}R^{13}$,

in which

R^{12} and R^{13} denote phenyl, which is optionally monosubstituted or disubstituted by halogen,

or

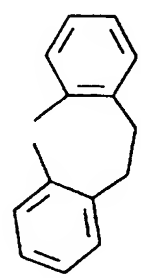
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$,

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

or

R^7 and R^8 including the nitrogen atom form together a residue of a formula



and their salts.

2. Use of 2-amino-heterocycles of the formula according to claim (I),

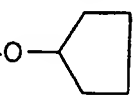
wherein

R^1 represents hydrogen or methyl or represents isoquinolyl, pyrazinyl, pyridyl or pyrimidinyl, which optionally are monosubstituted or disubstituted by identical or different substituents from the series comprising cyano, fluorine, chlorine, bromine, trifluormethyl, carboxyl, nitro or straight-chain or branched alkoxycarbonyl having up to 4 carbon atoms or by a group of the formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$,

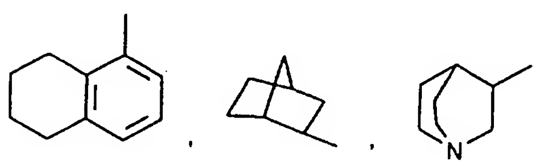
in which

a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl, adamantyl or straight-chain or branched alkyl or acyl each having up to 5 carbon atoms, which are optionally are monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by fluorine, chlorine, bromine or straight chain or branched alkoxy having up to 4 carbon atoms,

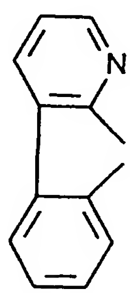
R^2 represents adamantyl, cyclopentyl, cyclohexyl, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by fluorine, chlorine, bromine, carboxyl, trifluoromethoxy, phenyl, cyano or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 4 carbon atoms, or by a residue of a formula $-CO-NH-CH(CH_3)C_6H_5$ or -CO-NH-adamantyl, $-NH-(CO)_2-NH-C_6H_5$ or -O , or

represents a group of a formula



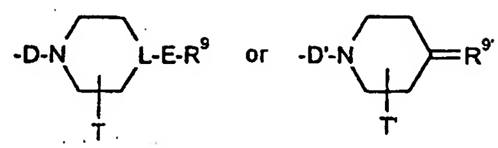
or

R^1 and R^2 including the nitrogen atom form together a residue of a formula



and

R^3 represents a group of a formula $-A-NR^7R^8$,



in which

A, D, D' and E are identical or different and denote a bond or a straight-chain or branched alkyl one chain having up to 4 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

T and T' are identical or different and denote hydrogen or methyl,

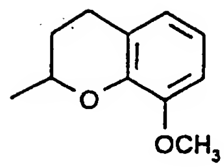
R^7 and R^8 are identical or different and denote hydrogen, cyclopropyl, cyclopentyl, cyclohexyl, phenyl, adamantyl, biphenyl or quinudinyl,

or denote straight-chain or branched alkyl having up to 6 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cyclopropyl, cyclopentyl, cyclohexyl, pyridyl, thienyl or by phenyl, which optionally is up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, fluorine, chlorine, bromine, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 6 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or $-SO_2-NH_2$,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identidal or different and denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine, bromine, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 4 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 5 carbon atoms, or
denotes a residue of a formula $-CHR^{12}R^{13}$,

in which

R^{11} and R^{12} denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine or bromine,

or

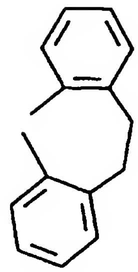
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

or

R^7 and R^8 including the nitrogen atom form together a residue of a formula



and their salts.

3. Use of 2-amino-heterocycles of the formula according to claim (I),

wherein

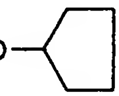
R^1 represents hydrogen or methyl or represents chinolyl, isoquinolyl, pyrazinyl, pyridyl or pyrimidinyl, which optionally are monosubstituted or disubstituted by identical or different substituents from the series comprising cyano, fluorine, chlorine, bromine, trifluormethyl, carboxyl, nitro, straight-chain or branched alkoxycarbonyl having up to 4 carbon atoms or by a group of a formula $-(CO)_a-NR^4R^5$ or $-NH-CO-R^6$,

in which

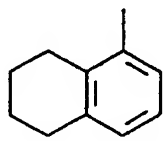
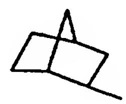
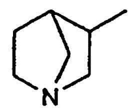
a denotes a number 0 or 1,

R^4, R^5 and R^6 are identical or different and denote hydrogen, biphenyl, phenyl or adamantyl, straight-chain or branched alkyl or acyl each having up to 3 carbon atoms, which optionally ore monosubstituted or disubstituted by pyridyl, benzyl, hydroxyl and/or phenyl, which is optionally substituted by fluorine, chlorine or methoxy,

R^2 represents adamantyl, cyclopentyl, cyclohexyl, pyridyl, phenyl or benzyl, which optionally are monosubstituted to trisubstituted by fluorine, chlorine, bromine, carboxyl, phenyl, cyano, trifluoromethoxy or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 3 carbon

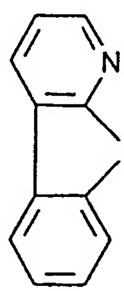
atoms, or by a residue of a formula -CO-NH-CH(CH_3)C_6H_5, -CO-NH-adamantyl, NH-$(CO)_2$-NH-C_6H_5 or -O, or

represents a group of the formula

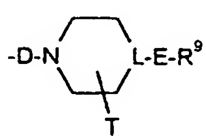
, , 

or

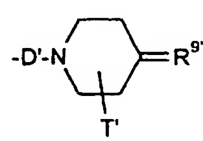
R^1 and R^2 including the nitrogen atom form together a residue of a formula



R^3 represents a group of a formula -A-NR^7R^8,



or



in which

A, D, D' and E are identical or different and denote a bond or a straight-chain or branched alkyl having up to 4 carbon atoms,

L denotes a nitrogen atom or the CH-group,

or

A denotes a C=O group,

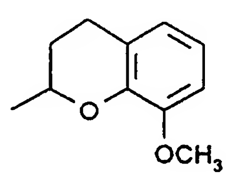
T and T' are identical or different and denote hydrogen or methyl,

R^7 and R^8 are identical or different and denote hydrogen, cyclopropyl, cyclopentyl, cyclohexyl, phenyl, adamantyl, biphenyl or quinuclidinyl
or denote straight-chain or branched alkyl having up to 5 carbon atoms, which optionally are up to trisubstituted by identical or different substituents from the series comprising hydroxyl, cyclopropyl, cyclopentyl, cyclohexyl, pyridyl, thienyl or by phenyl, which optionally is up to trisubstituted by identical or different substituents from the series comprising hydroxyl, amino, fluorine, chlorine, bromine, nitro, carboxyl, straight-chain or branched alkyl, alkoxy, alkoxycarbonyl or acyl each having up to 5 carbon atoms, or by a group of a formula $-CO-NR^{10}R^{11}$ or $-SO-NH_2$,

in which

R^{10} and R^{11} have the abovementioned meaning of R^4 and R^5,

and/or alkyl optionally is substituted by a residue of a formula



R^9 and $R^{9'}$ are identical or different and denote phenyl, which optionally is monosubstituted or disubstituted by fluorine, chlorine, bromine, hydroxyl, carboxyl or straight-chain or branched alkyl, alkoxy or alkoxycarbonyl each having up to 3 carbon atoms, or

R^9 denotes carboxyl or straight-chain or branched alkoxycarbonyl having up to 3 carbon atoms, or
denotes a residue of a formula $-CHR^{12}R^{13}$,

in which

R^{12} and R^{13} denote phenyl, which is optionally monosubstituted to disubstituted by fluorine,

or

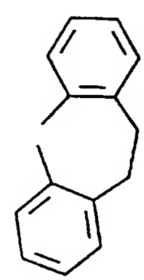
$R^{9'}$ denotes a residue of the formula $-CHR^{12'}R^{13'}$,

in which

$R^{12'}$ and $R^{13'}$ are identical or different and have the abovementioned meaning of R^{12} and R^{13},

or

R^7 and R^8 including the nitrogen atom form together a formula

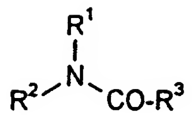


and their salts.

4. Use according to claims 1 to 3 for the preparation of medicaments for controlling and treating airway diseases.

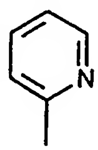
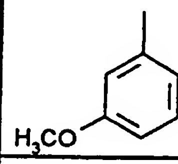
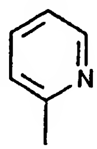
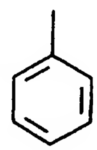
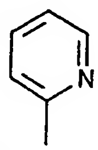
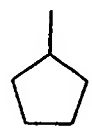
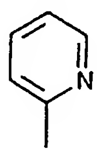
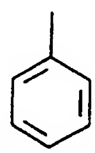
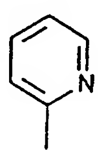
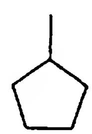
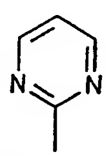
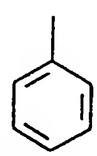
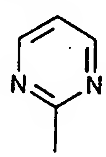
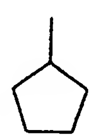
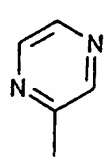
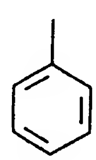
5. Use according to claims 1 to 3 for the preparation of medicaments for controlling and treating inflammatory processes.

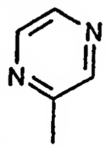
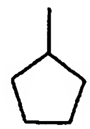
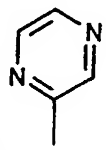
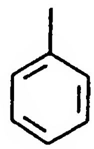
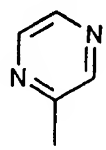
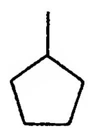
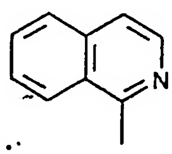
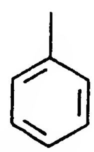
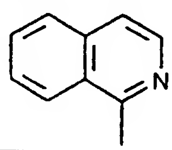
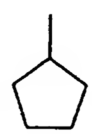
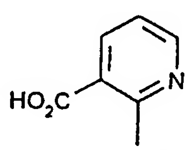
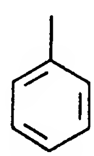
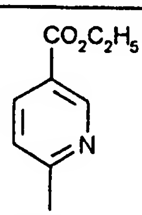
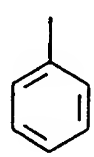
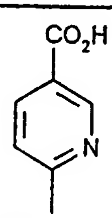
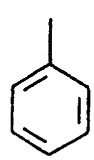
6. 2-amino-heterocycles of the general formula (I)

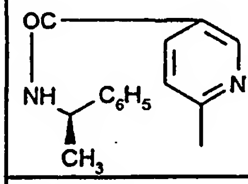
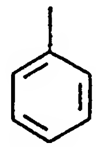
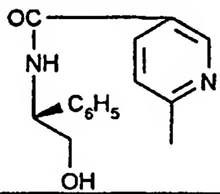
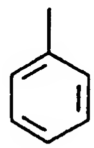
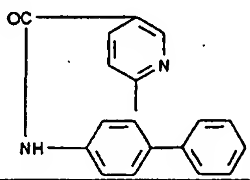
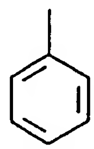
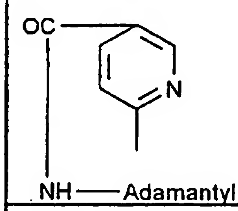
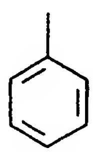
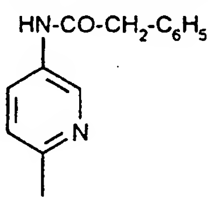
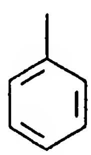
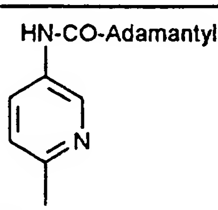
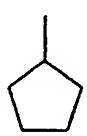
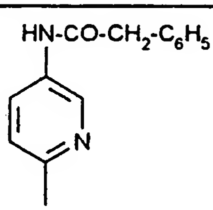
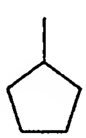


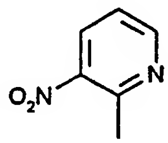
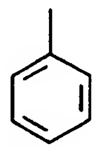
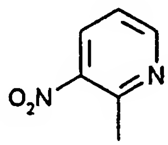
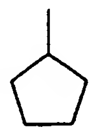
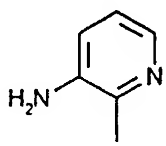
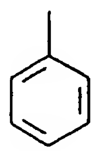
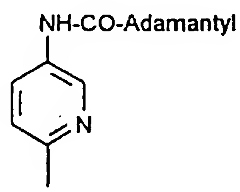
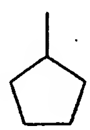
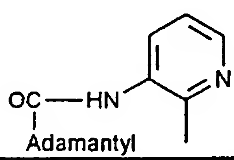
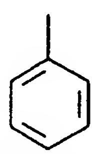
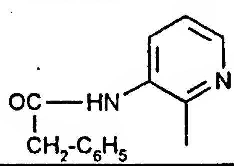
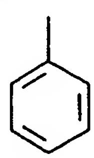
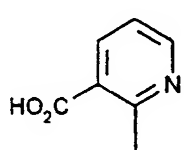
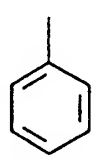
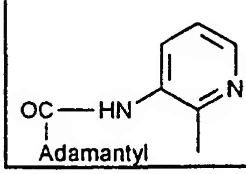
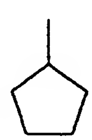
(I)

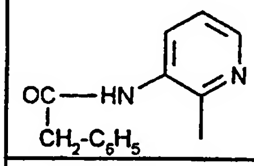
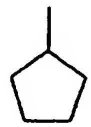
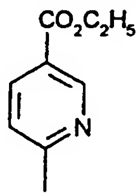
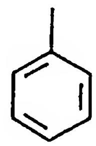
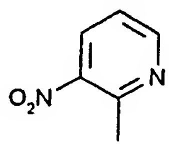
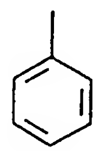
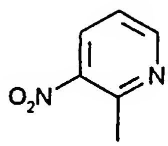
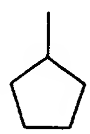
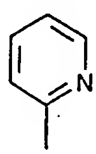
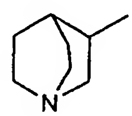
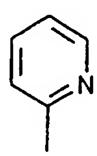
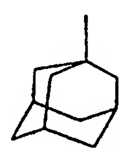
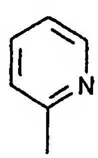
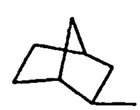
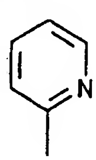
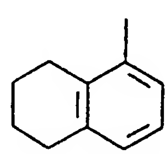
wherein the substituents R^1, R^2 and R^3 have the meaning in the specific combination according to the following Table:

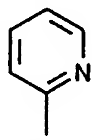
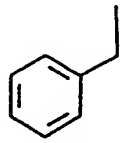
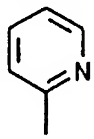
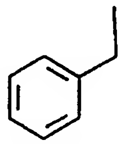
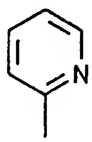
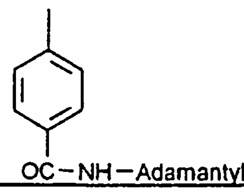
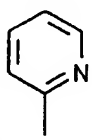
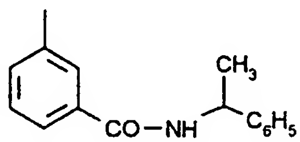
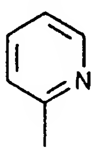
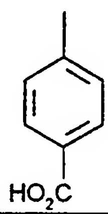
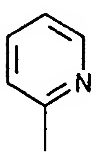
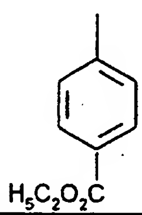
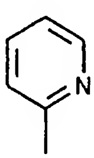
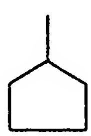
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$

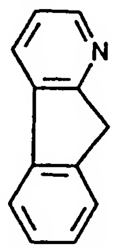
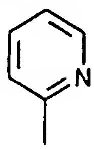
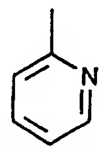
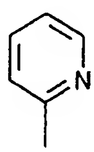
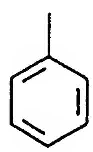
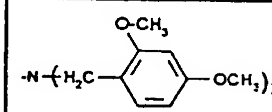
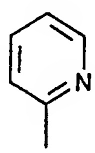
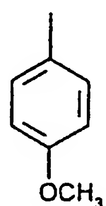
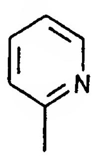
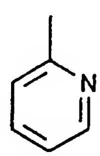
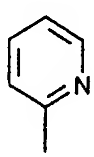
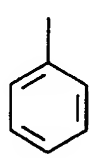
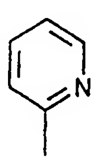
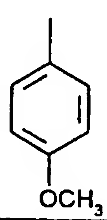
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

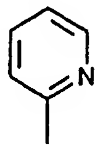
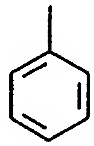
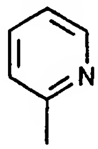
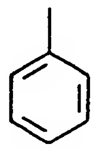
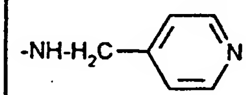
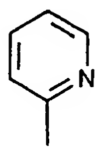
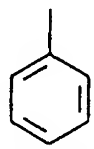
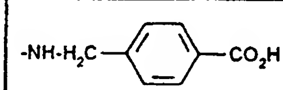
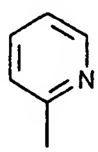
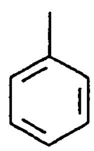
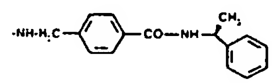
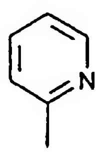
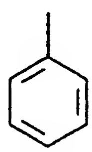
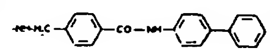
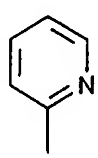
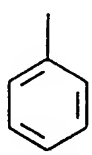
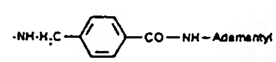
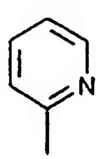
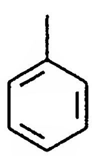
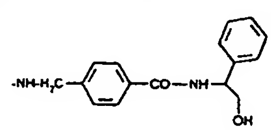
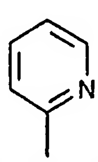
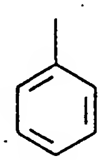
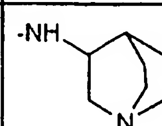
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

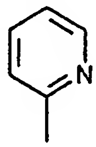
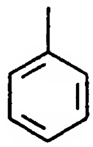
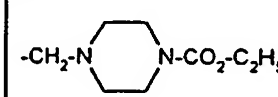
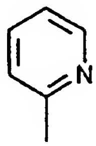
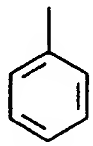
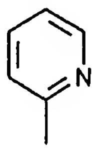
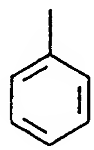
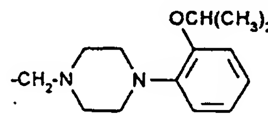
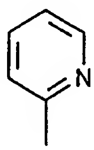
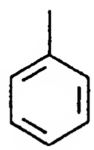
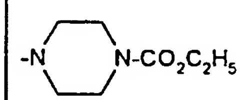
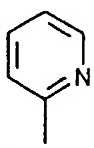
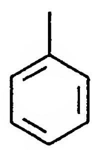
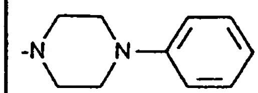
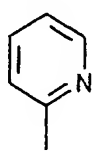
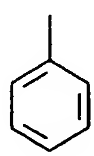
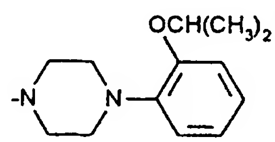
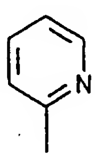
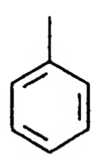
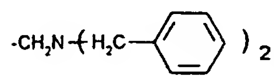
R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-(CH_2-C_6H_5)_2$

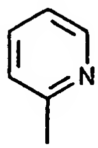
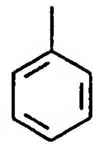
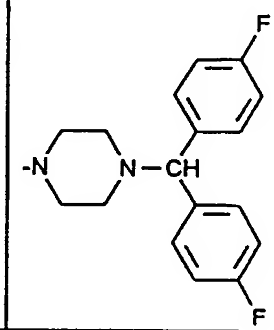
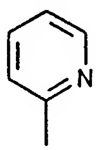
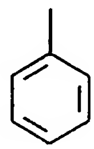
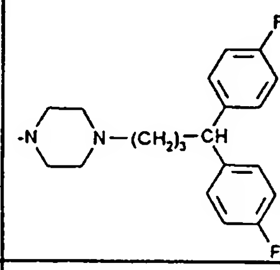
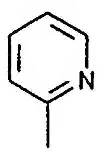
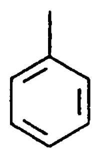
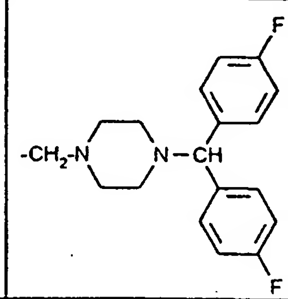
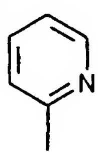
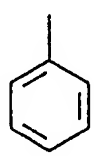
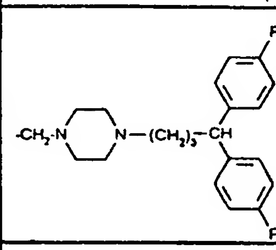
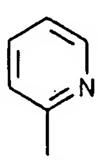
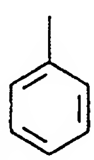
R^1	R^2	R^3
		$-NH-(CH_2-C_6H_5)_2$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$

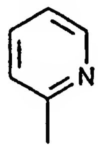
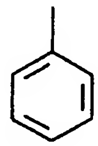
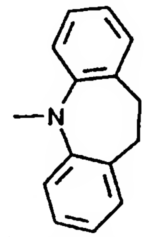
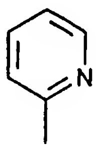
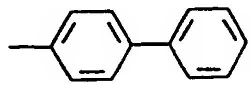
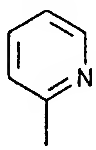
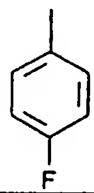
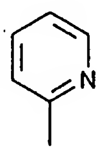
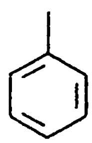
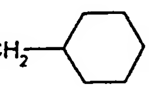
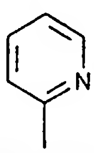
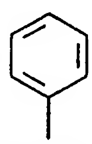
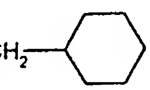
R^1	R^2	R^3
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2CH_2-C_6H_4-p-Cl)_2$

R^1	R^2	R^3
		$-N(CH_2-C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		
		$-NH-CH_2-C_6H_5$
		$-NH-CH_2-C_6H_5$
		$-N(CH_2-C_6H_4Cl)_2$
		$-NH(CH_2)_2-C_6H_5-Cl$

R[1]	R[2]	R[3]
		-NH-CH(C_6H_5)$_2$
		
		
		
		
		
		
		

R^1	R^2	R^3
		-CH2-N N-CO2-C2H5
		-CH2-N N-C6H5
		OCH(CH3)2 -CH2-N N
		-N N-CO2C2H5
		-N N
		OCH(CH3)2 -N N
		-CH2N H2C) 2

R[1]	R[2]	R[3]
		
		
		
		
		$-CO-N(CH_2-C_6H_5)_2$

R^1	R^2	R^3
		
		$-N(CH_2C_6H_5)_2$
		$-N(CH_2-C_6H_5)_2$
		-N(CH$_2$ )$_2$
		-NH-CH$_2$ 

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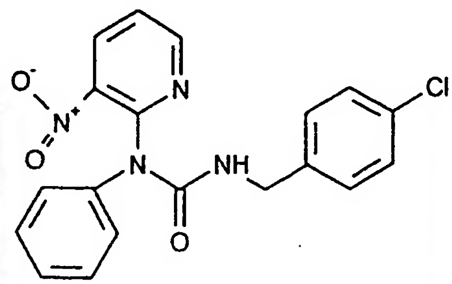
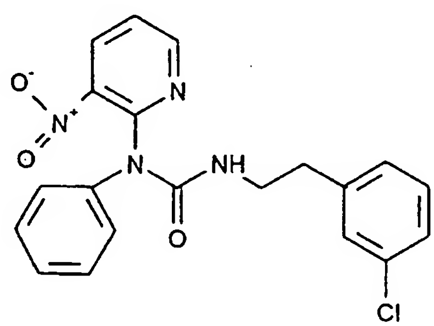

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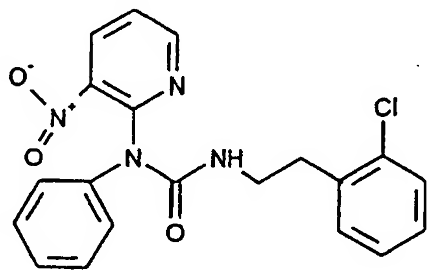
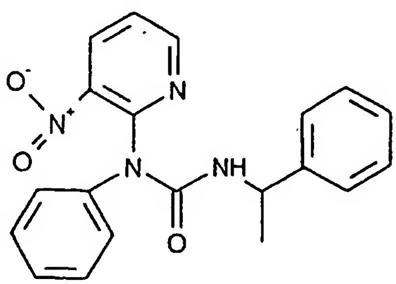
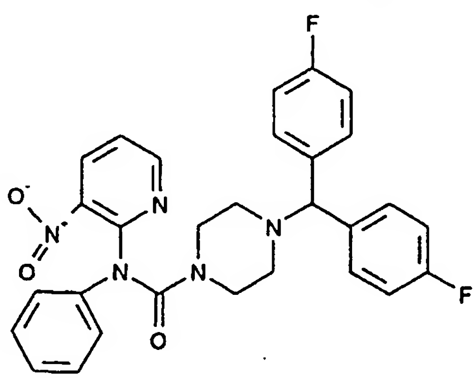

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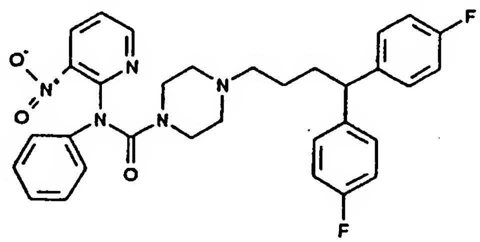
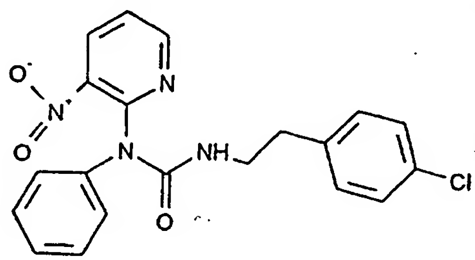
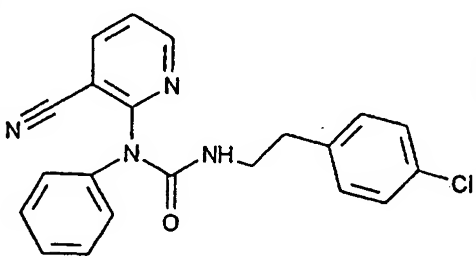

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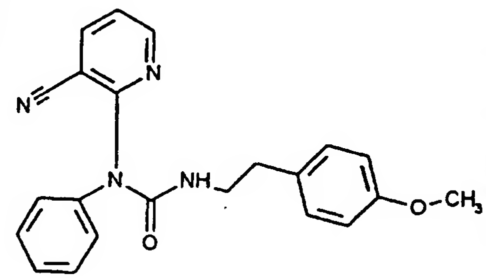
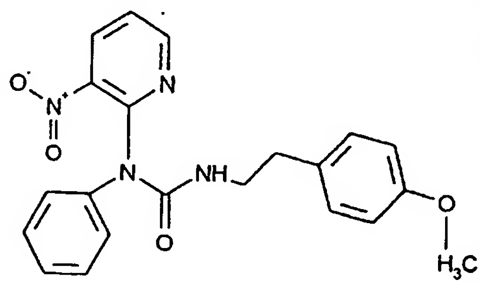

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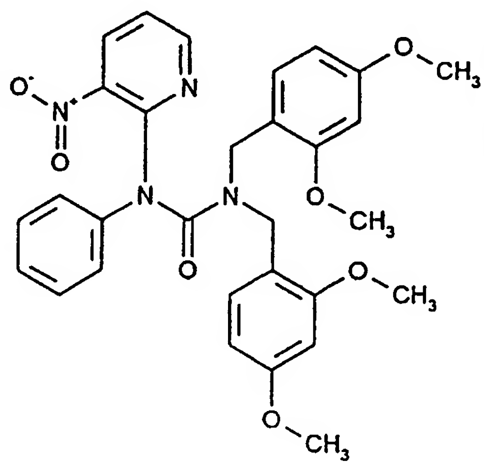
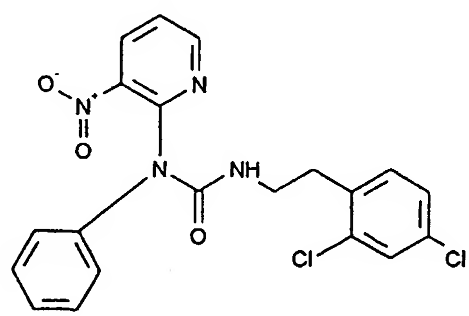

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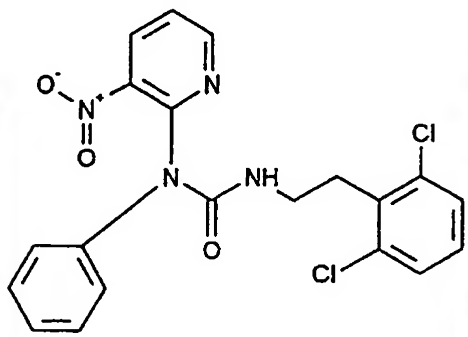
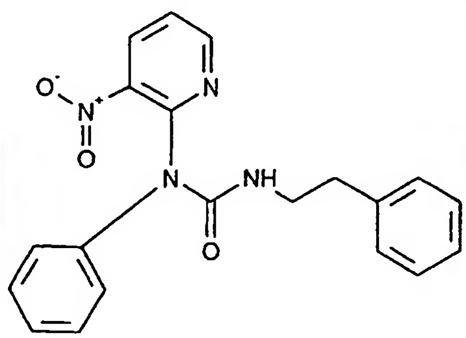

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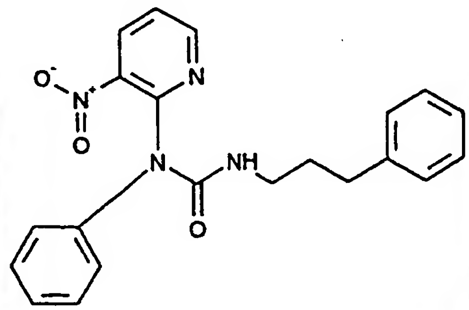
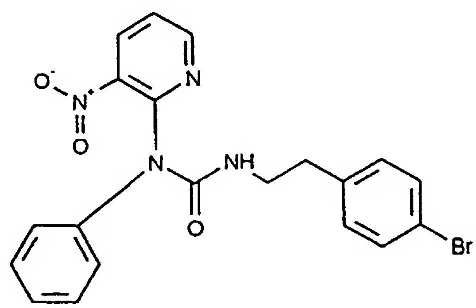
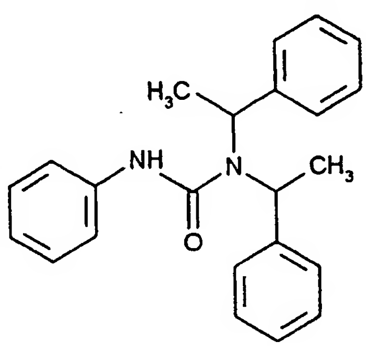

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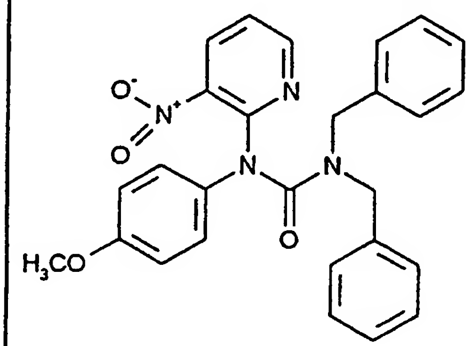
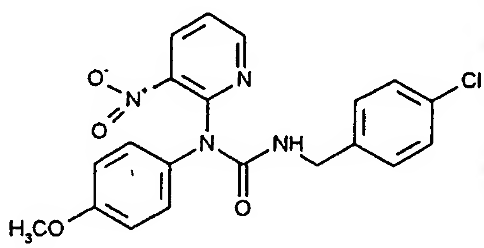

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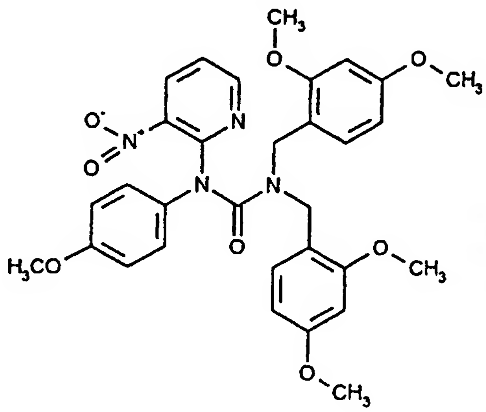
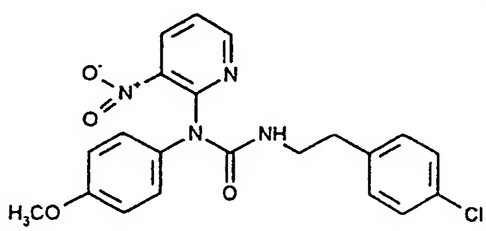
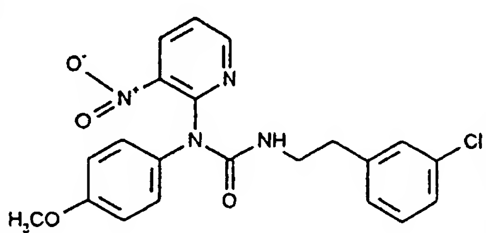

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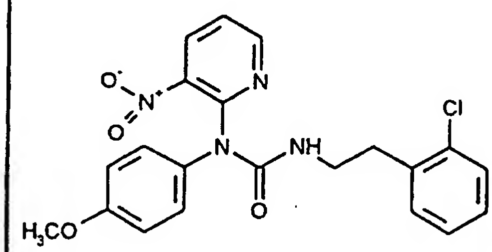
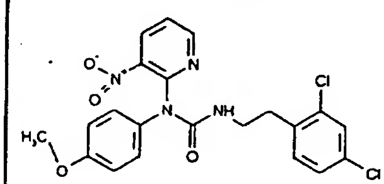
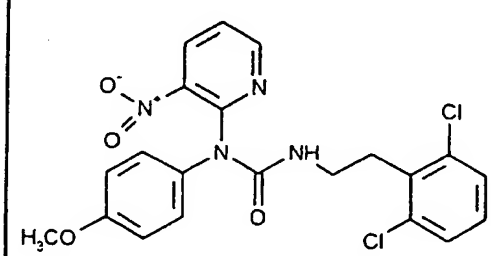

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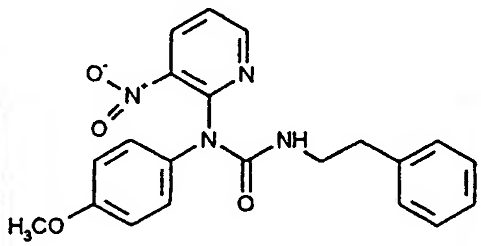
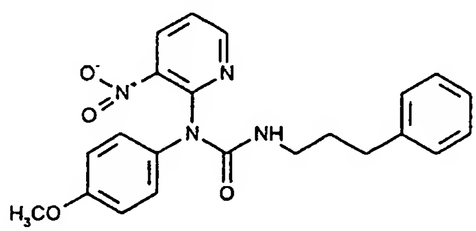
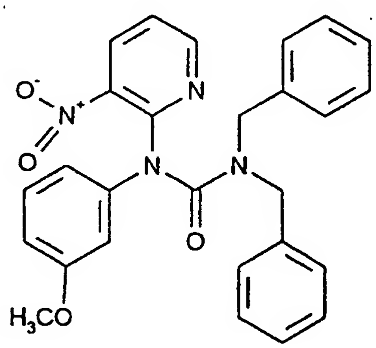

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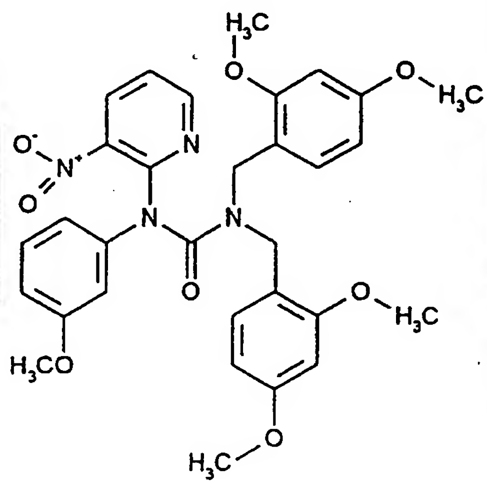
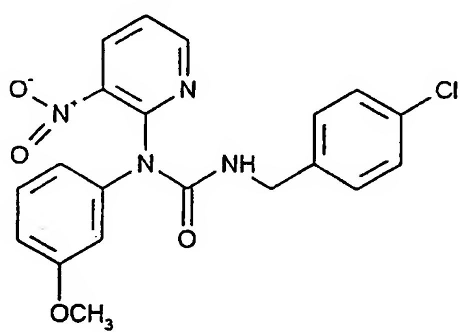

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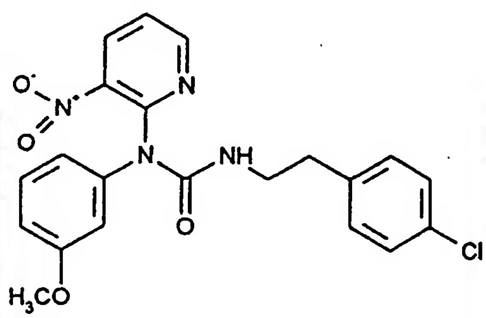
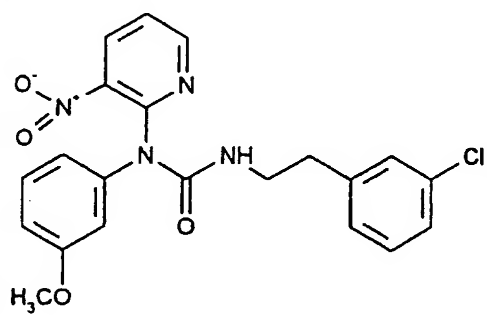

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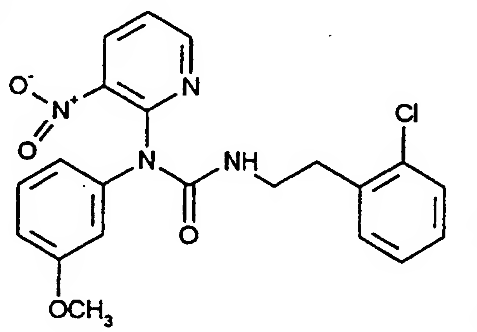
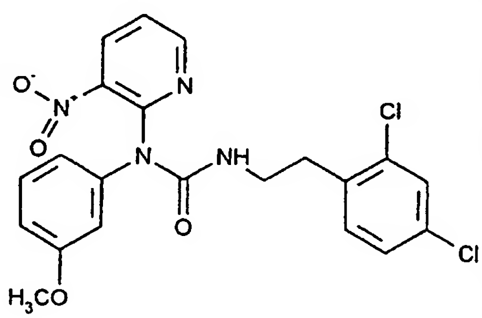

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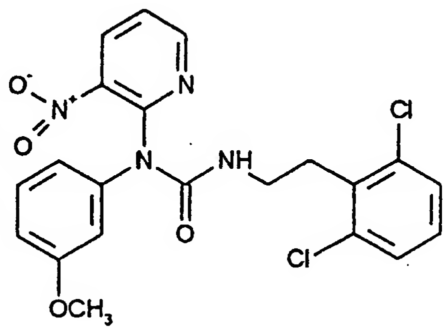
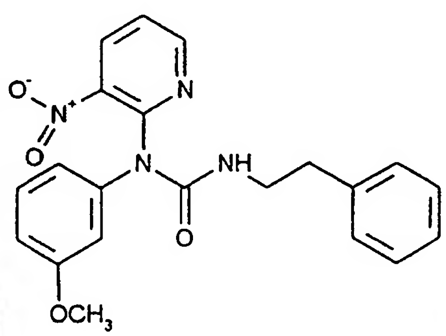

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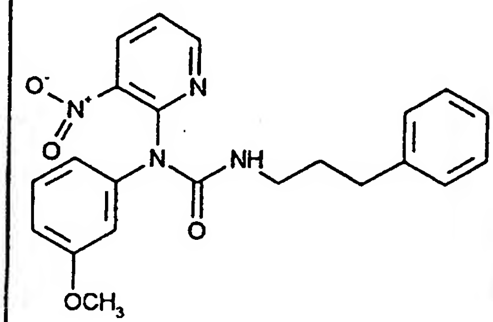
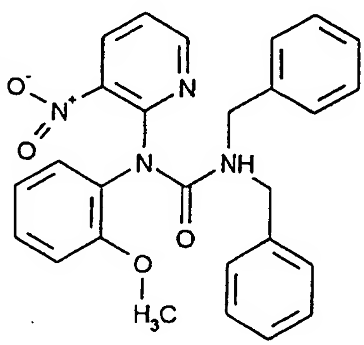

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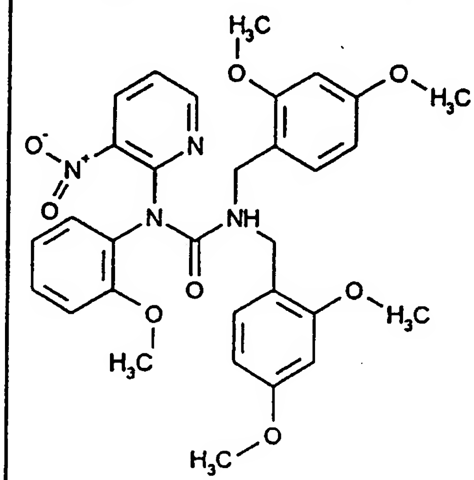
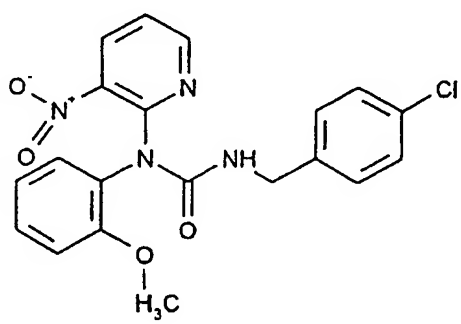

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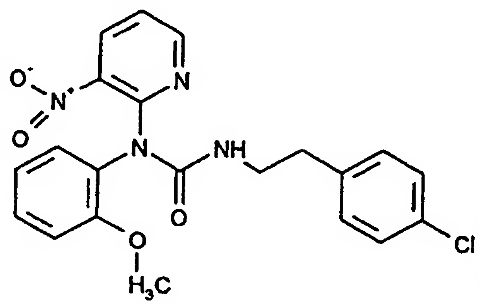
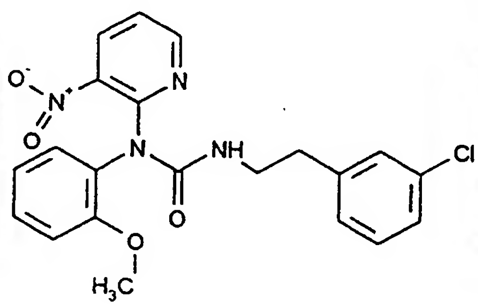

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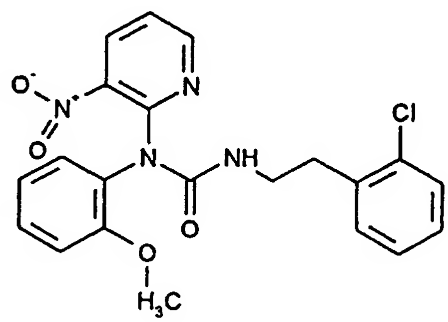
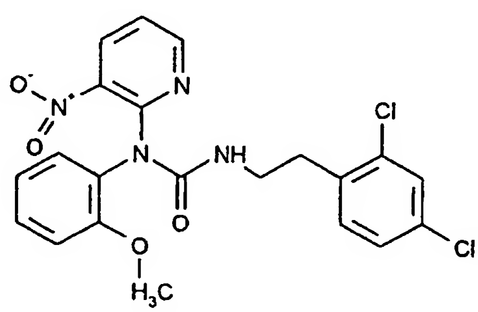

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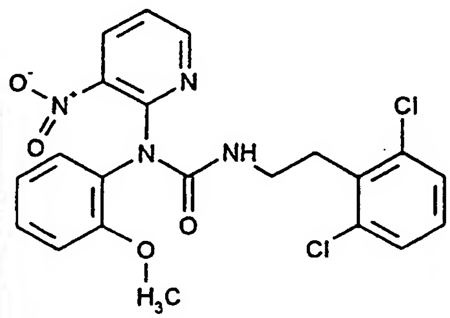
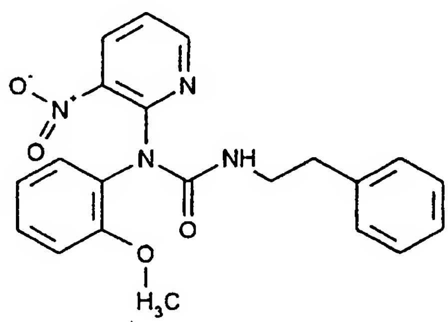

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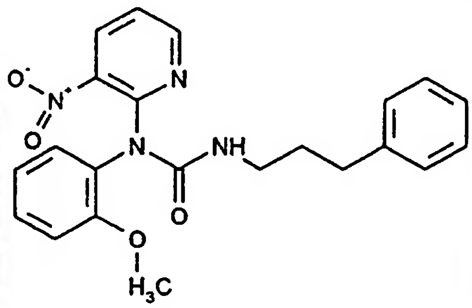
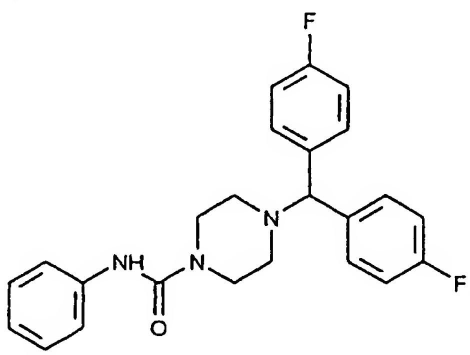

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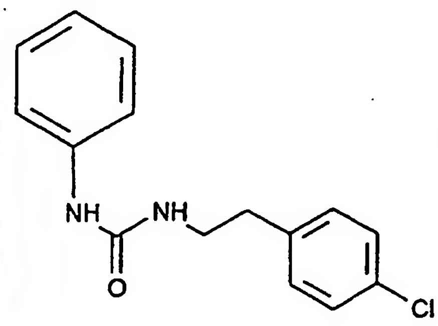
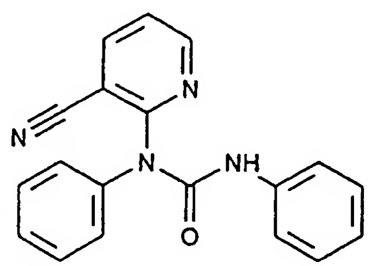
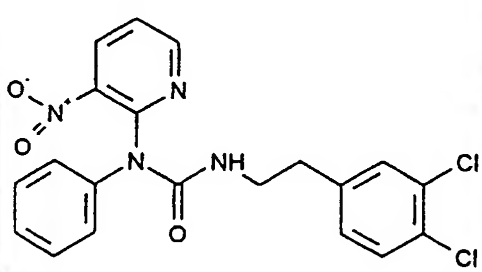

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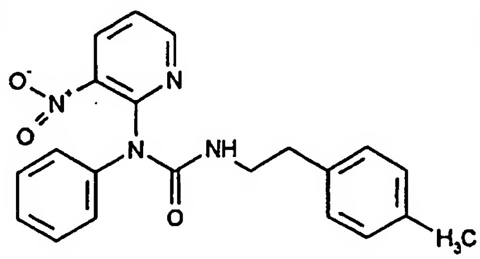
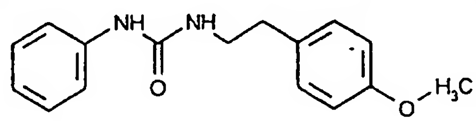
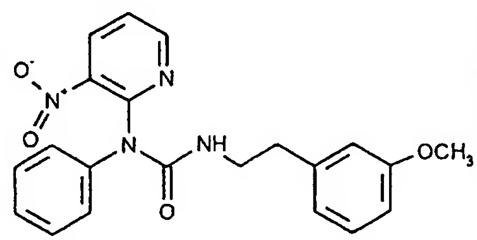

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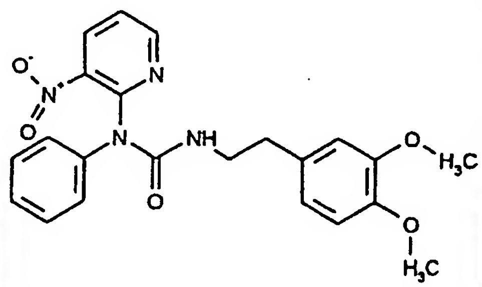
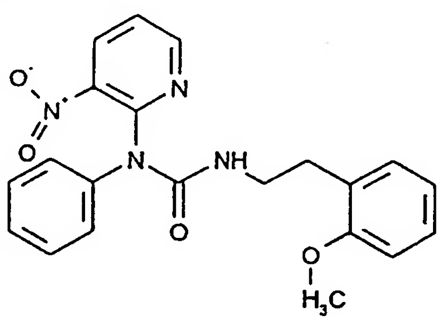

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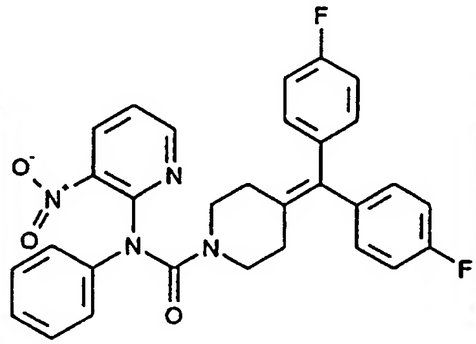
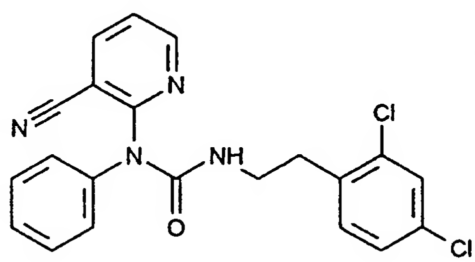
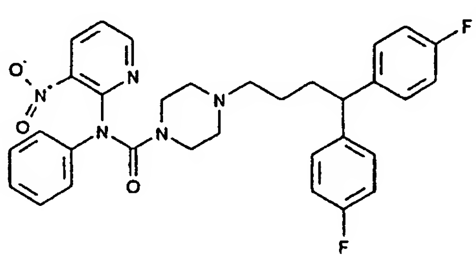

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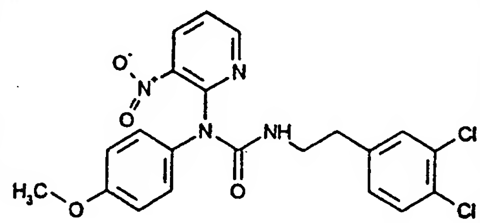
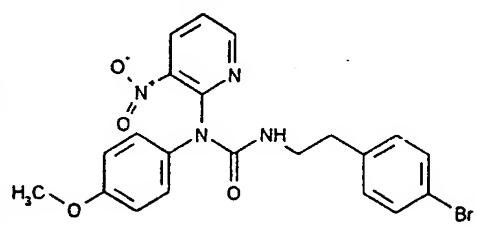

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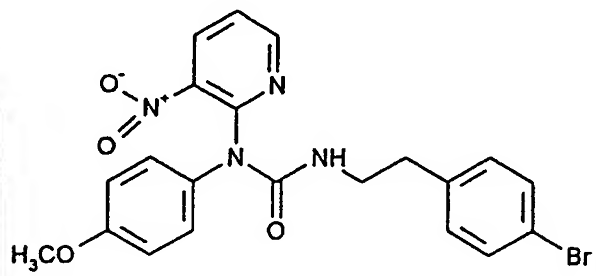
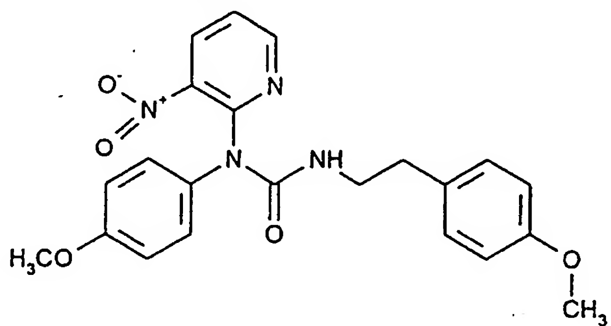

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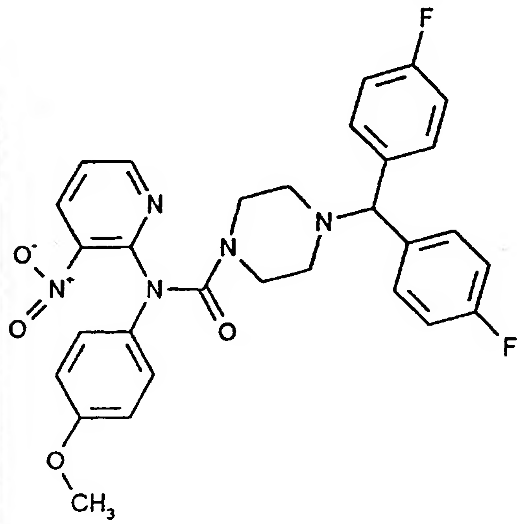
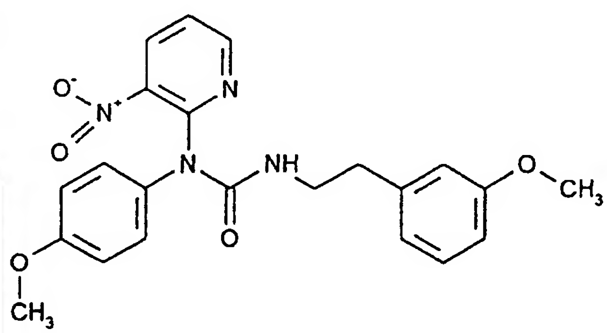
F N N N O- N+ N O O F O CH3
N O- N+ O N NH O CH3 O O CH3

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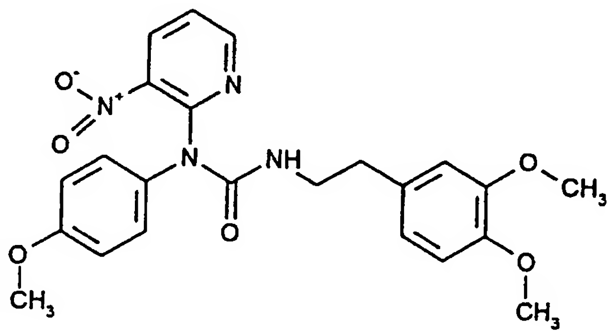
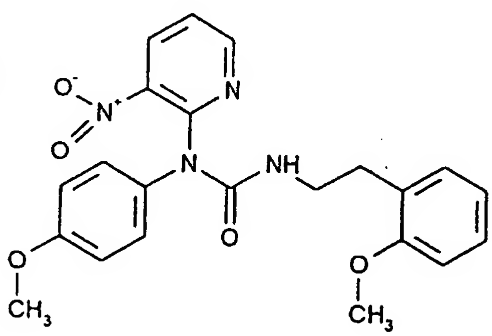

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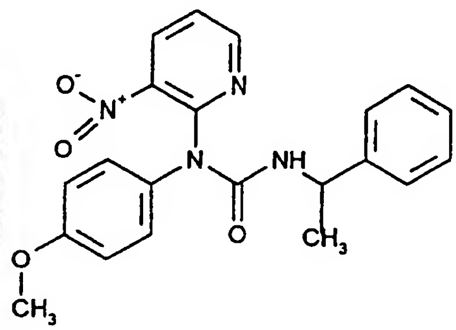
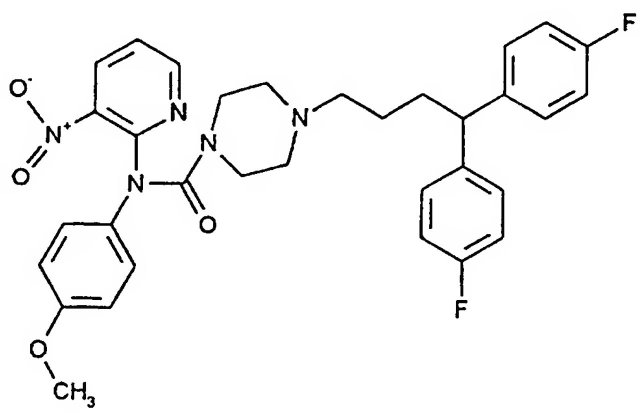

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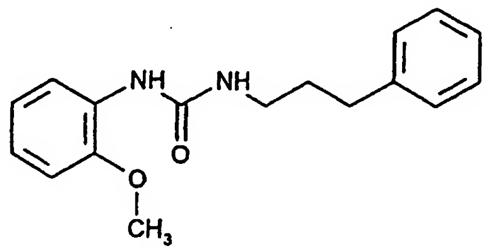
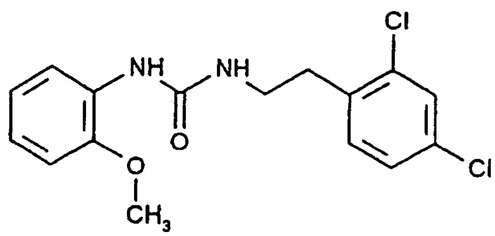
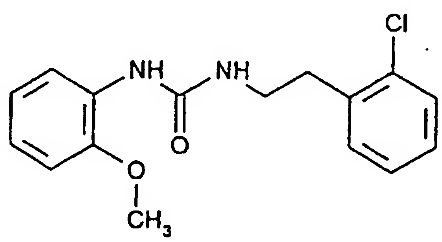
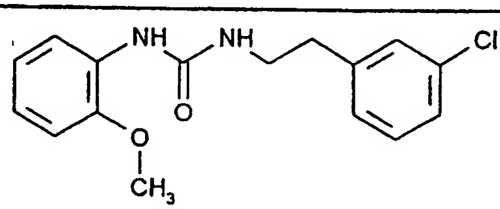

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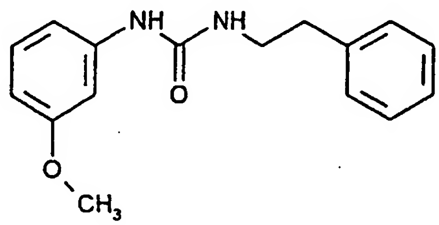
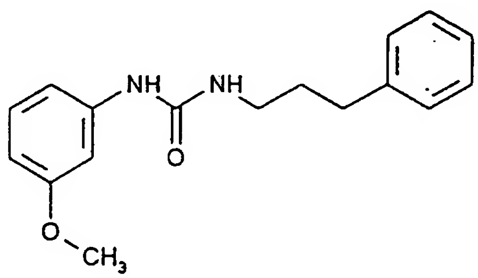
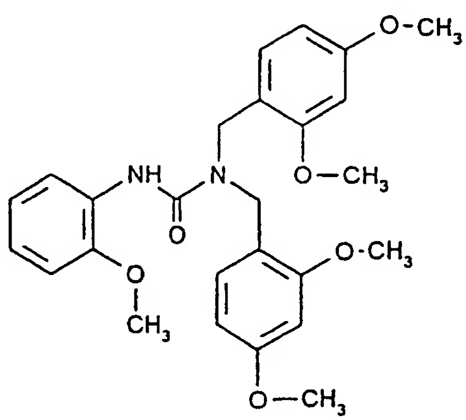

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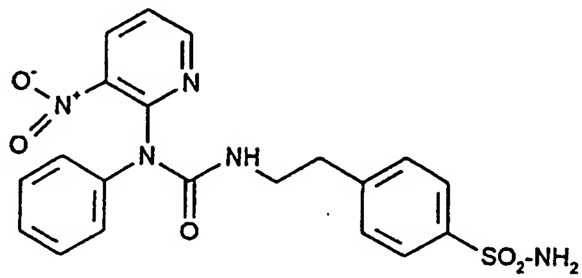
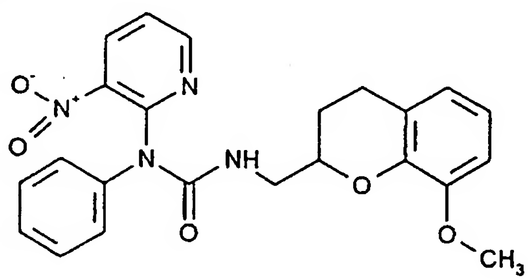
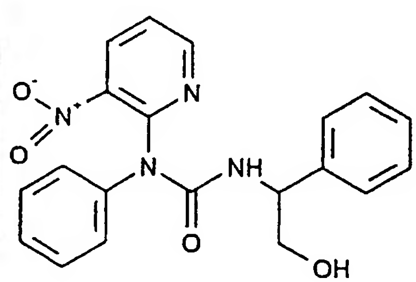

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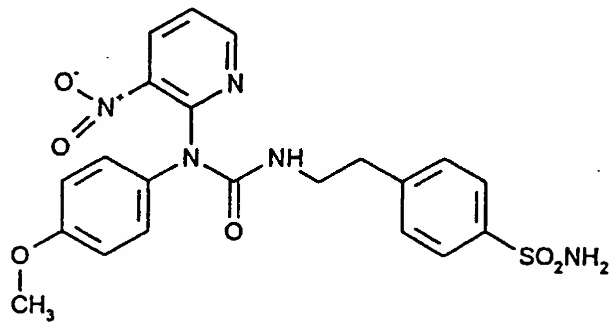
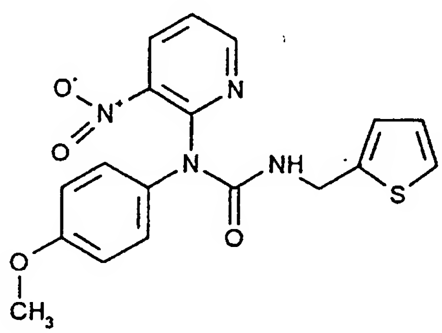

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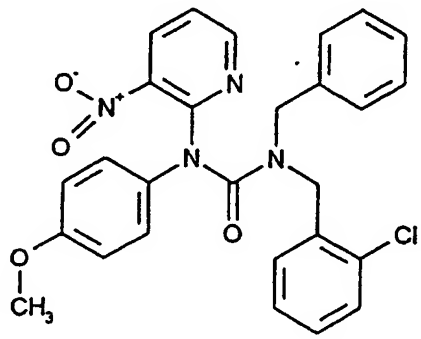
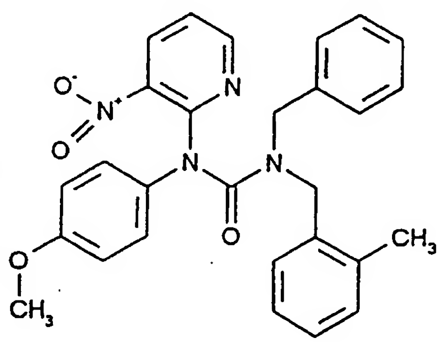

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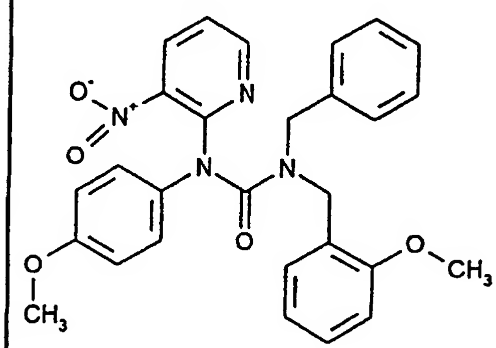
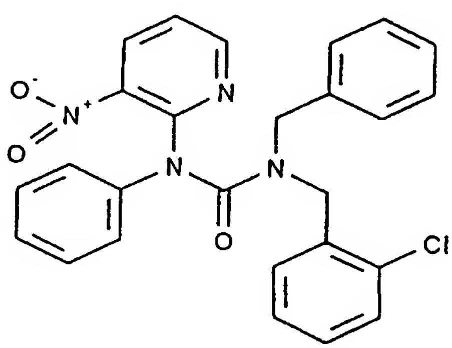

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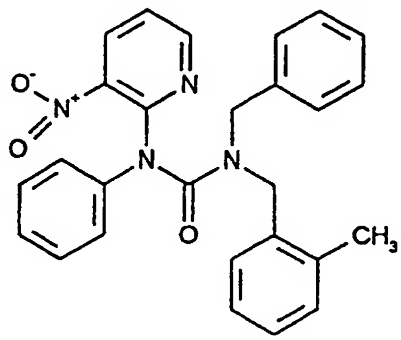
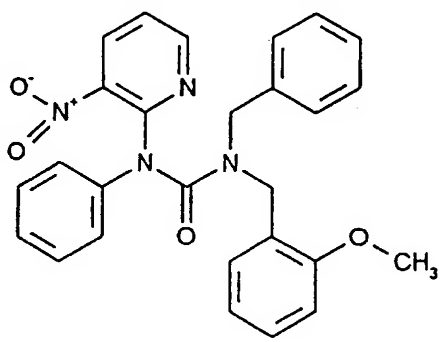
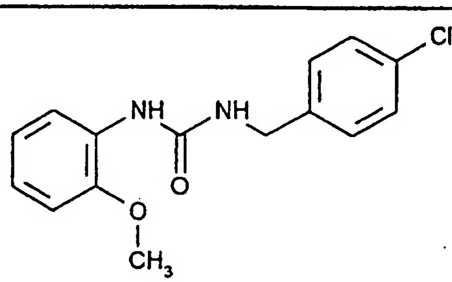

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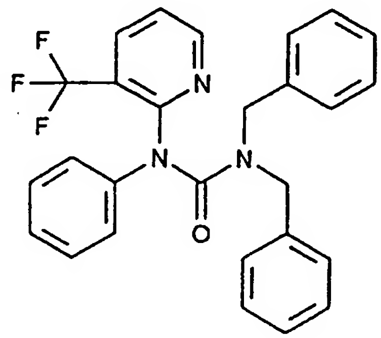
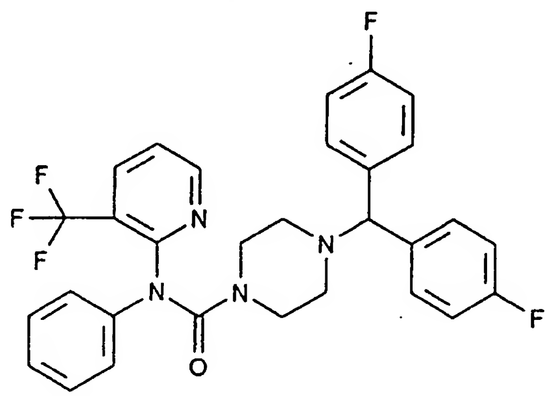

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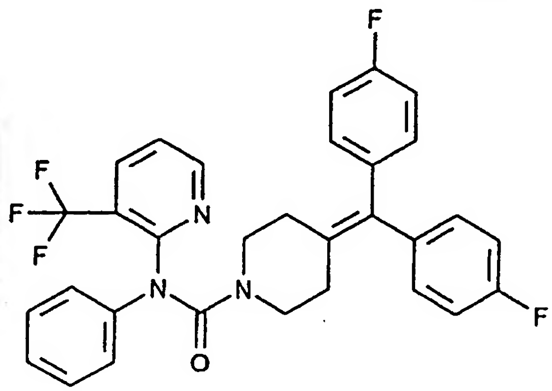
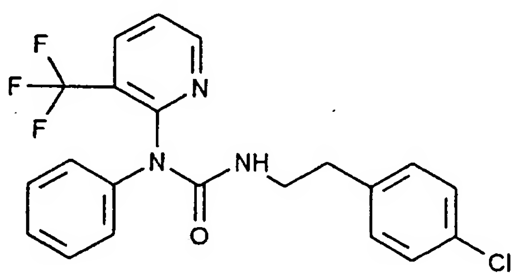
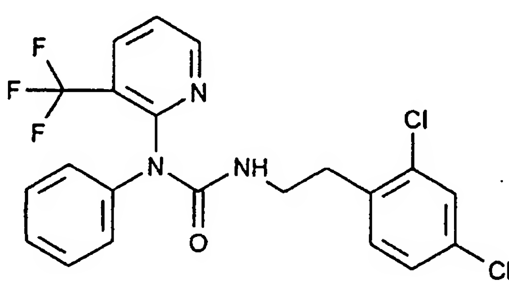

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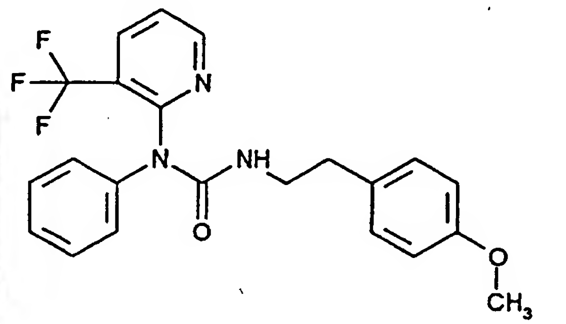
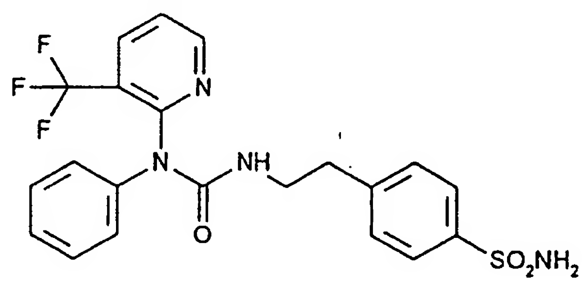
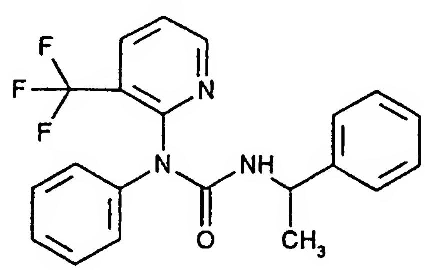
F F F N N NH O O CH3
F F F N N NH O SO2NH2
F F F N N NH O CH3

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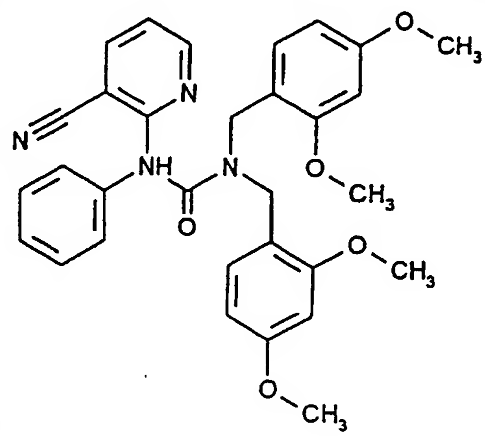
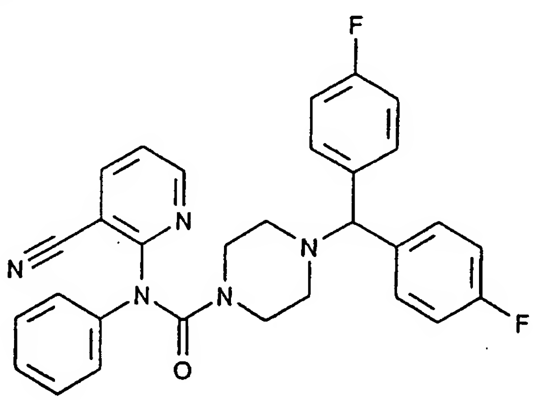

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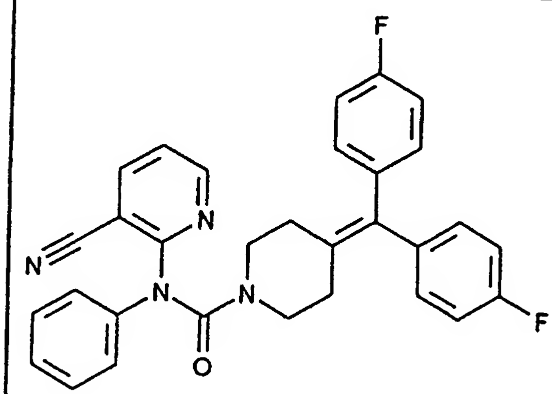
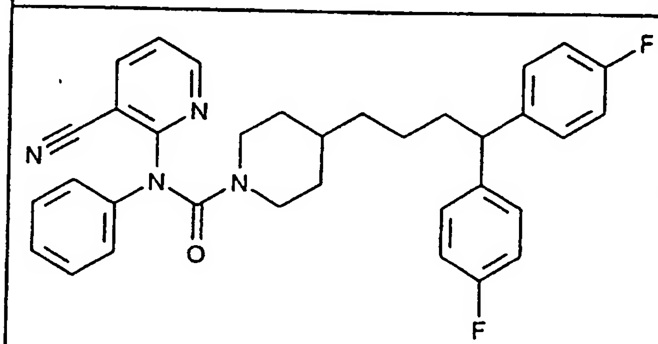
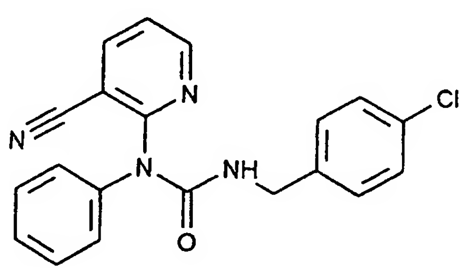

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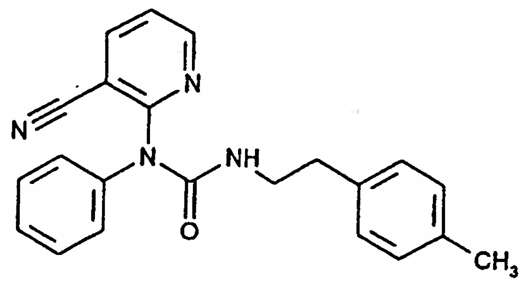
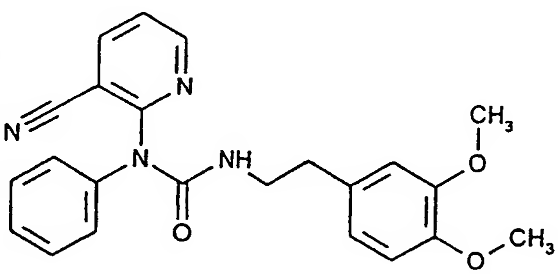

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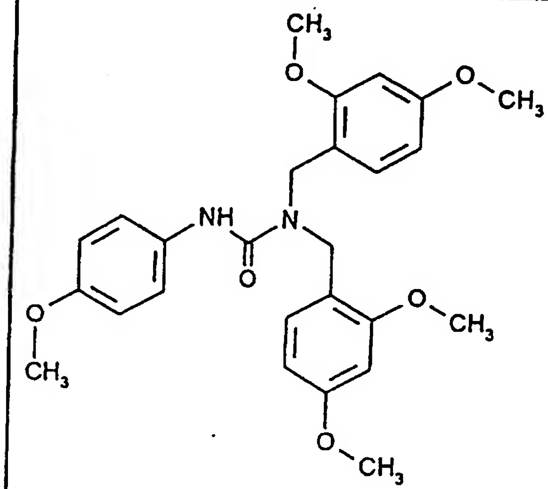

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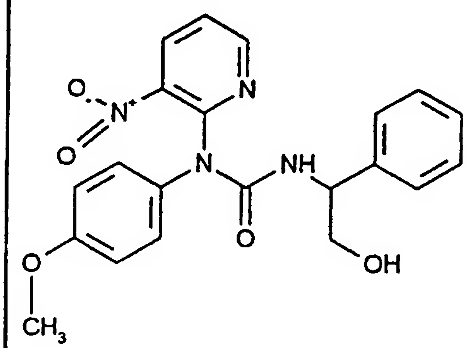
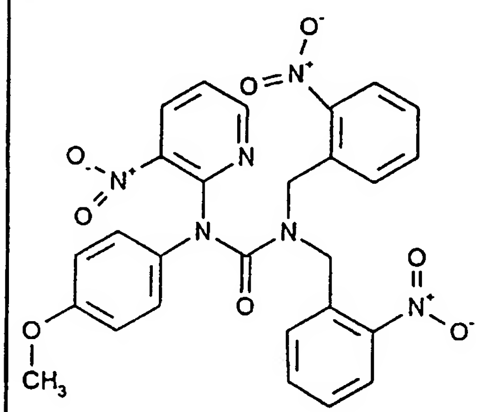

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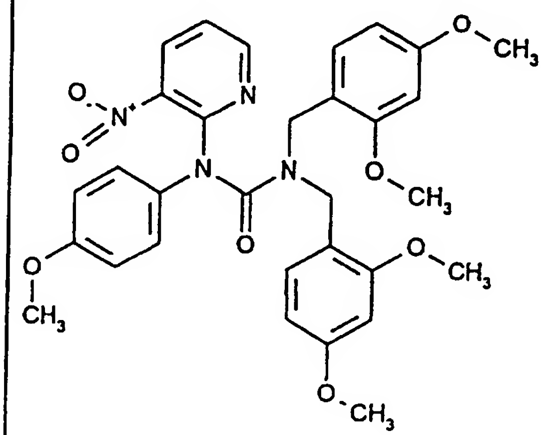
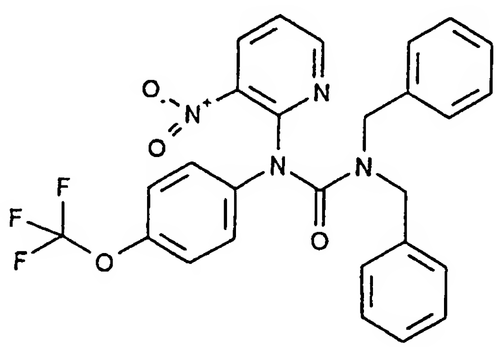

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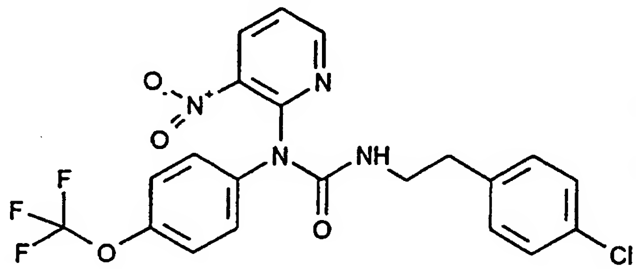
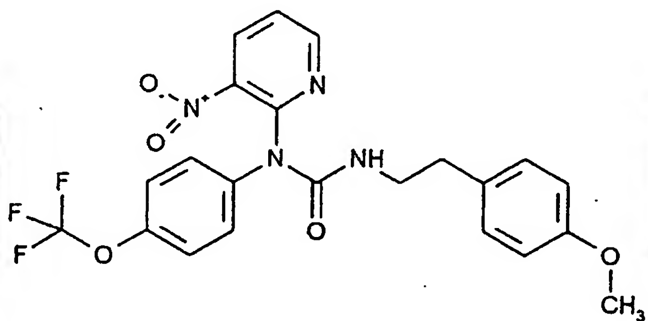
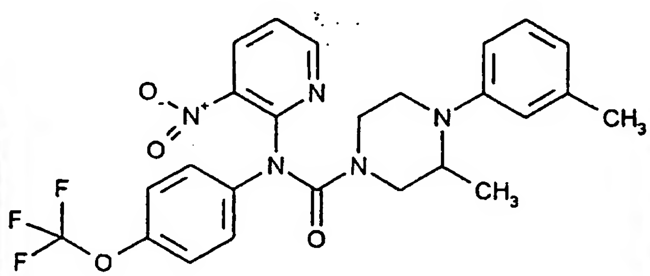
O N+ O N N NH F F F O O Cl
O N+ O N N NH F F F O O O CH_3
O N+ O N N N N CH_3 CH_3 F F F O O

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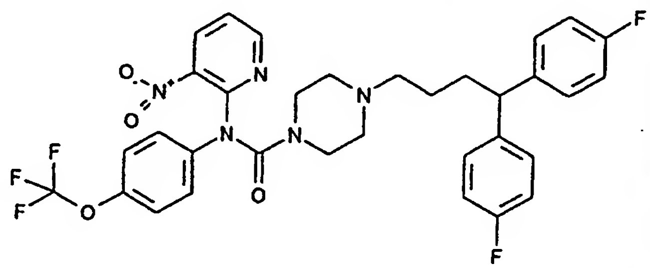
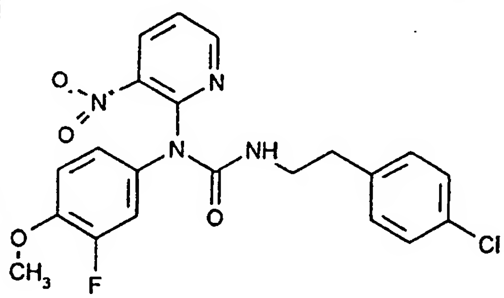
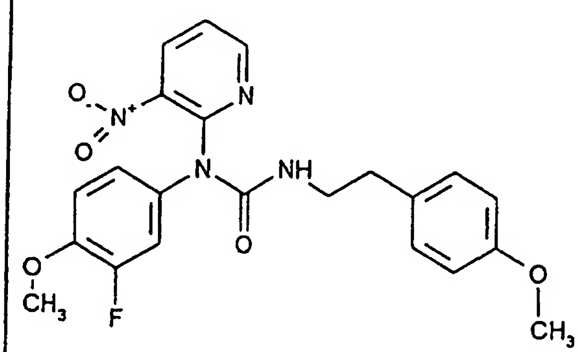

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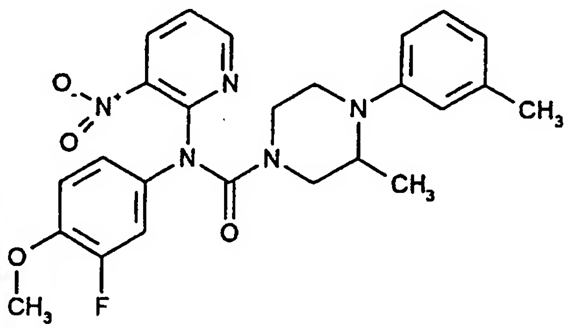
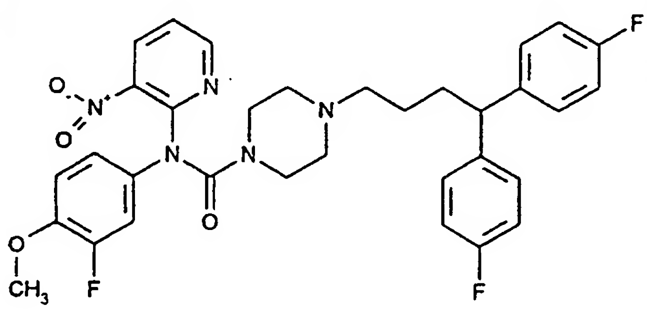

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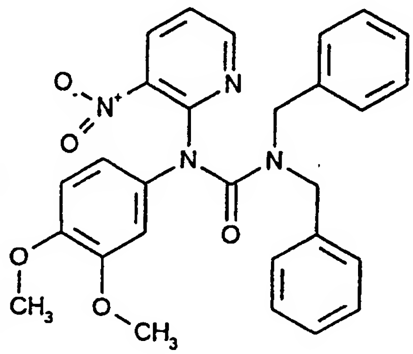
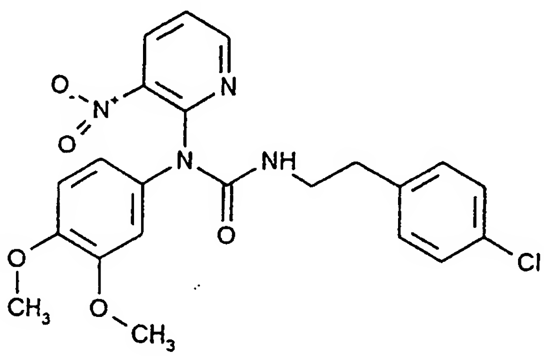

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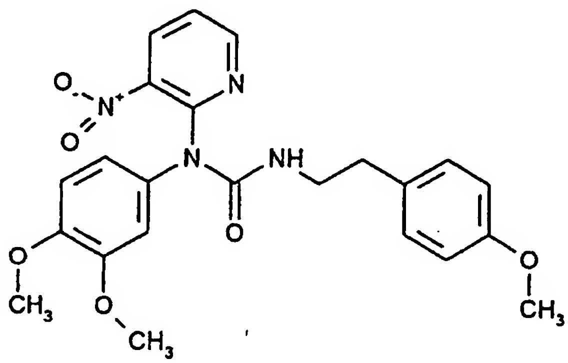
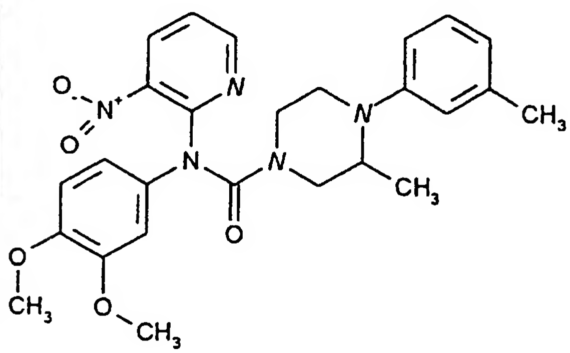

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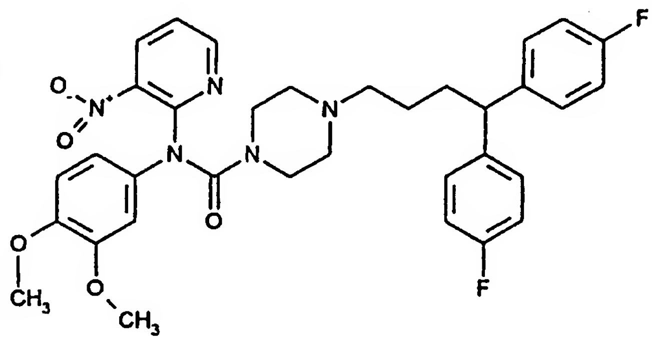
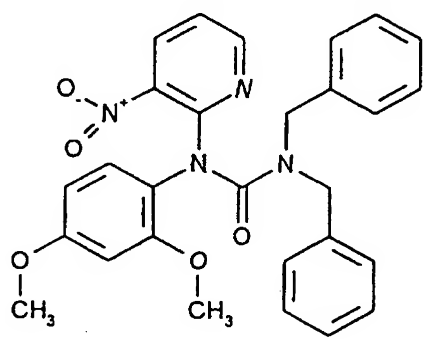

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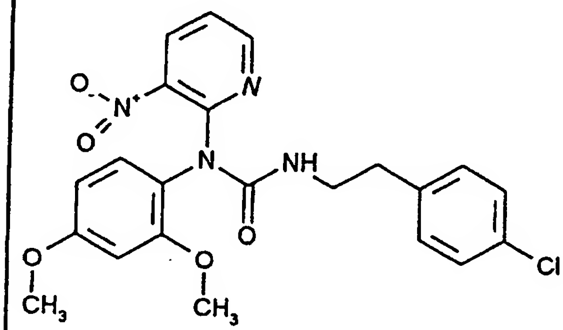
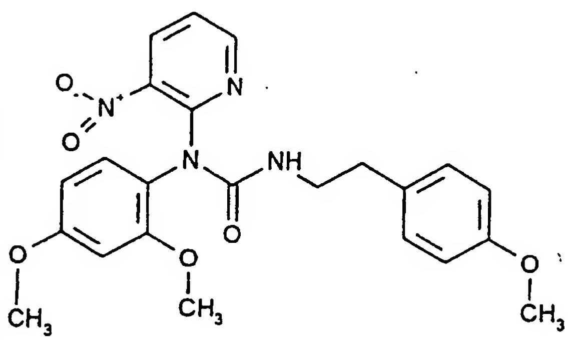
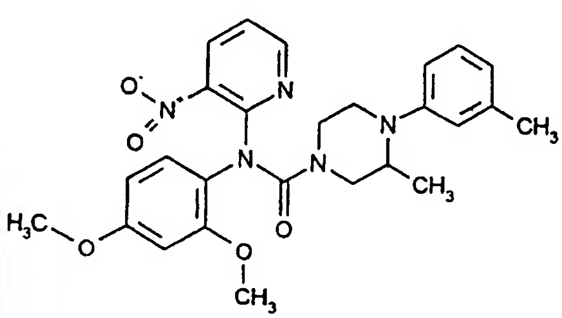

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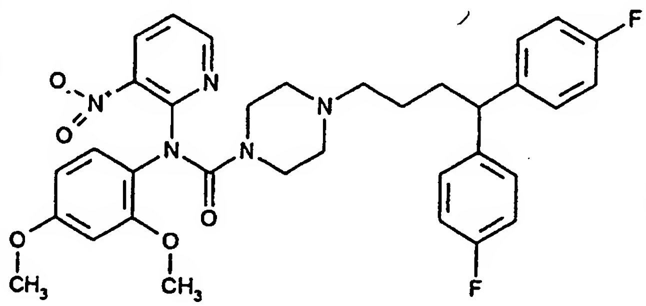
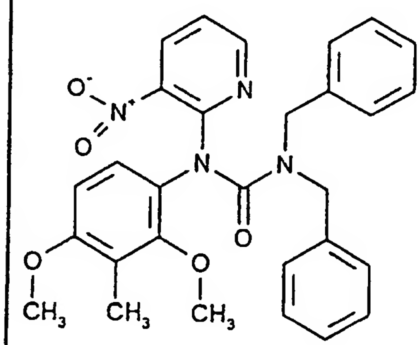
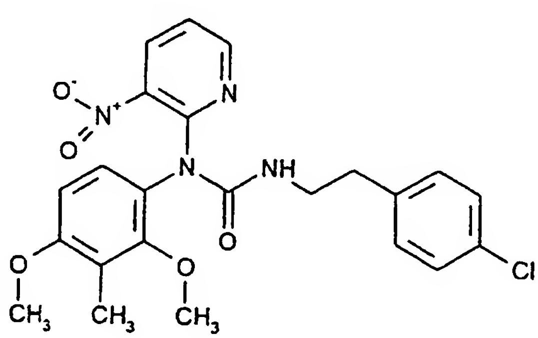

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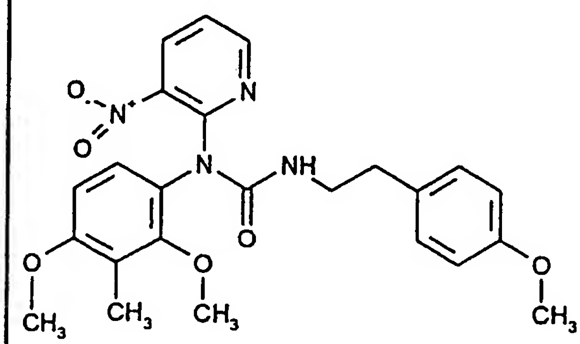
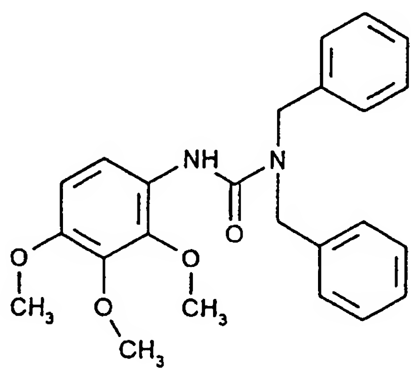

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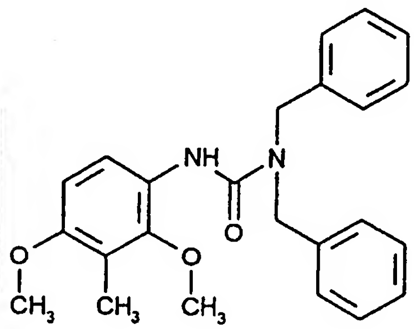

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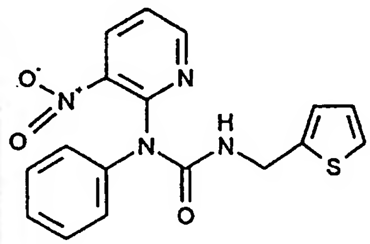
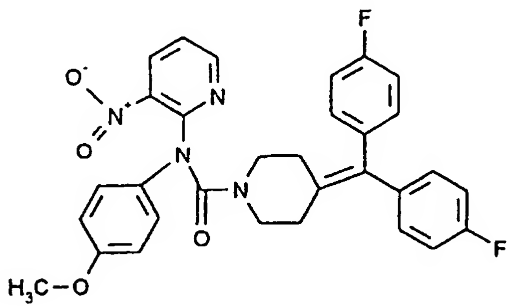
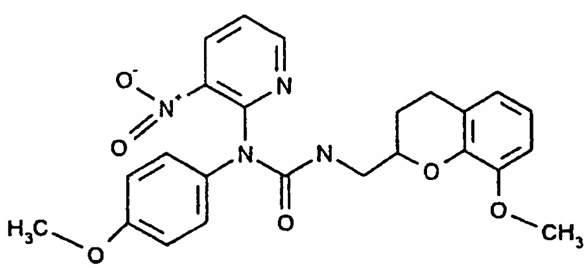

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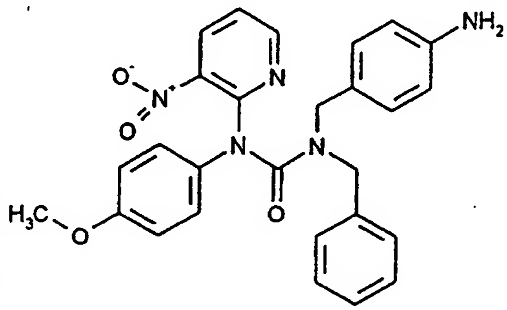
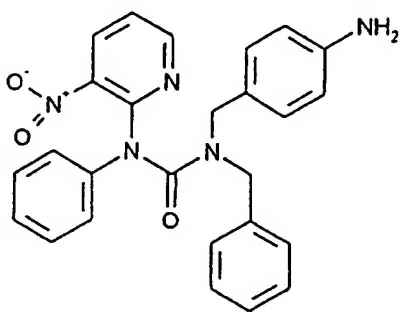
NH2 O- N+ O N N N H3C O O
NH2 O- N+ O N N N O

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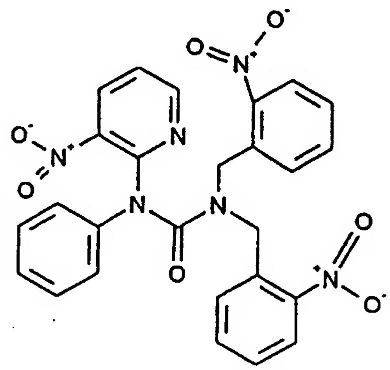
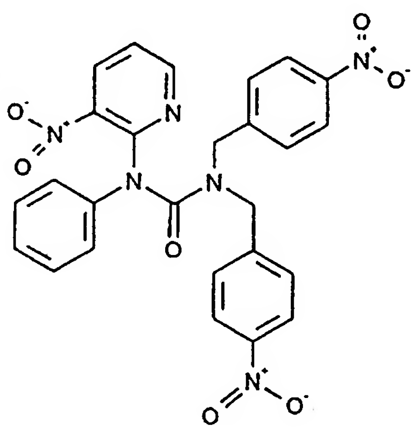

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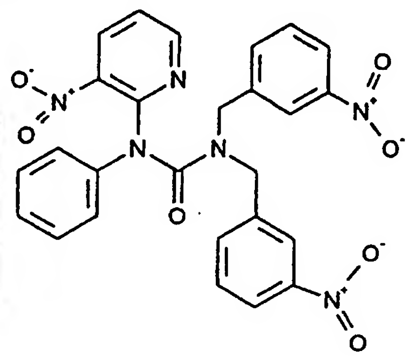
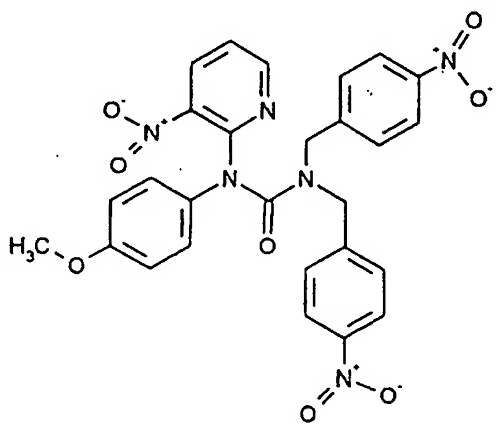

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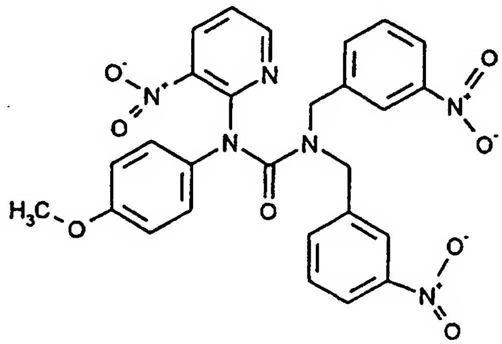
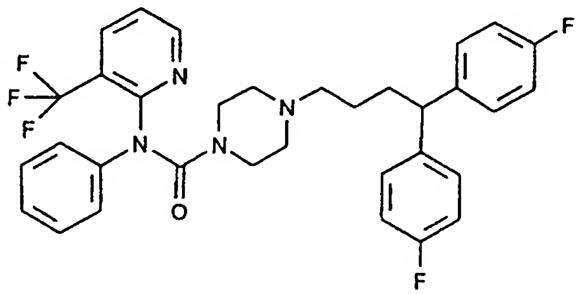
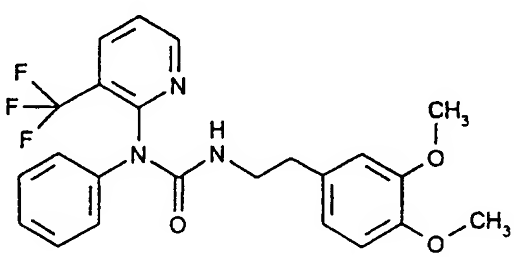

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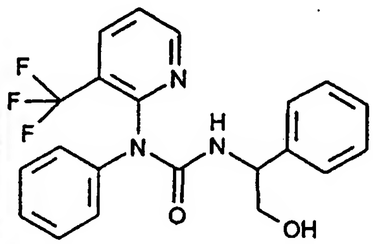
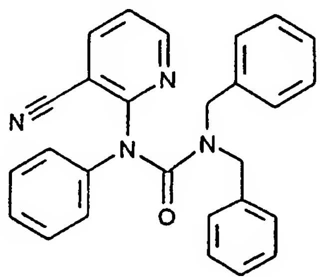
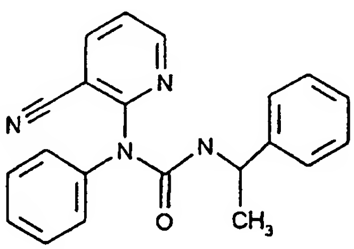

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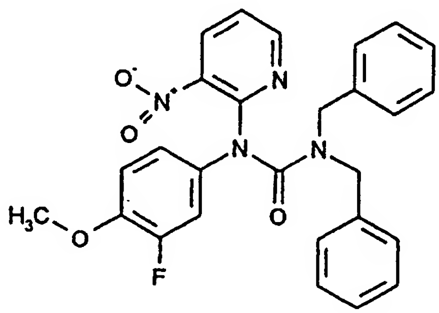
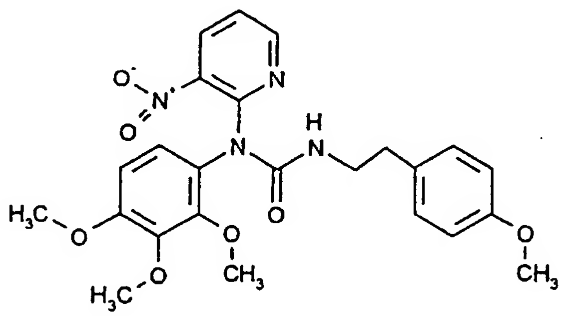
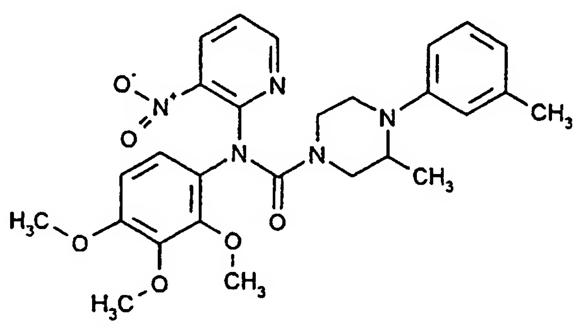

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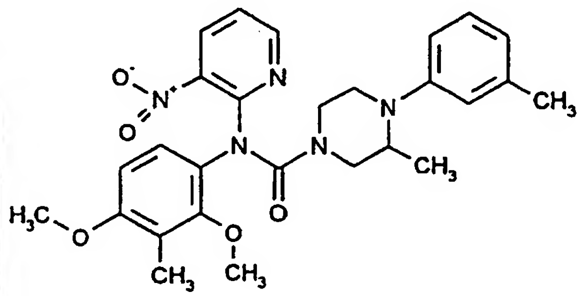
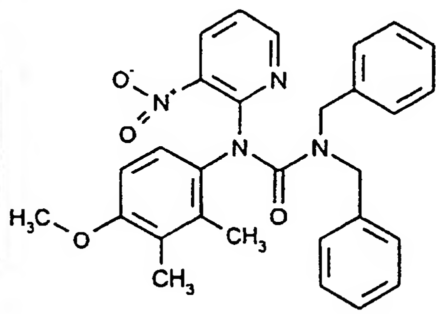
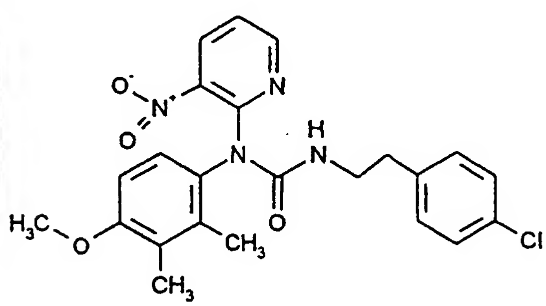
O⁻ N⁺ O N N N N CH₃ CH₃ O H₃C O O CH₃ CH₃
O⁻ N⁺ O N N N O H₃C O CH₃ CH₃
O⁻ N⁺ O N H N N O H₃C O CH₃ CH₃ Cl

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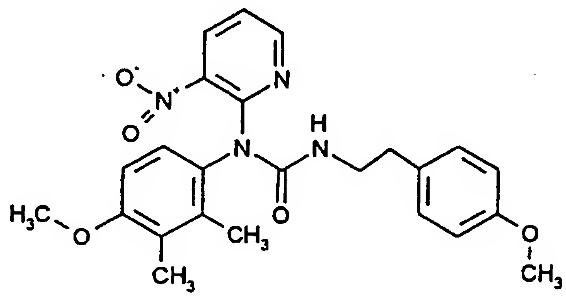
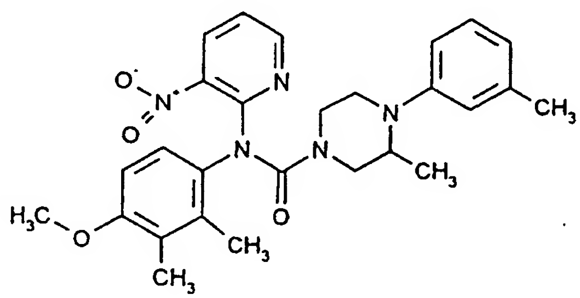
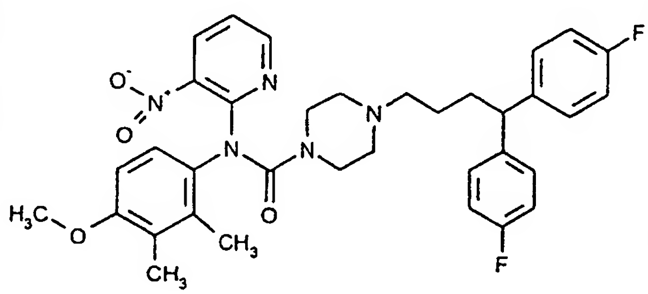

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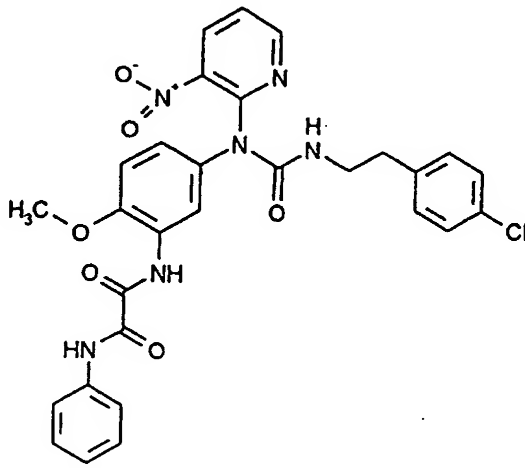
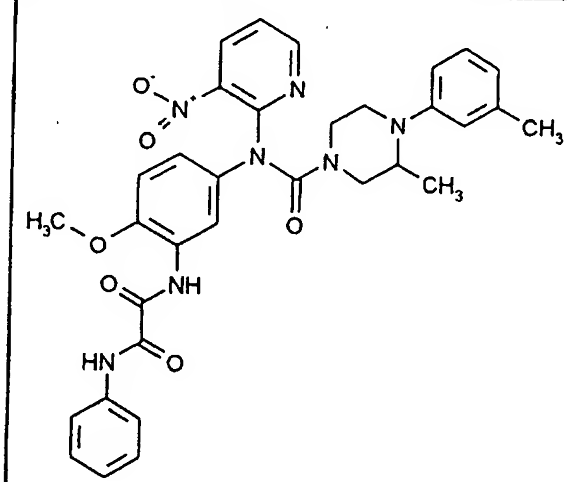

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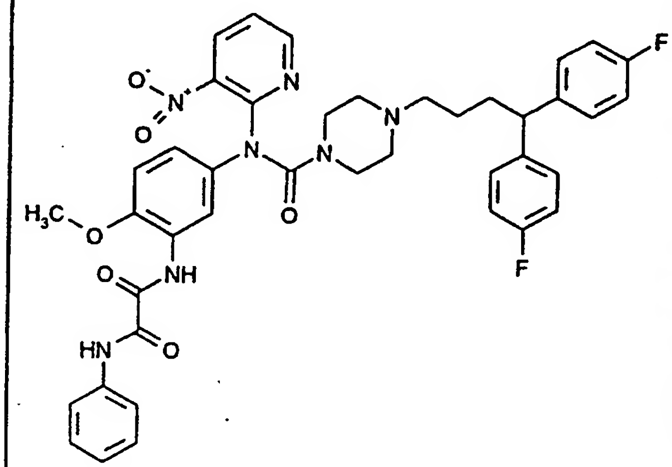
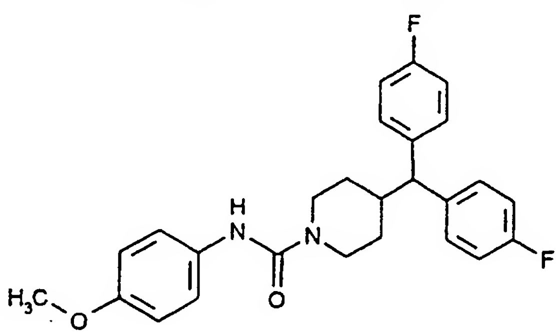
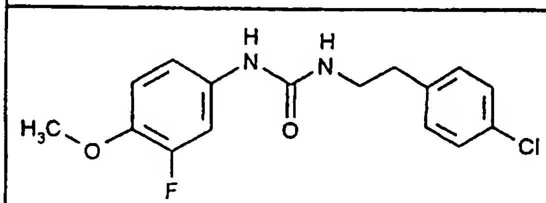

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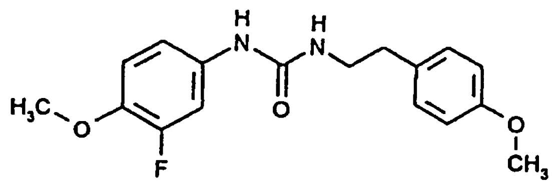
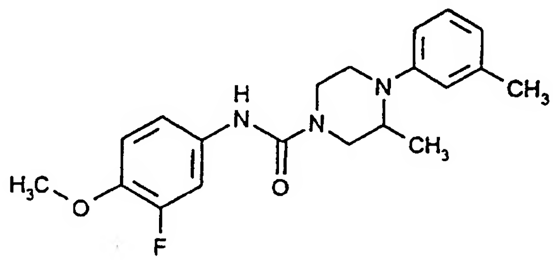
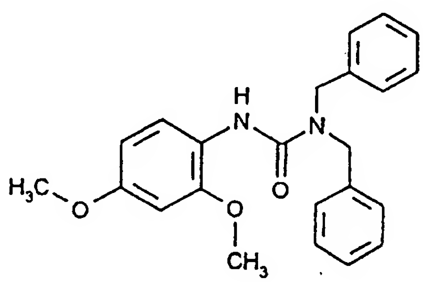
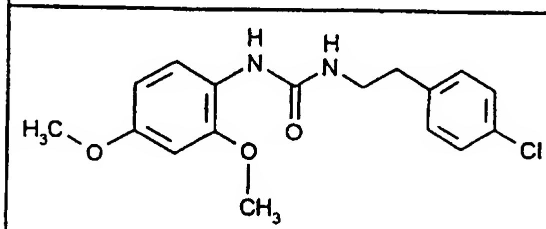

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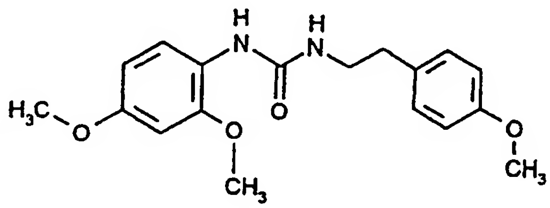
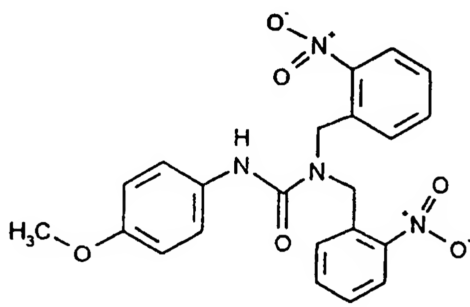
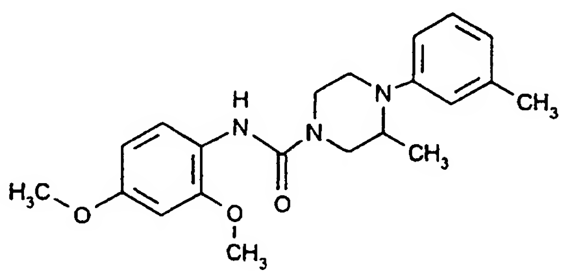

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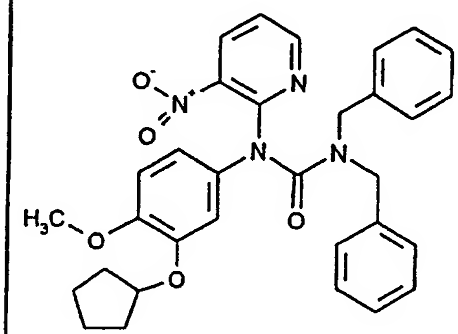
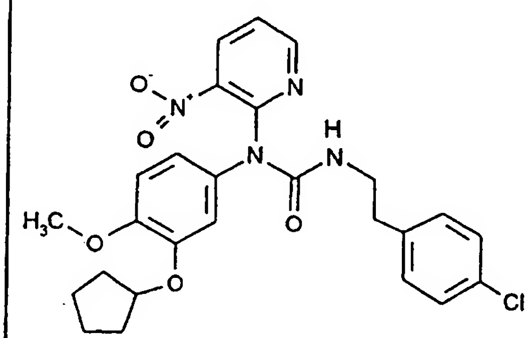

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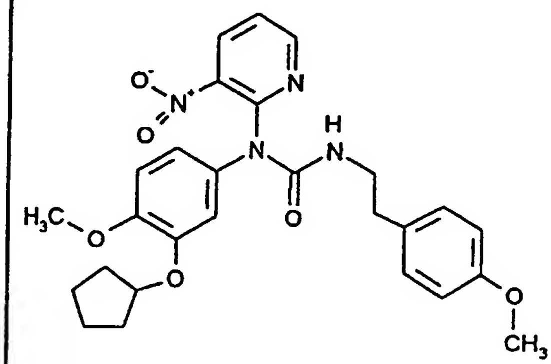
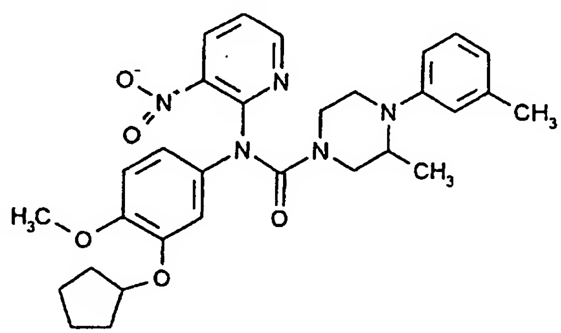

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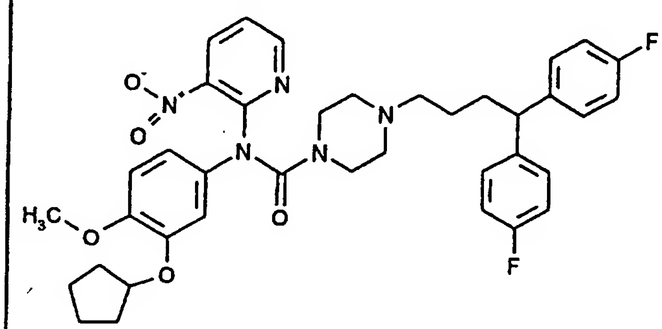
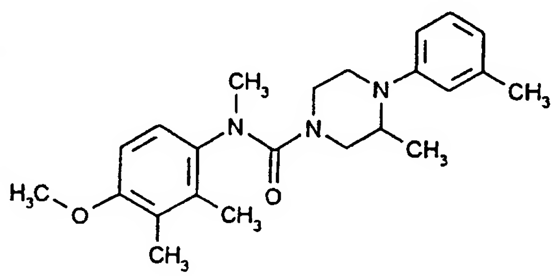



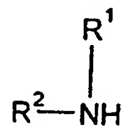
and their salts.

7. 2-Amino-heterocycles according to claim 6 for therapeutic use.

8. Process for the preparation of 2-amino-heterocycles according to claim 6, characterised in that

[A] compounds of the general formula (II)

(II)



in which

R^1 and R^2 have the abovementioned meaning

are reacted first with trichloromethylchloroformate and compounds of the general formula (III)

R^3-H (III)

in which

R^3 has the abovementioned meaning

or

[B] compounds of the general formula (II) are

directly reacted with compounds of the general formula (IV)

X-CO-R^3 (IV)

in which

X denotes halogen, preferably chlorine

and

R^3 has the abovementioned meaning,

in inert solvents, if appropriate in the presence of a base and/or in the presence of an auxiliary,

and in the case of amides the carbon acids are reacted with the corresponding amines optionally in the presence of a base and/or an auxiliary,

and in the case of esters the corresponding acids are etherified,

and in the case of carbon acid esters are hydrolysed by customary method and in the case of diamides ($-NR^7R^8$) the monoamides are reacted with the halogenides in the presence of KHMDS.

9. Medicaments consisting of at least one 2-amino-heterocycle according to claim 6 and an pharmacologically acceptable diluent.

10. Medicaments according to claim 9, and inhibitors of leukotrienes synthesis.

INTERNATIONAL SEARCH REPORT

Int. ...onal Application No
PCT/EP 96/05643

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D213/75 C07D221/06 C07D217/00 C07D239/02 C07D253/02
C07D401/12 A61K31/44 A61K31/495 A61K31/505 A61K31/55

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 401 168 A (CIBA GEIGY AG) 5 December 1990 cited in the application * p.15-22, Tabelle 1 * ---	1-3
X	US 4 782 071 A (BUTLER DONALD E ET AL) 1 November 1988 cited in the application * col.4, l.56; col.5, l.47; claims *	1-3
A	see the whole document ---	4-10
X	CHEM. PHARM. BULL., vol. 29, no. 12, 1981, pages 3706-12, XP002030188 HISANO ET AL: "Reaction of aromatic N-oxides with..." * p.3707, cpds. VIa, VIIIa,XIa and XIIa * ---	1-3

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means
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"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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Date of the actual completion of the international search	Date of mailing of the international search report
25 April 1997	23.05.97

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016	Uiber, P

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	J. F PRAKT. CHEMIE, vol. 328, no. 3, 1986, pages 401-6, XP000653296 E. H. MOERKVED: "Reactions of 2-(N-cyclohexyl)aminopyridine with electrophiles" * p.402, cpds 6 *	1-3
X	J. F PRAKT. CHEMIE, vol. 328, no. 3, 1986, pages 393-400, XP000653297 E. H. MOERKVED: "On the structure of carbamoylated 2-phenylaminopyridines" * p.394, cpds 2 *	1-3
A	US 5 250 693 A (KREFT III ANTHONY F ET AL) 5 October 1993 see the whole document	4-10

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INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. onal Application No
PCT/EP 96/05643

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